Supporting Information

Dynamic kinetic resolution of atropisomeric *N*-arylindoles *via* chiral *N*-triflyl phosphoramide catalyzed asymmetric reductive amination

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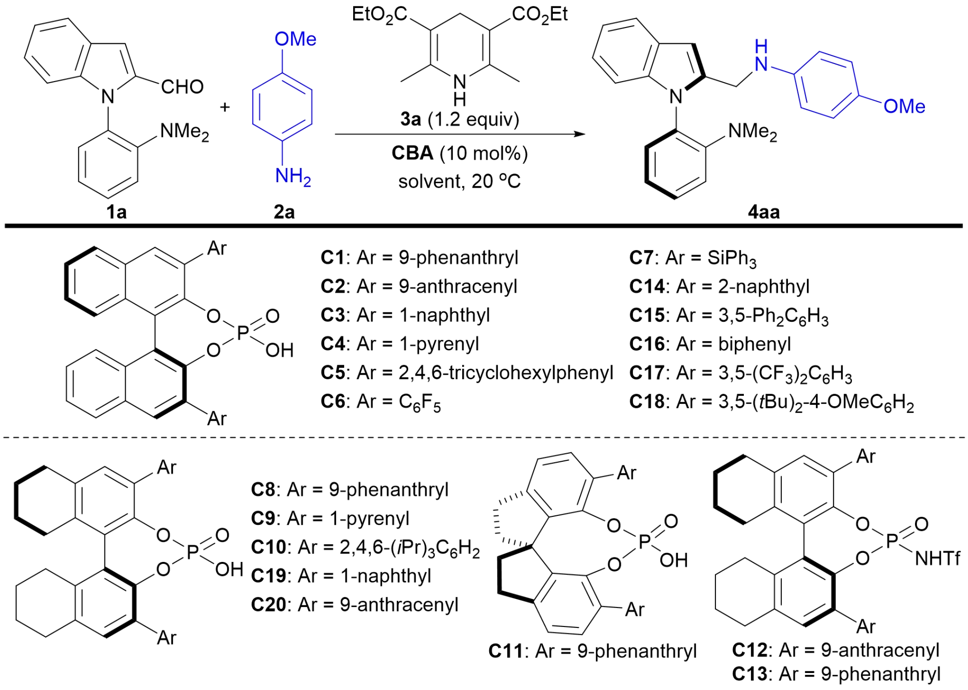
General Information

^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on a Bruker AC-400 FT (400 MHz for ^{1}H NMR, 100 MHz for ^{13}C NMR and 376 MHz for ^{19}F NMR respectively) or a Bruker AVANCE NEO 600 (600 MHz for ^{1}H NMR, 150 MHz for ^{13}C NMR and 565 MHz for ^{19}F NMR respectively) using tetramethylsilane as an internal reference. Chemical shifts (δ) and coupling constants (*J*) were expressed in ppm and Hz, respectively. High resolution mass spectra (HRMS) were recorded on a LC-TOF spectrometer (Micromass). ESI-HRMS data were acquired using a Thermo LTQ Orbitrap XL Instrument equipped with an ESI source and controlled by Xcalibur software. High pressure liquid chromatography (HPLC) analyses were performed on a Thermo Scientific UltiMate 3000 instrument equipped with an isostatic pump, using a chiral stationary phase column (Daicel Co. CHIRALPAK). The chiral HPLC methods were calibrated with the corresponding racemic mixtures. Optical Rotation was measured on an Anton Paar MCP 100/150 polarimeter.

Chemicals were purchased from the Sinopharm Chemical Reagent Co., Adamas, Acros, Alfa Aesar, and TCI, and used as received. Catalysts **C1-C3**, **C14** and **C17** were prepared according to the literatures.[1] Other Catalysts were purchased from Daicel Chiral Technologies (China) CO., LTD. and used directly. Hantzsch esters **3** were prepared in accordance with the previously described procedure.[2]

Screening of Catalysts and Condition Optimization

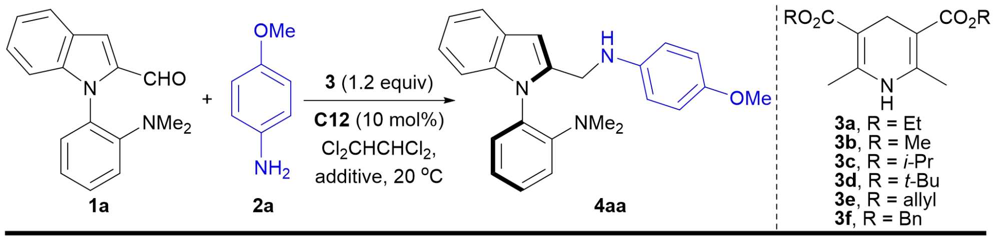
Table S1. Screening of catalysts and solvents.[a]



entry	**CBA**	solvent	yield[b] [%]	ee[c] [%]
1	**C1**	DCM	90	34
2	**C2**	DCM	90	37
3	**C3**	DCM	92	25
4	**C4**	DCM	85	40
5	**C5**	DCM	87	5
6	**C6**	DCM	90	32
7	**C7**	DCM	81	-4
8	**C8**	DCM	89	55
9	**C9**	DCM	85	52
10	**C10**	DCM	86	35
11	**C11**	DCM	88	2
12	**C12**	DCM	92	**71**
13	**C13**	DCM	90	60
14	**C14**	DCM	92	7
15	**C15**	DCM	86	12
16	**C16**	DCM	88	0
17	**C17**	DCM	91	4
18	**C18**	DCM	87	4
19	**C19**	DCM	92	4
20	**C20**	DCM	92	55
21	**C12**	*n*-hexane	76	48
22	**C12**	EtOH	84	51
23	**C12**	CH_3CN	88	42
24	**C12**	THF	78	68
25	**C12**	EtOAc	80	60
26	**C12**	toluene	82	48
27	**C12**	CH_3NO_2	85	66
28	**C12**	PhCl	85	55
29	**C12**	$CHCl_3$	90	65
30	**C12**	DCE	91	73
31	**C12**	CF_3CH_2OH	88	56
32	**C12**	**$Cl_2CHCHCl_2$**	**94**	**80**

[a]Unless otherwise stated, all reactions were carried out with 1-(2-(dimethylamino)phenyl)-1*H*-indole-2-carbaldehyde **1a** (13.2 mg, 0.05 mmol), 4-methoxyaniline **2a** (7.3 mg, 0.06 mmol), Hantzsch ester **3a** (0.06 mmol), **CBA** (10 mol%) and solvent (1.0 mL) at 20 °C in a sealed tube for 4 h. [b]Isolated yield. [c]Determined by chiral stationary phase HPLC analysis.

Table S2. Screening of Hantzsch esters 3, additive, temperatures *et al.*[a]

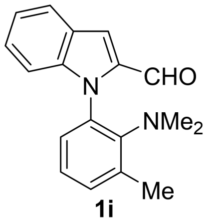


entry	3	additive	yield[b] [%]	ee[c] [%]
1	**3a**	none	94	80
2	**3b**	none	92	79
3	**3c**	none	92	83
4	**3d**	none	94	**87**
5	**3e**	none	90	78
6	**3f**	none	91	82
7	**3d**	4Å MS (50 mg)	**94**	**89**
8	**3d**	5Å MS (50 mg)	94	87
9	**3d**	3Å MS (50 mg)	92	86
10	**3d**	$MgSO_4$ (50 mg)	91	88
11	**3d**	4Å MS (150 mg)	90	87
12[d]	**3d**	4Å MS (50 mg)	85	86

[a] Unless otherwise stated, all reactions were carried out with **1a** (13.2 mg, 0.05 mmol), 4-methoxyaniline **2a** (7.3 mg, 0.06 mmol), Hantzsch ester **3** (0.06 mmol), **C12** (10 mol%) and $Cl_2CHCHCl_2$ (1.0 mL) at 20 °C in a sealed tube for 4 h. [b] Isolated yield. [c] Determined by chiral stationary phase HPLC analysis. [d] The reaction was run at 0 °C for 8 h.

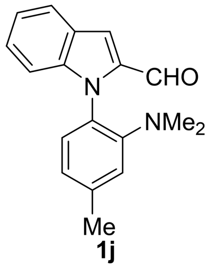
Preparation and Analytic Data of 1

1-(2-(Dimethylamino)phenyl)-1*H*-indole-2-carbaldehydes **1** were prepared in accordance with literature methods.[3] The new compounds were characterized in this report.



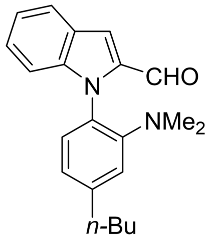
1i

1-(2-(Dimethylamino)-3-methylphenyl)-1*H*-indole-2-carbaldehyde **1i** was obtained as a brown solid. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1); m.p. 83-84 °C; ^{1}H NMR (600 MHz, $CDCl_3$): δ 9.72 (s, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.44 (d, *J* = 1.2 Hz, 1H), 7.34-7.29 (m, 1H), 7.29-7.25 (m, 1H), 7.21-7.17 (m, 1H), 7.14-7.08 (m, 2H), 7.08-7.04 (m, 1H), 2.37 (s, 3H), 2.31 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 182.1, 148.6, 141.0, 136.7, 132.8, 132.8, 132.0, 127.3, 126.7, 126.6, 123.9, 123.1, 121.5, 113.4, 111.8, 42.1, 19.6; HRMS (ESI) calcd for $C_{18}H_{19}N_2O$ $(M+H)^+$ 279.1492, found 279.1486.



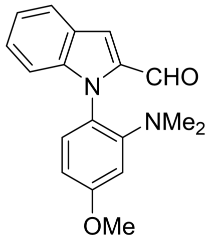
1j

1-(2-(Dimethylamino)-4-methylphenyl)-1*H*-indole-2-carbaldehyde **1j** was obtained as a brown solid. $R_f = 0.30$ (petroleum ether/ethyl acetate = 12:1); m.p. 75-76 °C; ^{1}H NMR (600 MHz, $CDCl_3$): δ 9.55 (s, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.44 (s, 1H), 7.36-7.26 (m, 2H), 7.25-7.22 (m, 1H), 7.21-7.16 (m, 1H), 6.96-6.88 (m, 2H), 2.42 (s, 3H), 2.28 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 182.6, 149.0, 139.2, 138.9, 135.7, 128.8, 126.9, 126.4, 125.7, 123.1, 122.6, 121.6, 119.8, 111.3, 110.7, 42.0, 21.7; HRMS (ESI) calcd for $C_{18}H_{19}N_2O$ $(M+H)^+$ 279.1492, found 279.1488.



1k

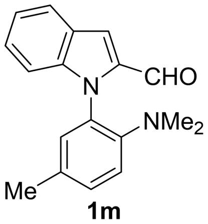
1-(4-Butyl-2-(dimethylamino)phenyl)-1*H*-indole-2-carbaldehyde **1k** was obtained as a brown solid. $R_f = 0.30$ (petroleum ether/ethyl acetate = 12:1); m.p. 93-94 °C; ^{1}H NMR (600 MHz, $CDCl_3$): δ 9.54 (s, 1H), 7.75 (d, *J* = 7.8 Hz, 1H), 7.44 (s, 1H), 7.37-7.29 (m, 2H), 7.26 (d, *J* = 7.8 Hz, 1H), 7.22-7.16 (m, 1H), 6.99-6.86 (m, 2H), 2.68 (t, *J* = 7.8 Hz, 2H), 2.28 (s, 6H), 1.72-1.64 (m, 2H), 1.48-1.38 (m, 2H), 0.98 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 181.7, 147.9, 143.2, 137.7, 134.6, 127.7, 125.9, 125.2, 124.7, 122.0, 120.9, 120.5, 118.0, 110.3, 109.1, 40.9, 34.8, 32.5, 21.5, 13.0; HRMS (ESI) calcd for $C_{21}H_{25}N_2O$ $(M+H)^+$ 321.1961, found 321.1953.



1l

1-(2-(Dimethylamino)-4-methoxyphenyl)-1*H*-indole-2-carbaldehyde **1l** was obtained as a brown solid. $R_f = 0.30$ (petroleum ether/ethyl acetate = 12:1); m.p. 70-71 °C; ^{1}H NMR (600

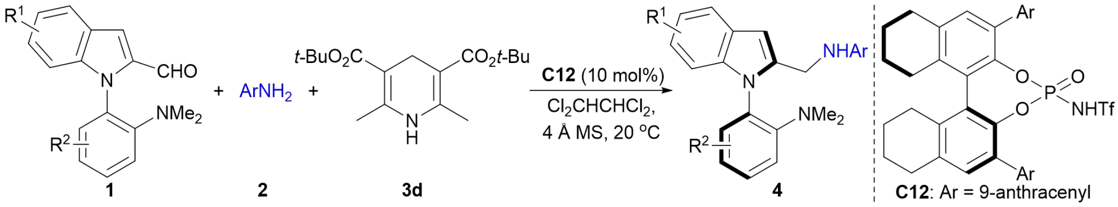
MHz, CDCl3): δ 9.58 (s, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.44 (s, 1H), 7.37-7.30 (m, 1H), 7.29-7.23 (m, 2H), 7.22-7.16 (m, 1H), 6.69-6.56 (m, 2H), 3.88 (s, 3H), 2.29 (s, 6H); ^{13}C NMR (150 MHz, CDCl3): δ 182.7, 160.2, 150.6, 139.2, 135.7, 130.1, 126.8, 126.4, 123.1, 121.5, 121.1, 111.4, 110.9, 105.8, 105.5, 55.5, 41.9; HRMS (ESI) calcd for $C_{18}H_{19}N_2O_2$ $(M+H)^+$ 295.1441, found 295.1433.



1m

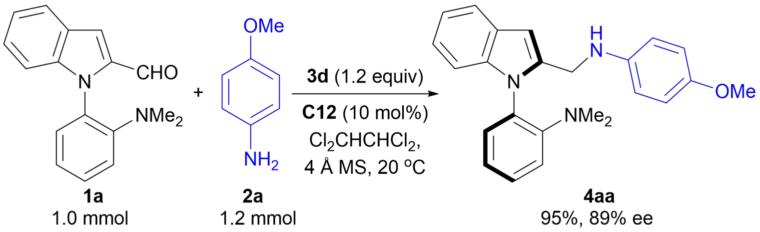
1-(2-(Dimethylamino)-5-methylphenyl)-1*H*-indole-2-carbaldehyde **1m** was obtained as a brown solid. R_f = 0.30 (petroleum ether/ethyl acetate = 12:1); m.p. 85-86 °C; ^{1}H NMR (600 MHz, CDCl3): δ 9.54 (s, 1H), 7.79-7.70 (m, 1H), 7.44 (s, 1H), 7.37-7.28 (m, 2H), 7.23-7.13 (m, 3H), 7.06-6.99 (m, 1H), 2.36 (s, 3H), 2.25 (s, 6H); ^{13}C NMR (150 MHz, CDCl3): δ 182.5, 146.8, 138.7, 135.7, 131.9, 129.8, 129.3, 128.4, 127.0, 126.3, 123.1, 121.6, 119.2, 111.3, 110.5, 42.2, 20.6; HRMS (ESI) calcd for $C_{18}H_{19}N_2O$ $(M+H)^+$ 279.1492, found 279.1485.

General Procedure for the Synthesis of Atropisomeric *N*-Arylindoles *via* Catalytic Asymmetric Reductive Amination



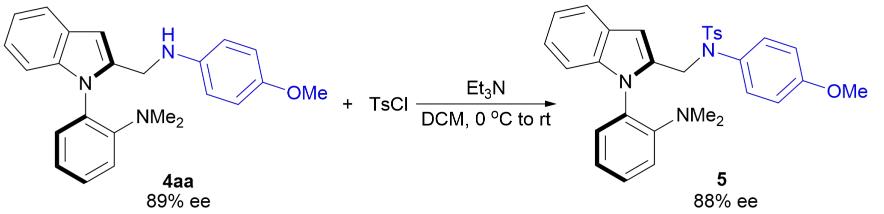
To a flame dried sealed tube equipped with a magnetic stirring bar were added *N*-arylindole aldehydes **1** (0.10 mmol), chiral *N*-triflyl phosphoramide **C12** (8.4 mg, 0.010 mmol), Hantzsch ester **3d** (37.1 mg, 0.12 mmol), 4 Å MS (100 mg), $Cl_2CHCHCl_2$ (2.0 mL) and aromatic amines **2** (0.12 mmol) successively. The resulting mixture was stirred at 20 °C for 4 h, and directly charged onto silica gel. Products **4** were isolated using petroleum ether/ethyl acetate (20:1 to 10:1) as eluent.

Procedure for the Scale-up Experiment



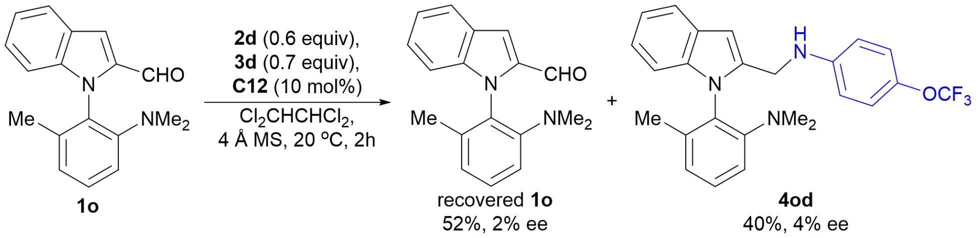
To a flame dried sealed tube equipped with a magnetic stirring bar were added 1-(2-(dimethylamino)phenyl)-1*H*-indole-2-carbaldehyde **1a** (1.0 mmol), chiral *N*-triflyl phosphoramide **C12** (83.9 mg, 0.10 mmol), Hantzsch ester **3d** (371.0 mg, 1.2 mmol), 4 Å MS (1.0 g), $Cl_2CHCHCl_2$ (20 mL) and 4-methoxyaniline **2a** (147.7 mg, 1.2 mmol) successively. The resulting mixture was stirred at 20 °C for 4 h, and directly charged onto silica gel. Product **4aa** was isolated using petroleum ether/ethyl acetate (20:1 to 10:1) as eluent.

Procedure for the Transformation of Product 4aa



To a solution of **4aa** (37.1 mg, 0.10 mmol, 89% ee) and NEt_3 (20.2 mg, 27.8 uL, 0.20 mmol) in DCM (1.0 mL) was added 4-toluene sulfonyl chloride (28.6 mg, 0.15 mmol) at 0 °C. The resulting mixture was stirred at room temperature for 2 h, and directly charged onto silica gel, eluting with petroleum ether/ethyl acetate (10:1) to afford product **5** (48.8 mg, 93%, 88% ee).

Procedure for the Kinetic Resolution



To a flame dried sealed tube equipped with a magnetic stirring bar were added chiral *N*-triflyl phosphoramide **C12** (8.4 mg, 0.01 mmol), **1o** (27.8 mg, 0.10 mmol), Hantzsch ester **3d** (21.6 mg, 0.07 mmol), 4 Å MS (100 mg), $Cl_2CHCHCl_2$ (2.0 mL) and 4-(trifluoromethoxy)aniline **2d** (10.6 mg, 0.06 mmol). The resulting mixture was stirred at 20 °C for 2 h, and directly charged onto silica gel. Product **4od** (17.6 mg, 40%, 4% ee) and recovered **1o** (14.5 mg, 52%, 2% ee) were isolated using petroleum ether/ethyl acetate (10:1 to 5:1) as eluent respectively.

References

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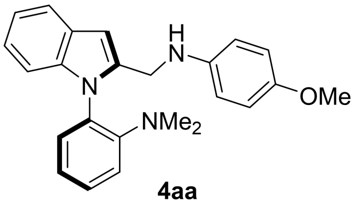
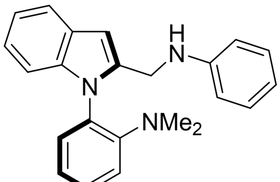
3 (a) Rodríguez-Salamanca, P.; de Gonzalo, G.; Carmona, J. A.; López-Serrano, J.; Iglesias-Sigüenza, J.; Fernández, R.; Lassaletta, J. M.; Hornillos, V. Biocatalytic Atroposelective Synthesis of Axially Chiral N-Arylindoles via Dynamic Kinetic Resolution. *ACS Catal.* **2023**, *13*, 659. (b) Wang, L.; Yuan, W.-K.; Wang, Z.-K.; Luo, J.; Zhou, T.; Shi, B.-F. Synthesis of C−N Axial Chirality *N*-Arylindoles via Pd(II)-Catalyzed Free Amine-Directed Atroposelective C−H Olefination. *Chin. J. Chem.* **2023**, *41*, 2788.

Analytic Data for the Products



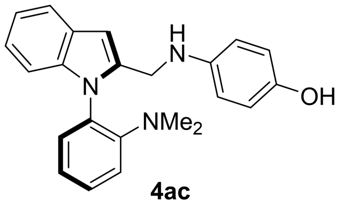
4aa

2-(2-(((4-Methoxyphenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4aa** was obtained as a yellowish oil in 94% yield (34.9 mg) and 89% ee. R_f = 0.20 (petroleum ether/dichloromethane = 1:2). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AS, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 8.00 min, t_r (minor) = 8.86 min]. $[\alpha]_D^{20}$ = −30.0 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.58-7.52 (m, 1H), 7.38-7.32 (m, 1H), 7.20-7.16 (m, 1H), 7.12-7.05 (m, 4H), 7.04-6.99 (m, 1H), 6.74-6.68 (m, 2H), 6.57 (s, 1H), 6.54-6.49 (m, 2H), 4.23 (dd, *J* = 22.4, 10.4 Hz, 2H), 4.02 (s, br., 1H), 3.68 (s, 3H), 2.41 (s, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 152.3, 150.3, 142.2, 139.5, 138.2, 130.8, 129.4, 128.7, 128.3, 121.8, 121.6, 120.2, 120.1, 118.7, 114.9, 114.7, 110.4, 101.8, 55.8, 42.5, 41.9; HRMS (ESI) calcd for $C_{24}H_{24}N_3O$ $(M-H)^-$ 370.1925, found 370.1971.



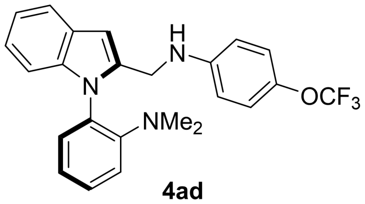
4ab

N,*N*-Dimethyl-2-(2-((phenylamino)methyl)-1*H*-indol-1-yl)aniline **4ab** was obtained as a yellowish oil in 92% yield (31.4 mg) and 87% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 7.70 min, t_r (minor) = 9.14 min]. $[\alpha]_D^{20}$ = −33.3 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.52-7.42 (m, 1H), 7.32-7.20 (m, 1H), 7.13-7.08 (m, 1H), 7.07-6.96 (m, 6H), 6.96-6.90 (m, 1H), 6.62-6.54 (m, 1H), 6.53-6.42 (m, 3H), 4.37-3.96 (m, 3H, C**H₂**N**H**), 2.33 (s, 6H); ^{13}C NMR (100 MHz, CDCl$_3$): δ 150.3, 148.0, 139.2, 138.3, 130.8, 129.4, 129.2, 128.7, 128.3, 121.8, 121.6, 120.3, 120.2, 118.7, 117.6, 113.2, 110.4, 101.8, 42.5, 40.9; HRMS (ESI) calcd for $C_{23}H_{22}N_3$ (M-H)$^-$ 340.1819, found 340.1853.



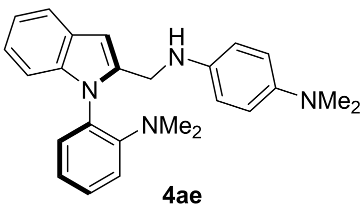
4ac

4-(((1-(2-(Dimethylamino)phenyl)-1*H*-indol-2-yl)methyl)amino)phenol **4ac** was obtained as a brown oil in 95% yield (33.9 mg) and 86% ee. R$_f$ = 0.20 (petroleum ether/ethyl acetate = 1:2). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AS, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t$_r$ (major) = 26.37 min, t$_r$ (minor) = 32.07 min]. $[\alpha]_D^{20}$ = −37.0 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, CDCl$_3$): δ 7.59-7.51 (m, 1H), 7.40-7.32 (m, 1H), 7.20-7.15 (m, 1H), 7.14-7.05 (m, 4H), 7.05-7.00 (m, 1H), 6.57 (d, *J* = 8.4 Hz, 2H), 6.55 (s, 1H), 6.47 (d, *J* = 8.4 Hz, 2H), 4.20 (s, 2H), 2.40 (s, 6H); ^{13}C NMR (150 MHz, CDCl$_3$): δ 150.2, 148.5, 141.4, 139.1, 138.2, 130.8, 129.4, 128.6, 128.2, 121.8, 121.6, 120.2, 120.1, 118.7, 116.2, 115.5, 110.4, 102.1, 42.4, 42.2; HRMS (ESI) calcd for $C_{23}H_{22}N_3O$ (M-H)$^-$ 356.1768, found 356.1753.



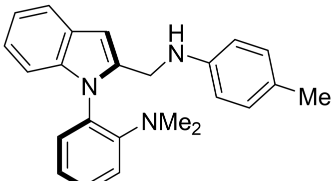
4ad

N,*N*-Dimethyl-2-(2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4ad** was obtained as a yellowish oil in 94% yield (40.0 mg) and 89% ee. R$_f$ = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t$_r$ (major) = 5.10 min, t$_r$ (minor) = 6.76 min]. $[\alpha]_D^{20}$ = −38.5 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, CDCl$_3$): δ 7.64-7.50 (m, 1H), 7.42-7.32 (m, 1H), 7.19 (d, *J* = 7.2 Hz, 1H), 7.16-7.06 (m, 4H), 7.04 (t, *J* = 7.2 Hz, 1H), 6.96 (d, *J* = 8.4 Hz, 2H), 6.58 (s, 1H), 6.49 (d, *J* = 8.8 Hz, 2H), 4.40 (s, br., 1H), 4.29 (d, *J* = 15.6 Hz, 1H), 4.23 (d, *J* = 15.6 Hz, 1H), 2.42 (s, 6H); ^{13}C NMR (100 MHz, CDCl$_3$): δ 150.2, 146.7, 140.5 (q, *J* = 2.0 Hz), 138.6, 138.3, 130.8, 129.5, 128.7, 128.2, 122.3, 122.0, 121.7, 120.8 (q, *J* = 253.5 Hz), 120.3, 120.2, 118.8, 113.4, 110.4, 102.0, 42.5, 41.1; ^{19}F NMR (376 MHz, CDCl$_3$) δ -58.36; HRMS (ESI) calcd for $C_{24}H_{21}F_3N_3O$ (M-H)$^-$ 424.1642, found 424.1632.



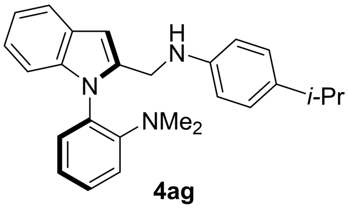
4ae

N^1-((1-(2-(Dimethylamino)phenyl)-1*H*-indol-2-yl)methyl)-N^4,N^4-dimethylbenzene-1,4-diamine **4ae** was obtained as a yellowish oil in 93% yield (35.7 mg) and 86% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 8.00 min, t_r (minor) = 9.25 min]. $[\alpha]_D^{20}$ = −40.7 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.60-7.52 (m, 1H), 7.39-7.34 (m, 1H), 7.22-7.18 (m, 1H), 7.13-7.06 (m, 4H), 7.05-7.00 (m, 1H), 6.69 (d, *J* = 8.4 Hz, 2H), 6.59 (s, 1H), 6.56 (d, *J* = 8.4 Hz, 2H), 4.26 (d, *J* = 15.0 Hz, 1H), 4.20 (d, *J* = 15.0 Hz, 1H), 2.79 (s, 6H), 2.42 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.3, 144.1, 140.5, 139.7, 138.2, 130.8, 129.3, 128.6, 128.3, 121.6, 121.5, 120.2, 120.0, 118.6, 115.8, 114.8, 110.3, 101.8, 42.4, 42.3, 41.9; HRMS (ESI) calcd for $C_{25}H_{27}N_4$ $(M-H)^-$ 383.2241, found 383.2229.



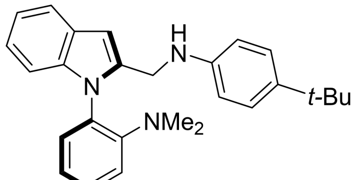
4af

N,*N*-Dimethyl-2-(2-((*p*-tolylamino)methyl)-1*H*-indol-1-yl)aniline **4af** was obtained as a yellowish oil in 92% yield (32.7 mg) and 85% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.48 min, t_r (minor) = 6.54 min]. $[\alpha]_D^{20}$ = −31.0 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.59-7.52 (m, 1H), 7.39-7.32 (m, 1H), 7.22-7.17 (m, 1H), 7.12-7.05 (m, 4H), 7.05-6.99 (m, 1H), 6.93 (d, *J* = 8.0 Hz, 2H), 6.58 (s, 1H), 6.53-6.45 (m, 2H), 4.34-4.19 (m, 2H), 4.15 (s, br., 1H), 2.42 (s, 6H), 2.20 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.3, 145.7, 139.4, 138.2, 130.8, 129.7, 129.4, 128.7, 128.3, 126.8, 121.7, 121.5, 120.2, 120.1, 118.7, 113.4, 110.3, 101.8, 42.4, 41.2, 20.5; HRMS (ESI) calcd for $C_{24}H_{24}N_3$ $(M-H)^-$ 354.1976, found 354.1961.



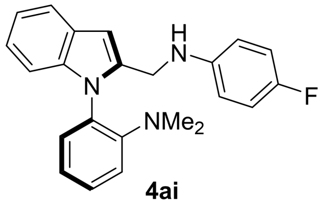
4ag

2-(2-(((4-Isopropylphenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4ag** was obtained as a yellowish oil in 93% yield (35.6 mg) and 87% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.58 min, t_r (minor) = 6.95 min]. $[\alpha]_D^{20}$ = −29.7 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.60-7.52 (m, 1H), 7.39-7.31 (m, 1H), 7.22-7.17 (m, 1H), 7.13-7.05 (m, 4H), 7.04-7.01 (m, 1H), 7.00-6.97 (m, 2H), 6.60 (s, 1H), 6.55-6.49 (m, 2H), 4.32-4.18 (m, 2H), 2.83-2.70 (m, 1H), 2.42 (s, 6H), 1.18 (d, *J* = 7.2 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.3, 146.0, 139.5, 138.3, 138.1, 130.8, 129.4, 128.7, 128.3, 127.1, 121.7, 121.5, 120.2, 120.1, 118.7, 113.3, 110.4, 101.8, 42.4, 41.2, 33.2, 24.3; HRMS (ESI) calcd for $C_{26}H_{28}N_3$ $(M-H)^-$ 382.2289, found 382.2275.



4ah

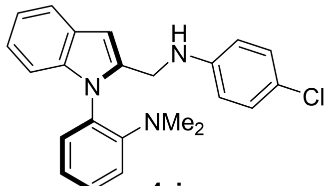
2-(2-(((4-(*Tert*-Butyl)phenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4ah** was obtained as a colourless oil in 94% yield (37.3 mg) and 89% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.43 min, t_r (minor) = 6.72 min]. $[\alpha]_D^{20}$ = −39.0 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.60-7.51 (m, 1H), 7.39-7.31 (m, 1H), 7.22-7.17 (m, 1H), 7.17-7.05 (m, 6H), 7.05-6.98 (m, 1H), 6.62-6.57 (m, 1H), 6.56-6.48 (m, 2H), 4.44-4.04 (m, 3H, **CH_2NH**), 2.42 (s, 6H), 1.25 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 150.3, 145.6, 140.3, 139.5, 138.3, 130.8, 129.4, 128.7, 128.3, 126.0, 121.7, 121.5, 120.2, 120.1, 118.7, 112.9, 110.4, 101.8, 42.4, 41.1, 33.9, 31.6; HRMS (ESI) calcd for $C_{27}H_{30}N_3$ $(M-H)^-$ 396.2445, found 396.2431.



4ai

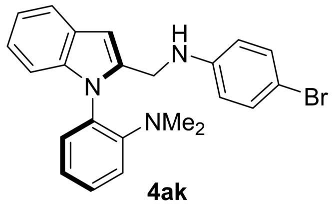
2-(2-(((4-Fluorophenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4ai** was obtained as a yellowish oil in 90% yield (32.3 mg) and 89% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 7.45 min, t_r (minor) = 9.55 min]. $[\alpha]_D^{20}$ = −40.2 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ

7.60-7.52 (m, 1H), 7.41-7.33 (m, 1H), 7.22-7.16 (m, 1H), 7.15-7.06 (m, 4H), 7.04 (t, *J* = 7.6 Hz, 1H), 6.86-6.77 (m, 2H), 6.57 (s, 1H), 6.52-6.43 (m, 2H), 4.41-3.96 (m, 3H, C**H**₂N**H**), 2.42 (s, 6H); ^{13}C NMR (100 MHz, CDCl$_3$): δ 155.9 (d, $^{1}J_{C-F}$ = 233.7 Hz), 150.2, 144.2 (d, $^{4}J_{C-F}$ = 1.9 Hz), 139.0, 138.2, 130.8, 129.4, 128.6, 128.2, 121.9, 121.6, 120.2, 120.1, 118.7, 115.6 (d, $^{2}J_{C-F}$ = 22.2 Hz), 114.1 (d, $^{3}J_{C-F}$ = 7.4 Hz), 110.3, 101.9, 42.4, 41.6; ^{19}F NMR (376 MHz, CDCl$_3$) δ -127.83; HRMS (ESI) calcd for $C_{23}H_{21}FN_3$ (M-H)$^-$ 358.1725, found 358.1713.



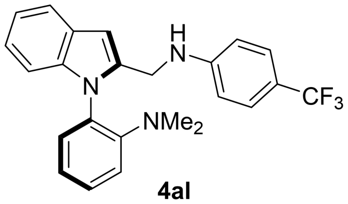
4aj

2-(2-(((4-Chlorophenyl)amino)methyl)-1*H*-indol-1-yl)-*N*, *N*-dimethylaniline **4aj** was obtained as a yellowish oil in 88% yield (33.0 mg) and 86% ee. R$_f$ = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t$_r$ (major) = 6.27 min, t$_r$ (minor) = 8.09 min]. $[\alpha]_D^{20}$ = −37.2 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, CDCl$_3$): δ 7.61-7.50 (m, 1H), 7.42-7.31 (m, 1H), 7.21-7.16 (m, 1H), 7.15-6.96 (m, 7H), 6.56 (s, 1H), 6.46 (d, *J* = 8.8 Hz, 2H), 4.31 (s, br., 1H), 4.27 (d, *J* = 15.6 Hz, 1H), 4.21 (d, *J* = 15.6 Hz, 1H), 2.41 (s, 6H); ^{13}C NMR (100 MHz, CDCl$_3$): δ 150.2, 146.5, 138.7, 138.3, 130.8, 129.5, 129.0, 128.6, 128.2, 122.1, 121.9, 121.7, 120.3, 120.2, 118.7, 114.3, 110.3, 101.9, 42.5, 41.1; HRMS (ESI) calcd for $C_{23}H_{21}ClN_3$ (M-H)$^-$ 374.1429, found 374.1463.



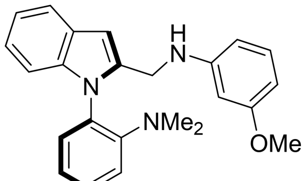
4ak

2-(2-(((4-Bromophenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4ak** was obtained as a yellow solid in 88% yield (36.9 mg) and 84% ee. R$_f$ = 0.40 (petroleum ether/ethyl acetate = 12:1); m.p. 76-77 °C. The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AS-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t$_r$ (major) = 6.66 min, t$_r$ (minor) = 7.46 min]. $[\alpha]_D^{20}$ = −38.3 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, CDCl$_3$): δ 7.62-7.50 (m, 1H), 7.43-7.32 (m, 1H), 7.24-7.15 (m, 3H), 7.14-7.06 (m, 4H), 7.04 (t, *J* = 7.6 Hz, 1H), 6.56 (s, 1H), 6.42 (d, *J* = 8.4 Hz, 2H), 4.53-3.94 (m, 3H, C**H**₂N**H**), 2.41 (s, 6H); ^{13}C NMR (100 MHz, CDCl$_3$): δ 150.2, 146.9, 138.6, 138.3, 131.8, 130.8, 129.5, 128.6, 128.2, 121.9, 121.7, 120.3, 120.2, 118.7, 114.8, 110.3, 109.1, 101.9, 42.5, 40.9; HRMS (ESI) calcd for $C_{23}H_{21}BrN_3$ (M-H)$^-$ 418.0924, found 418.0914.



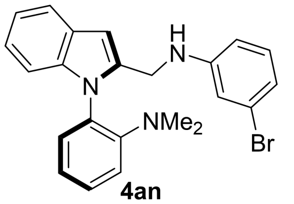
4al

N,*N*-Dimethyl-2-(2-(((4-(trifluoromethyl)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4al** was obtained as a yellow solid in 86% yield (35.2 mg) and 83% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1); m.p. 53-54 °C. The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 8.65 min, t_r (minor) = 14.18 min]. $[\alpha]_D^{20}$ = −37.7 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, CDCl$_3$): δ 7.63-7.51 (m, 1H), 7.44-7.28 (m, 3H), 7.19 (d, *J* = 8.4 Hz, 1H), 7.17-6.96 (m, 5H), 6.58 (s, 1H), 6.54 (d, *J* = 8.0 Hz, 2H), 4.66 (s, br., 1H), 4.44-4.13 (m, 2H), 2.43 (s, 6H); ^{13}C NMR (100 MHz, CDCl$_3$): δ 150.4, 150.2, 138.4, 138.2, 130.8, 129.5, 128.6, 128.1, 126.5 (q, *J* = 3.8 Hz), 125.0 (q, *J* = 268.4 Hz), 122.1, 121.8, 120.3, 118.9 (q, *J* = 32.4 Hz), 118.8, 112.2, 111.8, 110.3, 102.0, 42.5, 40.5; ^{19}F NMR (376 MHz, CDCl$_3$) δ -60.91; HRMS (ESI) calcd for $C_{24}H_{21}F_3N_3$ (M-H)$^-$ 408.1693, found 408.1649.



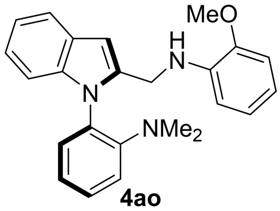
4am

2-(2-(((3-Methoxyphenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4am** was obtained as a yellowish oil in 90% yield (33.4 mg) and 80% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 9.13 min, t_r (minor) = 10.92 min]. $[\alpha]_D^{20}$ = −40.2 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, CDCl$_3$): δ 7.59-7.51 (m, 1H), 7.39-7.33 (m, 1H), 7.19 (d, *J* = 7.8 Hz, 1H), 7.14-7.05 (m, 4H), 7.05-6.96 (m, 2H), 6.59 (s, 1H), 6.24 (d, *J* = 8.4 Hz, 1H), 6.19 (d, *J* = 8.4 Hz, 1H), 6.15 (d, *J* = 2.4 Hz, 1H), 4.38 (s, br., 1H), 4.28 (d, *J* = 15.6 Hz, 1H), 4.23 (d, *J* = 15.6 Hz, 1H), 3.71 (s, 3H), 2.42 (s, 6H); ^{13}C NMR (150 MHz, CDCl$_3$): δ 160.8, 150.3, 149.3, 139.1, 138.3, 130.8, 129.9, 129.4, 128.6, 128.2, 121.8, 121.6, 120.2, 120.1, 118.7, 110.3, 106.5, 102.5, 101.8, 99.4, 55.1, 42.4, 40.9; HRMS (ESI) calcd for $C_{24}H_{24}N_3O$ (M-H)$^-$ 370.1925, found 370.2021.



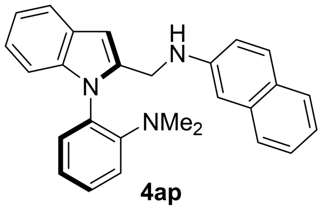
4an

2-(2-(((3-Bromophenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4an** was obtained as a yellowish oil in 86% yield (36.0 mg) and 79% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 8.71 min, t_r (minor) = 11.45 min]. $[\alpha]_D^{20}$ = −59.5 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.60-7.52 (m, 1H), 7.42-7.32 (m, 1H), 7.20 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.16-7.01 (m, 5H), 6.94 (t, *J* = 7.8 Hz, 1H), 6.76 (d, *J* = 7.8 Hz, 1H), 6.69 (t, *J* = 1.8 Hz, 1H), 6.56 (s, 1H), 6.47 (dd, *J* = 8.4, 2.4 Hz, 1H), 4.43 (s, br., 1H), 4.29 (d, *J* = 15.6 Hz, 1H), 4.21 (d, *J* = 15.6 Hz, 1H), 2.44 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.2, 149.2, 138.5, 138.3, 130.8, 130.4, 129.5, 128.7, 128.2, 123.3, 121.9, 121.8, 120.3, 120.2, 120.2, 118.8, 115.5, 112.0, 110.3, 101.8, 42.5, 40.8; HRMS (ESI) calcd for $C_{23}H_{21}BrN_3$ $(M-H)^-$ 418.0924, found 417.0880.



4ao

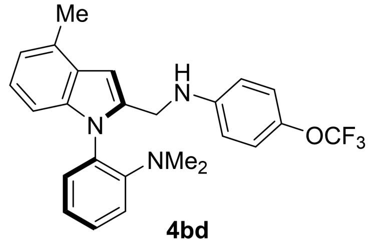
2-(2-(((2-Methoxyphenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4ao** was obtained as a yellowish oil in 88% yield (32.7 mg) and 65% ee. R_f= 0.30 (petroleum ether/ethyl acetate = 10:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.63 min, t_r (minor) = 7.51 min]. $[\alpha]_D^{20}$ = −24.8 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.59-7.52 (m, 1H), 7.39-7.32 (m, 1H), 7.25-7.20 (m, 1H), 7.14-7.05 (m, 4H), 7.04-6.98 (m, 1H), 6.82-6.76 (m, 1H), 6.74 (d, *J* = 7.8 Hz, 1H), 6.68-6.56 (m, 3H), 4.71 (s, br., 1H), 4.40 (d, *J* = 15.6 Hz, 1H), 4.26 (d, *J* = 15.6 Hz, 1H), 3.80 (s, 3H), 2.41 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.3, 147.1, 139.4, 138.0, 137.8, 130.7, 129.2, 128.5, 128.3, 121.6, 121.3, 121.2, 120.1, 120.0, 118.6, 116.8, 110.5, 110.3, 109.5, 101.4, 55.4, 42.3, 40.6; HRMS (ESI) calcd for $C_{24}H_{24}N_3O$ $(M-H)^-$ 370.1925, found 370.1915.



4ap

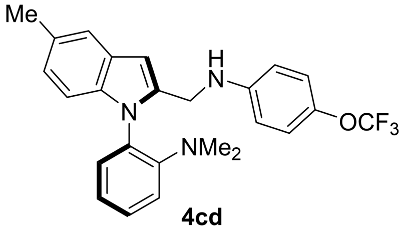
N-((1-(2-(Dimethylamino)phenyl)-1*H*-indol-2-yl)methyl)naphthalen-2-amine **4ap** was obtained as a yellowish oil in 89% yield (34.8 mg) and 72% ee. R_f= 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 8.16 min,

t_r (minor) = 10.39 min]. $[\alpha]_D^{20}$ = −33.0 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.62 (d, *J* = 8.0 Hz, 1H), 7.59-7.49 (m, 3H), 7.39-7.33 (m, 1H), 7.33-7.27 (m, 1H), 7.24-7.19 (m, 1H), 7.16 (d, *J* = 8.4 Hz, 1H), 7.14-7.06 (m, 4H), 7.06-7.00 (m, 1H), 6.84-6.74 (m, 2H), 6.63 (s, 1H), 4.53 (s, br., 1H), 4.42-4.30 (m, 2H), 2.45 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.3, 145.6, 138.9, 138.3, 135.2, 130.9, 129.5, 128.9, 128.7, 128.3, 127.7, 127.7, 126.3, 126.0, 122.1, 121.9, 121.7, 120.3, 120.2, 118.8, 118.1, 110.4, 105.1, 102.0, 42.6, 41.0; HRMS (ESI) calcd for $C_{27}H_{24}N_3$ $(M-H)^-$ 390.1976, found 390.1964.



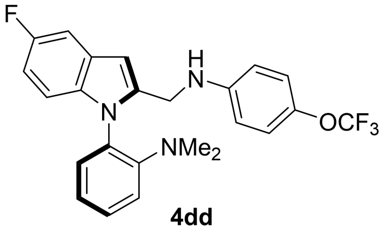
4bd

N,N-Dimethyl-2-(4-methyl-2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4bd** was obtained as a yellowish oil in 92% yield (40.4 mg) and 87% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 6.04 min, t_r (minor) = 8.49 min]. $[\alpha]_D^{20}$ = −26.8 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.40-7.32 (m, 1H), 7.18 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.10 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.07-7.00 (m, 2H), 6.97 (d, *J* = 7.8 Hz, 1H), 6.94-6.88 (m, 2H), 6.60 (s, 1H), 6.97 (d, *J* = 7.8 Hz, 1H), 6.50 (d, *J* = 9.0 Hz, 2H), 4.42 (s, br., 1H), 4.27 (d, *J* = 15.6 Hz, 1H), 4.24 (d, *J* = 15.6 Hz, 1H), 2.55 (s, 3H), 2.43 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.2, 146.7, 140.5, 138.1, 138.0, 130.8, 129.7, 129.4, 128.9, 128.0, 122.3, 122.1, 121.7, 120.8 (q, *J* = 253.7 Hz), 120.5, 118.7, 113.4, 108.0, 100.5, 42.5, 41.2, 18.7; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.37; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M-H)^-$ 438.1799, found 438.1789.



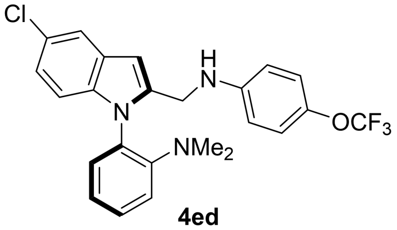
4cd

N, N-Dimethyl-2-(5-methyl-2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4cd** was obtained as a yellowish oil in 94% yield (41.3 mg) and 85% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.20 min, t_r (minor) = 6.08 min]. $[\alpha]_D^{20}$ = −42.8 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.42-7.30 (m, 2H), 7.17 (d, *J* = 7.8 Hz, 1H), 7.10 (d, *J* = 7.8 Hz, 1H), 7.03 (t, *J* = 7.8 Hz, 1H), 7.00-6.88 (m, 4H), 6.50 (d, *J* = 3.0 Hz, 2H), 6.48 (s, 1H), 4.43 (s, br., 1H), 4.26 (d, J = 15.6 Hz, 1H), 4.22 (d, J = 15.6 Hz, 1H), 2.43 (s, 9H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.2, 146.7, 140.5, 138.6, 136.7, 130.7, 129.5, 129.3, 128.8, 128.4, 123.5, 122.3, 121.7, 120.8 (q, J = 253.9 Hz), 120.0, 118.7, 113.4, 110.0, 101.5, 42.5, 41.2, 21.4; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.38; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M-H)^-$ 438.1799, found 438.1789.



4dd

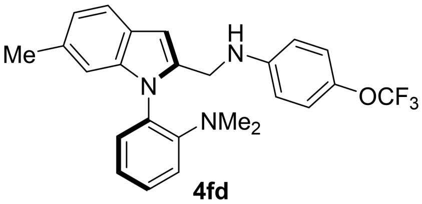
2-(5-Fluoro-2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)-*N*, *N*-dimethylaniline **4dd** was obtained as a yellowish oil in 95% yield (42.1 mg) and 89% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (05:95), 1.0 mL/min, λ = 254 nm, t_r (major) = 7.33 min, t_r (minor) = 7.95 min]. $[\alpha]_D^{20}$ = −26.5 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.39 (t, J = 7.2 Hz, 1H), 7.24-7.19 (m, 1H), 7.17 (d, J = 7.8 Hz, 1H), 7.11 (d, J = 8.4 Hz, 1H), 7.05 (t, J = 7.2 Hz, 1H), 7.01-6.93 (m, 3H), 6.89-6.82 (m, 1H), 6.54 (s, 1H), 6.50 (d, J = 8.4 Hz, 2H), 4.29 (d, J = 15.0 Hz, 1H), 4.22 (d, J = 15.6 Hz, 1H), 2.42 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 158.3 (d, $^1J_{C-F}$ = 233.1 Hz), 150.1, 146.5, 140.6, 140.3, 134.8, 130.6, 129.6, 128.4, 128.3 (d, $^3J_{C-F}$ = 10.2 Hz), 122.3, 121.7, 120.7 (q, J = 256.7 Hz), 118.8, 113.4, 110.9 (d, $^3J_{C-F}$ = 9.6 Hz), 110.1 (d, $^2J_{C-F}$ = 26.0 Hz), 105.1 (d, $^2J_{C-F}$ = 23.4 Hz), 101.8 (d, $^4J_{C-F}$ = 4.5 Hz), 42.4, 41.1; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.40, -124.41; HRMS (ESI) calcd for $C_{24}H_{20}F_4N_3O$ $(M-H)^-$ 442.1548, found 442.1536.



4ed

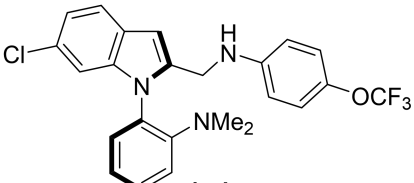
2-(5-Chloro-2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)-*N*, *N*-dimethylaniline **4ed** was obtained as a yellowish oil in 89% yield (40.9 mg) and 83% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.86 min, t_r (minor) = 6.58 min]. $[\alpha]_D^{20}$ = −51.3 (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.52 (d, J = 1.8 Hz, 1H), 7.42-7.34 (m, 1H), 7.16 (dd, J = 7.8, 1.8 Hz, 1H), 7.11 (dd, J = 8.4, 1.2 Hz, 1H), 7.09-7.02 (m, 2H), 7.01-6.94 (m, 3H), 6.51 (s, 1H), 6.49 (d, J = 9.0 Hz, 2H), 4.34 (s, br., 1H), 4.29 (d, J = 15.6 Hz, 1H), 4.22 (d, J = 15.6 Hz, 1H), 2.41 (s, 6H); ^{13}C

NMR (150 MHz, $CDCl_3$): δ 150.1, 146.5, 140.6, 140.1, 136.7, 130.5, 129.7, 129.1, 128.2, 125.9, 122.3, 122.2, 121.8, 120.7 (q, *J* = 253.8 Hz), 119.7, 118.9, 113.3, 111.3, 101.4, 42.4, 41.1; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.37; HRMS (ESI) calcd for $C_{24}H_{20}ClF_3N_3O$ $(M-H)^-$ 458.1252, found 458.1349.



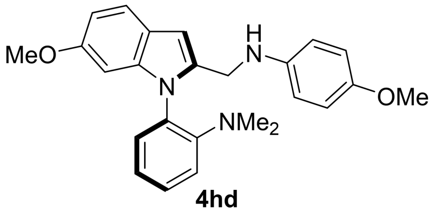
4fd

N, *N*-Dimethyl-2-(6-methyl-2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4fd** was obtained as a yellowish oil in 94% yield (41.3 mg) and 89% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.22 min, t_r (minor) = 6.14 min]. $[\alpha]_D^{20}$ = −41.3 (c = 0.60, EtOAc); ^1H NMR (600 MHz, $CDCl_3$): δ 7.45 (d, *J* = 8.4 Hz, 1H), 7.40-7.34 (m, 1H), 7.20-7.16 (m, 1H), 7.10 (d, *J* = 7.8 Hz, 1H), 7.07-7.02 (m, 1H), 6.99-6.91 (m, 3H), 6.88 (s, 1H), 6.52 (s, 1H), 6.48 (d, *J* = 9.0 Hz, 2H), 4.25 (d, *J* = 15.6 Hz, 1H), 4.21 (d, *J* = 15.6 Hz, 1H), 2.43 (s, 6H), 2.38 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.2, 146.7, 140.5, 138.7, 137.9, 131.9, 130.8, 129.4, 128.7, 126.0, 122.3, 122.0, 121.6, 119.9 (q, *J* = 253.2 Hz), 119.8, 118.7, 113.4, 110.2, 101.8, 42.5, 41.1, 21.8; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.39; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M-H)^-$ 438.1799, found 438.1788.



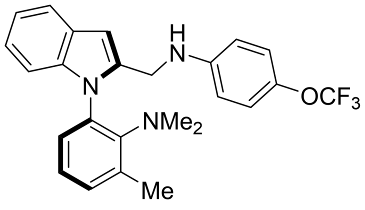
4gd

2-(6-Chloro-2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)-*N*, *N*-dimethylaniline **4gd** was obtained as a yellowish oil in 90% yield (41.3 mg) and 83% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.87 min, t_r (minor) = 6.44 min]. $[\alpha]_D^{20}$ = −49.5 (c = 0.60, EtOAc); ^1H NMR (600 MHz, $CDCl_3$): δ 7.46 (d, *J* = 9.0 Hz, 1H), 7.42-7.35 (m, 1H), 7.16 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.11 (dd, *J* = 8.4, 1.2 Hz, 1H), 7.09-7.01 (m, 3H), 6.97 (d, *J* = 9.0 Hz, 2H), 6.54 (s, 1H), 6.49 (d, *J* = 9.0 Hz, 2H), 4.38 (s, br., 1H), 4.28 (d, *J* = 15.6 Hz, 1H), 4.21 (d, *J* = 15.6 Hz, 1H), 2.42 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.1, 146.5, 140.6, 139.5, 138.7, 130.5, 129.8, 128.0, 127.9, 126.7, 122.3, 121.9, 121.1, 120.9, 120.7 (q, *J* = 253.8 Hz), 118.9, 113.4, 110.3, 101.9, 42.5, 41.0; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.37; HRMS (ESI) calcd for $C_{24}H_{20}ClF_3N_3O$ $(M\text{-}H)^-$ 458.1252, found 458.1349.



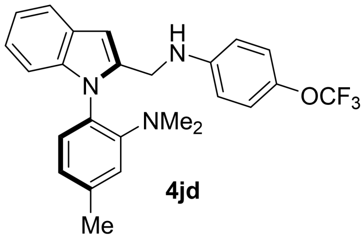
4hd

2-(6-Methoxy-2-(((4-methoxyphenyl)amino)methyl)-1*H*-indol-1-yl)-*N*,*N*-dimethylaniline **4hd** was obtained as a yellowish oil in 88% yield (35.3 mg) and 81% ee. R_f = 0.15 (petroleum ether/dichloromethane = 1:2). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (50:50), 1.0 mL/min, λ = 254 nm, t_r (minor) = 6.60 min, t_r (major) = 7.72 min]. $[\alpha]_D^{20} = -44.7$ (c = 1.00, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.43 (d, *J* = 9.0 Hz, 1H), 7.40-7.35 (m, 1H), 7.20 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.13-7.09 (m, 1H), 7.07-7.02 (m, 1H), 6.77 (dd, *J* = 8.4, 2.4 Hz, 1H), 6.74-6.70 (m, 2H), 6.58-6.51 (m, 3H), 6.50 (s, 1H), 4.23-4.15 (m, 2H), 3.74 (s, 3H), 3.72 (s, 3H), 2.45 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 156.3, 152.3, 150.1, 138.8, 138.0, 130.7, 129.3, 128.6, 122.4, 121.5, 120.7, 118.6, 114.8, 114.8, 114.7, 109.7, 101.8, 94.0, 55.8, 55.7, 42.4; HRMS (ESI) calcd for $C_{25}H_{26}N_3O_2$ $(M\text{-}H)^-$ 400.2031, found 400.2025.



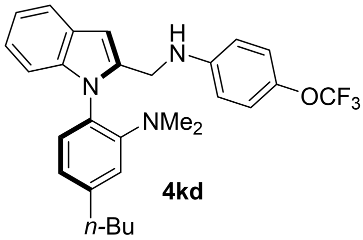
4id

N, N, 2-Trimethyl-6-(2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4id** was obtained as a yellowish oil in 85% yield (37.3 mg) and 20% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.93 min, t_r (minor) = 9.38 min]. ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.62-7.54 (m, 1H), 7.28-7.23 (m, 1H), 7.12-7.08 (m, 2H), 7.04 (t, *J* = 7.2 Hz, 1H), 7.01-6.94 (m, 4H), 6.61 (s, 1H), 6.51 (d, *J* = 9.0 Hz, 2H), 4.29 (d, *J* = 15.6 Hz, 1H), 4.19 (d, *J* = 15.6 Hz, 1H), 3.95 (s, br., 1H), 2.40 (s, 6H), 2.37 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 149.4, 146.4, 140.7, 139.2, 138.3, 136.6, 132.2, 132.1, 128.3, 127.8, 123.6, 122.4, 121.7, 120.7 (q, *J* = 253.1 Hz), 120.2, 120.0, 113.3, 110.8, 101.4, 42.3, 41.3, 19.8; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.42; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M\text{-}H)^-$ 438.1799, found 438.1790.



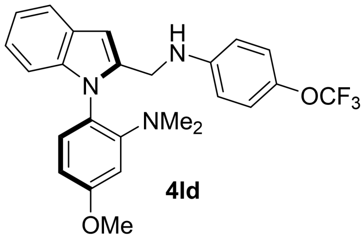
4jd

N, *N*, 4-Trimethyl-2-(2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1H-indol-1-yl)aniline **4jd** was obtained as a yellowish oil in 96% yield (42.2 mg) and 91% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 5.78 min, t_r (minor) = 7.00 min]. $[\alpha]_D^{20}$ = −54.4 (c = 1.00, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.62-7.51 (m, 1H), 7.16-7.02 (m, 4H), 6.97 (d, *J* = 8.4 Hz, 2H), 6.90 (s, 1H), 6.88-6.82 (m, 1H), 6.57 (s, 1H), 6.50 (d, *J* = 9.0 Hz, 2H), 4.46 (s, br., 1H), 4.26 (d, *J* = 15.0 Hz, 1H), 4.23 (d, *J* = 15.6 Hz, 1H), 2.41 (s, 9H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 149.8, 146.7, 140.5, 139.5, 138.7, 138.4, 130.5, 128.1, 126.1, 122.5, 122.3, 121.9, 120.8 (q, *J* = 253.6 Hz), 120.2, 120.1, 119.5, 113.3, 110.4, 101.8, 42.5, 41.1, 21.6; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.37; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M-H)^-$ 438.1799, found 438.1789.

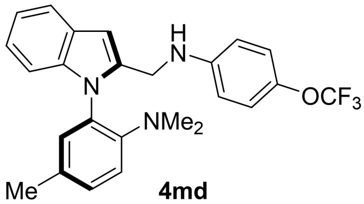


4kd

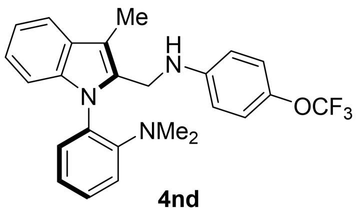
5-Butyl-*N*, *N*-dimethyl-2-(2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4kd** was obtained as a yellowish oil in 93% yield (44.8 mg) and 89% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak IC, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 4.97 min, t_r (minor) = 5.65 min]. $[\alpha]_D^{20}$ = −44.0 (c = 0.60, EtOAc); ^{1}H NMR (400 MHz, $CDCl_3$): δ 7.63-7.50 (m, 1H), 7.16-7.04 (m, 4H), 6.96 (d, *J* = 8.4 Hz, 2H), 6.90 (s, 1H), 6.89-6.80 (m, 1H), 6.56 (s, 1H), 6.50 (d, *J* = 8.8 Hz, 2H), 4.32-4.19 (m, 2H), 2.66 (t, *J* = 8.0 Hz, 2H), 2.42 (s, 6H), 1.74-1.60 (m, 2H), 1.48-1.36 (m, 2H), 0.97 (t, *J* = 7.2 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$): δ 149.8, 146.7, 144.5, 140.5, 138.7, 138.4, 130.4, 128.1, 126.2, 122.3, 121.8, 121.7, 120.8 (q, *J* = 253.5 Hz), 120.2, 120.1, 118.7, 113.4, 110.4, 101.8, 42.5, 41.1, 35.9, 33.6, 22.6, 14.0; ^{19}F NMR (376 MHz, $CDCl_3$) δ -58.38; HRMS (ESI) calcd for $C_{28}H_{29}F_3N_3O$ $(M-H)^-$ 480.2268, found 480.2254.



5-Methoxy-*N*,*N*-dimethyl-2-(2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4ld** was obtained as a yellowish oil in 90% yield (41.0 mg) and 80% ee. $R_f = 0.30$ (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, $\lambda = 254$ nm, t_r (major) = 8.65 min, t_r (minor) = 9.95 min]. $[\alpha]_D^{20} = -34.0$ (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.57 (d, *J* = 7.2 Hz, 1H), 7.17-7.03 (m, 4H), 6.97 (d, *J* = 8.4 Hz, 2H), 6.63 (d, *J* = 2.4 Hz, 1H), 6.59-6.54 (m, 2H), 6.51 (d, *J* = 9.0 Hz, 2H), 4.29-4.18 (m, 2H), 3.86 (s, 3H), 2.41 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 160.3, 151.3, 146.6, 140.5, 138.8, 138.6, 131.6, 128.0, 122.3, 121.9, 121.5, 120.7 (q, *J* = 253.5 Hz), 120.2, 120.1, 113.4, 110.4, 105.6, 105.3, 101.7, 55.5, 42.4, 41.0; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.39; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O_2$ $(M-H)^-$ 454.1748, found 454.1735.



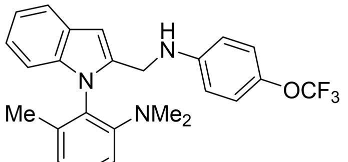
N, *N*, 4-Trimethyl-2-(2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4md** was obtained as a yellow solid in 94% yield (41.3 mg) and 91% ee. $R_f = 0.40$ (petroleum ether/ethyl acetate = 12:1); m.p. 73-74 °C. The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (10:90), 1.0 mL/min, $\lambda =$ 254 nm, t_r (major) = 6.18 min, t_r (minor) = 7.23 min]. $[\alpha]_D^{20} = -25.0$ (c = 0.60, EtOAc); ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.62-7.51 (m, 1H), 7.18 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.15-7.06 (m, 3H), 7.04-6.98 (m, 2H), 6.96 (d, *J* = 7.8 Hz, 2H), 6.57 (d, *J* = 1.2 Hz, 1H), 6.52-6.44 (m, 2H), 4.30-4.21 (m, 2H), 2.40 (s, 6H), 2.29 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 147.8, 146.8, 140.5, 138.7, 138.4, 131.7, 131.2, 130.0, 128.9, 128.2, 122.3, 121.9, 120.8 (q, *J* = 253.5 Hz), 120.3, 120.2, 118.8, 113.4, 110.4, 101.9, 42.8, 41.1, 20.3; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.34; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M-H)^-$ 438.1799, found 438.1784.



4nd

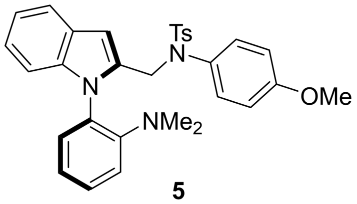
4ld and **4md** labels

N,N-Dimethyl-2-(3-methyl-2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4nd** was obtained as a yellowish oil in 87% yield (38.2 mg) and 2% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak AD-H, isopropanol/hexane (10:90), 1.0 mL/min, λ = 254 nm, t_r (major) = 4.33 min, t_r (minor) = 4.95 min]. ^1H NMR (600 MHz, $CDCl_3$): δ 7.62-7.55 (m, 1H), 7.39-7.31 (m, 1H), 7.18-7.11 (m, 3H), 7.08 (d, *J* = 8.4 Hz, 1H), 7.06-7.00 (m, 2H), 6.95 (d, *J* = 8.4 Hz, 2H), 6.44 (d, *J* = 8.4 Hz, 2H), 4.44 (s, br., 1H), 4.32 (d, *J* = 13.8 Hz, 1H), 4.04 (d, *J* = 13.8 Hz, 1H), 2.41 (s, 6H), 2.40 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 150.4, 146.9, 140.4, 137.6, 133.8, 131.1, 129.4, 129.2, 128.8, 122.3, 122.3, 121.9, 120.7 (q, *J* = 253.7 Hz), 119.6, 118.7, 118.6, 113.3, 110.6, 110.3, 42.7, 39.0, 9.1; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.41; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M-H)^-$ 438.1799, found 438.1795.



4od

N, N, 3-Trimethyl-2-(2-(((4-(trifluoromethoxy)phenyl)amino)methyl)-1*H*-indol-1-yl)aniline **4od** was obtained as a yellowish oil in 52% yield (22.8 mg) and 2% ee. R_f = 0.40 (petroleum ether/ethyl acetate = 12:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (02:98), 1.0 mL/min, λ = 254 nm]. ^1H NMR (600 MHz, $CDCl_3$): δ 7.54-7.48 (m, 1H), 7.21 (t, *J* = 8.4 Hz, 1H), 7.07-6.99 (m, 2H), 6.91-6.85 (m, 4H), 6.84-6.78 (m, 1H), 6.52 (s, 1H), 6.45-6.35 (m, 2H), 4.40 (s, br., 1H), 4.12 (d, *J* = 15.6 Hz, 1H), 4.02 (d, *J* = 15.0 Hz, 1H), 2.35 (s, 6H), 1.64 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 151.2, 146.7, 140.4, 139.3, 137.8, 137.7, 129.3, 128.2, 128.1, 124.0, 122.3, 122.1, 120.5, 120.0, 120.7 (q, *J* = 253.6 Hz), 116.5, 113.2, 110.4, 102.0, 43.3, 41.0, 17.8; ^{19}F NMR (565 MHz, $CDCl_3$) δ -58.39; HRMS (ESI) calcd for $C_{25}H_{23}F_3N_3O$ $(M-H)^-$ 438.1799, found 438.1795.



5

N-((1-(2-(Dimethylamino)phenyl)-1*H*-indol-2-yl)methyl)-*N*-(4-methoxyphenyl)-4-methylbenzensulfonamide **5** was obtained as a yellowish oil in 93% yield (48.8 mg) and 88% ee. R_f = 0.30 (petroleum ether/ethyl acetate = 5:1). The ee value was determined by chiral stationary phase HPLC analysis [Daicel Chiralpak OD-H, isopropanol/hexane (20:80), 1.0 mL/min, λ = 254 nm, t_r (major) = 7.31 min, t_r (minor) = 8.40 min]. $[\alpha]_D^{20}$ = 117.0 (c = 1.00, EtOAc); ^1H NMR

(600 MHz, $CDCl_3$): δ 7.55-7.49 (m, 1H), 7.38 (d, *J* = 7.8 Hz, 2H), 7.37-7.33 (m, 1H), 7.18 (d, *J* = 8.4 Hz, 2H), 7.10-7.01 (m, 4H), 7.00-6.93 (m, 2H), 6.80-6.72 (m, 2H), 6.67-6.59 (m, 2H), 6.54 (s, 1H), 4.81 (d, *J* = 15.6 Hz, 1H), 4.76 (d, *J* = 15.0 Hz, 1H), 3.72 (s, 3H), 2.39 (s, 3H), 2.29 (s, 6H); ^{13}C NMR (150 MHz, $CDCl_3$): δ 158.7, 149.8, 143.2, 137.8, 135.8, 135.4, 131.7, 130.5, 129.8, 129.3, 129.0, 128.2, 128.1, 127.8, 121.8, 121.1, 120.2, 120.0, 118.6, 113.8, 110.2, 103.8, 55.3, 47.6, 41.9, 21.6; HRMS (ESI) calcd for $C_{31}H_{31}N_3O_3S$ $(M\text{-}H)^-$ 525.2092, found 525.2054.

The Absolute Stereochemistry Discussion

In order to confirm the absolute configuration (AC) of compounds **4**, the ECD spectra were calculated by the TD-DFT method, which has been proven to be useful in predicting ECD spectra and assigning the AC of organic molecules.[S1] Gaussian 09 package of programs is applied for theoretical calculation.[S2] Geometries optimization and the Gibbs energy calculations were performed at the level of b3lyp/6-311+g(2d,p)//b3lyp/6-311++g(3df,2p). Calculations of ECD were performed based on the optimized conformations, and then the ECD spectra was obtained by Multiwfn.[S3] As shown in Figure S1, the simulated spectra are in good agreement with the experimental spectral data, and the *R*a configuration could be reliably assigned to compound **4aa** (sample concentration: 1.88 × 10^{-4} mol/L in *i*-PrOH).

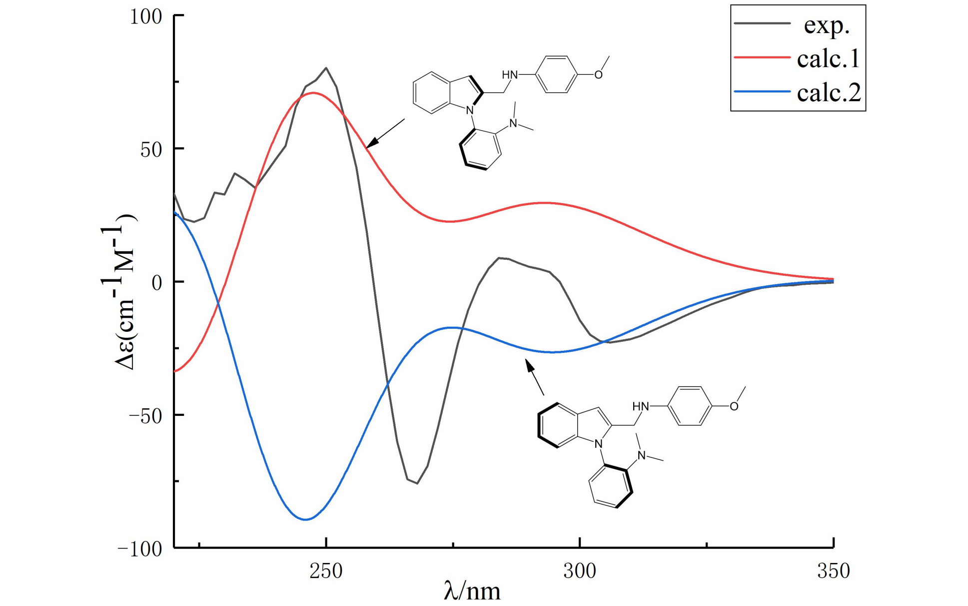


Figure S1. Experimental ECD spectra (black line) and simulated spectra (red line) proving R_a-conformer **4aa** absolute configuration.

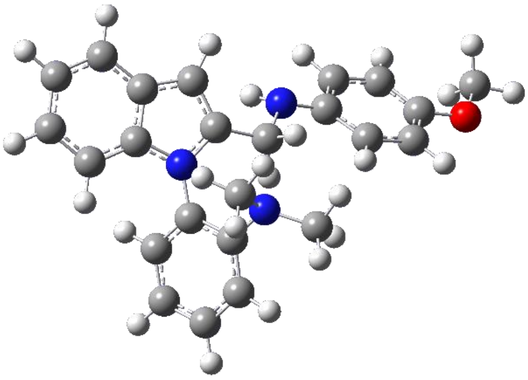
S1. N. Berova, L. D. Bari, G. Pescitelli, Application of electronic circular dichroism in configurational and conformational analysis of organic compounds. *Chem. Soc. Rev.*, **2007**, *36*, 914-931.

S2. M. Frisch, G. Trucks, H. Schlegel, G. Scuseria, M. Robb, J. Cheeseman, G. Scalmani, V. Barone, B. Mennucci, G. Petersson, *Gaussian, 09, Revision A.02*, Gaussian, Inc., Wallingford CT, 2009 (2015).

S3. T. Lu, F. Chen, Multiwfn: a multifunctional wavefunction analyzer. *J. Comput. Chem.*, **2012**, *33*, 580-592.

In order to obtain accurate ECD spectra, 9 major conformations are identified by configuration analysis and optimization. All cartesian coordinates of Gaussian optimized structures for the 9 conformations and the corresponding gibbs free energies are listed in Table S3. The Boltzmann average spectra of ECD is shown in Fig. S1. In addition, solvent corrections are carried out in the calculations.

Table S3. Optimized geometries labeled with Gibbs free energies (Hartree) and cartesian coordinates for the 9 conformations (named as P1~P9, P1, P3, P7 and P8 are S_a-conformer of **4aa**, P2, P4, P5, P6 and P9 are R_a-conformer of **4aa**).

conformations	cartesian coordinates			
P1	C	-3.0259	-5.1231	-0.5262
	C	-3.9668	-4.2472	0.0023
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	C	-2.335	-2.4675	-0.0373
	C	-1.388	-3.3335	-0.5895
	C	-1.7363	-4.6778	-0.8294
	N	-1.7443	-1.2028	0.062
	C	-0.2064	-2.5864	-0.7972
	C	-0.4223	-1.293	-0.3579
	C	0.5572	-0.1602	-0.2852
	N	1.9036	-0.6208	0.0595
	C	2.9386	0.2915	0.2636
	C	2.9131	1.6149	-0.1824
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	C	7.454	2.4287	1.155
	C	-2.4036	-0.0389	0.5563
	C	-2.9759	-0.1221	1.8392
	C	-2.4429	1.1642	-0.1909
	C	-3.581	0.9935	2.409
	C	-3.0592	2.2709	0.4226
	C	-3.6193	2.1895	1.7028
	N	-1.9498	1.2349	-1.5103
	C	-2.8338	0.5601	-2.4722
	C	-1.4591	2.5324	-1.9779
	H	-3.2951	-6.159	-0.7158
	H	-4.9713	-4.6028	0.2195
	H	-4.3985	-2.2317	0.634
	H	-1.0091	-5.3632	-1.2551
	H	0.7282	-2.9542	-1.2022

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	H	0.243	0.5723	0.466
	H	1.8808	-1.3424	0.7741
	H	2.0329	2.0265	-0.6658
	H	4.2149	-1.2179	1.131
	H	3.9707	3.4842	-0.3602
	H	6.1437	0.2278	1.4289
	H	8.1587	3.2657	1.1334
	H	7.8609	1.6352	0.5192
	H	7.3641	2.0961	2.1943
	H	-2.9181	-1.042	2.4175
	H	-4.0112	0.9326	3.4051
	H	-3.1444	3.2196	-0.0992
	H	-4.092	3.0646	2.1417
	H	-2.3299	0.4769	-3.4416
	H	-3.7596	1.1289	-2.6175
	H	-3.0995	-0.456	-2.1665
	H	-0.7869	2.9901	-1.2436
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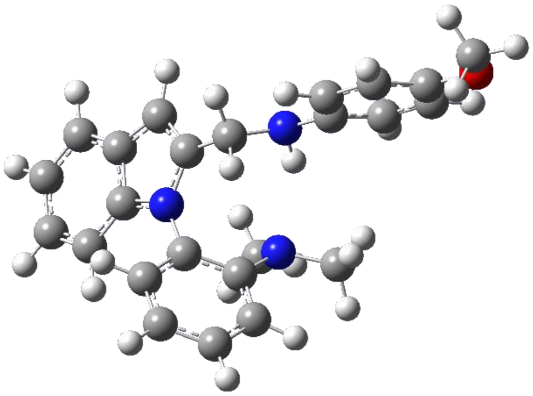
	H	-2.2792	3.2233	-2.2025
P2  -1169.009957	C	-3.8765	-4.3201	2.0777
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	C	-1.8165	-3.2327	1.3998
	C	-2.4858	-4.206	2.167
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	C	-2.5827	-0.9102	-2.1763
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	C	-2.8599	0.0205	-3.1699
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	H	0.3893	-3.3101	1.7722
	H	1.5877	-1.9443	-0.3824
	H	0.6403	-0.6802	-1.1532
	H	0.6495	0.4062	1.0953
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	H	2.3088	1.8401	2.1217
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	H	4.319	3.183	1.6629
	H	7.6156	3.386	-1.3281
	H	7.2528	1.6565	-1.2946
	H	6.2676	2.7873	-2.3026
	H	-2.753	-1.9665	-2.3753
	H	-3.2655	-0.3017	-4.1251
	H	-1.9113	2.8495	-1.5815
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	H	-0.2622	2.793	1.6551
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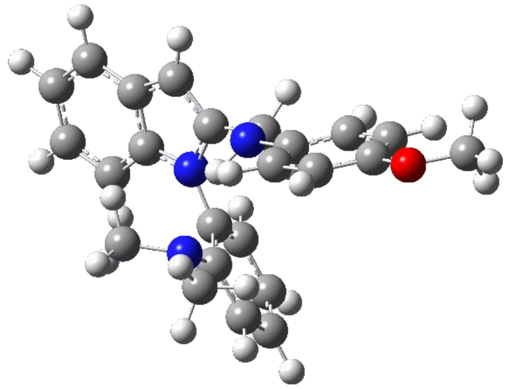
P3  -1169.009994	C	-4.0378	3.9237	2.6986
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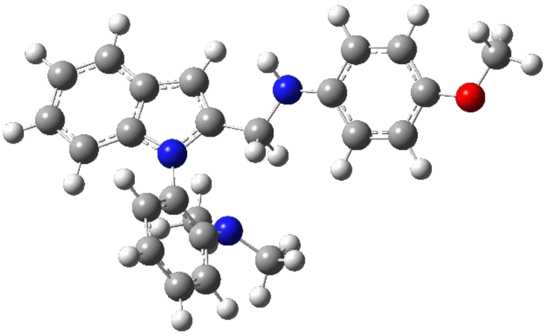
P4  -1169.009597	C	-3.1297	-4.3048	2.7522
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	C	-2.9971	1.7774	-1.4782
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	H	6.3841	-0.063	-0.4517
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	H	7.7328	1.0072	-2.0518
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	H	-2.7081	-2.0628	-1.8715

	H	-3.6657	-0.7131	-3.7044
	H	-3.1204	2.8549	-1.4149
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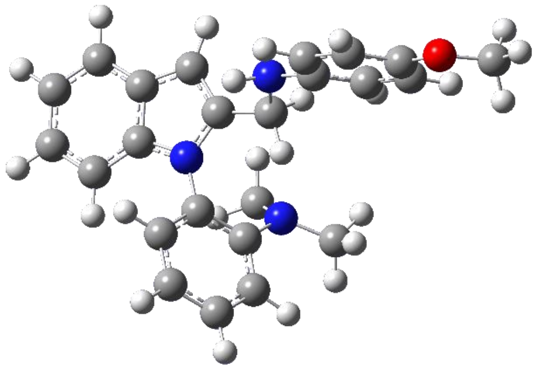
P5  -1169.009918	C	-3.2068	4.7169	-2.0255
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	N	-1.6486	1.2258	-0.3817
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	C	-0.3527	1.25	-0.8783
	C	0.7036	0.2423	-0.5423
	N	1.8701	0.8799	0.0724
	C	2.9123	0.0739	0.5435
	C	3.7357	0.5667	1.5635
	C	3.274	-1.1412	-0.0473
	C	4.8376	-0.1623	2.0231
	C	4.3828	-1.8729	0.4045
	C	5.1683	-1.3867	1.4463
	O	6.2715	-1.9942	1.9776
	C	6.7061	-3.1938	1.3504
	C	-2.2023	0.2589	0.5024
	C	-2.6289	0.7378	1.7574
	C	-2.2566	-1.1174	0.1695
	C	-3.0928	-0.1468	2.7228
	C	-2.7195	-1.9771	1.1856
	C	-3.1319	-1.5047	2.4388
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	H	0.3372	-0.5284	0.1396

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	H	-3.4057	0.2199	3.6966
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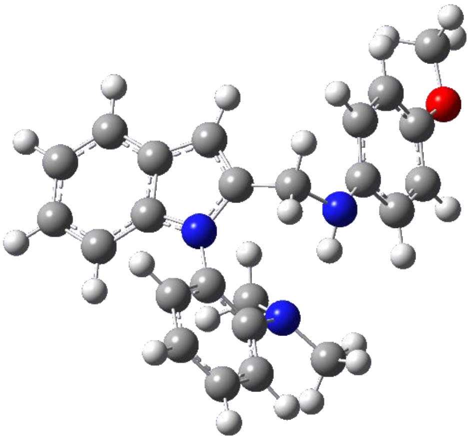	C	-2.0615	-4.5168	0.2957
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	C	-2.5907	0.9405	0.3181
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	C	-1.0575	0.0691	2.0085
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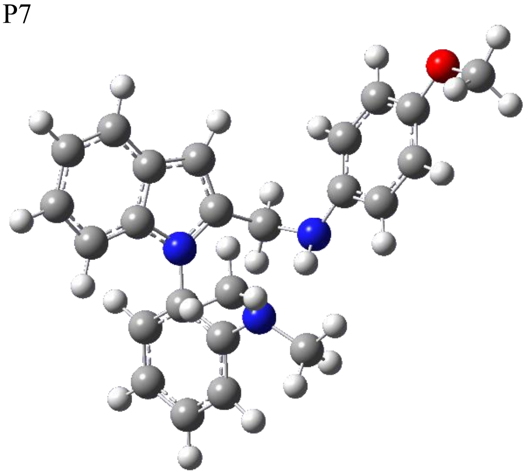	C	-1.7974	-0.1891	-4.7233
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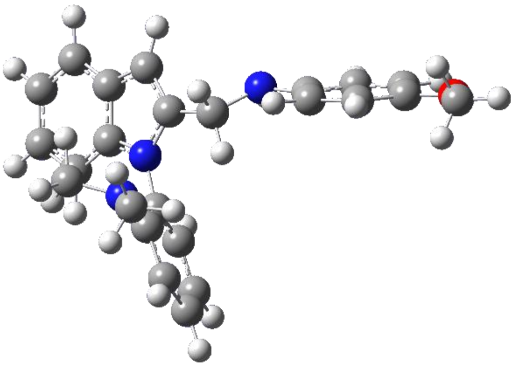
P8



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H	0.5316	-2.0821	-2.8781
H	0.4575	0.3922	0.2368
H	1.2729	0.3958	-1.331
H	1.2622	-2.0324	0.314
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H	4.9369	-2.1058	3.0598
H	7.0585	1.6356	2.1305
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H	7.5181	1.4393	3.8258
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H	-3.5204	-0.3958	3.3051
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H	-1.8097	1.3596	-2.9091
H	-2.5742	2.924	-2.6138
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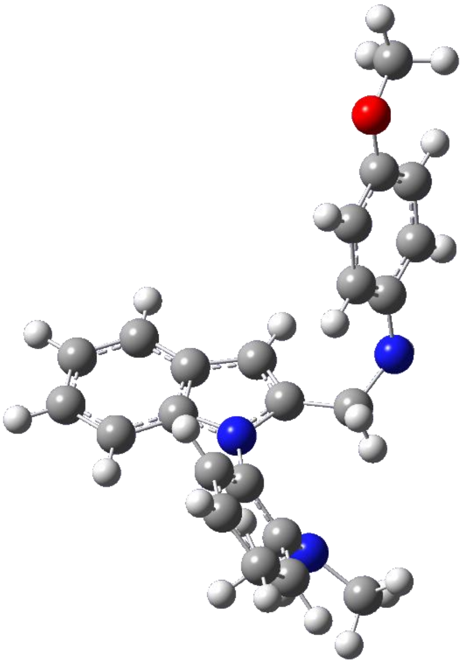
	H	-0.1605	3.4192	0.0043
P9 	C	-1.3565	4.6184	0.5765
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	C	2.5686	-0.807	-1.0848
	C	2.7106	-0.8241	0.3046
	C	3.7181	-0.5528	-1.8467
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	C	-3.3723	-2.5348	1.1935
	C	-3.1873	-2.5524	2.5815
	N	-3.0981	-1.4828	-1.0083
	C	-3.4983	-2.724	-1.6721
	C	-3.8875	-0.3064	-1.4043
	H	-1.3075	5.7041	0.5928
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	H	-3.0072	2.0472	2.0812
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	H	0.8075	1.6801	-1.8492
	H	0.0959	-1.7979	-0.2376
	H	-0.6287	-1.5078	-1.8062
	H	1.3431	-0.7286	-2.6784
	H	1.8722	-1.0637	0.9509
	H	3.6652	-0.5903	-2.9321
	H	4.0101	-0.5094	1.9936
	H	5.7923	-0.0432	-1.8963
	H	7.0718	1.4352	-0.4764
	H	7.6953	-0.2595	-0.5387
	H	8.0984	0.8025	0.8158

	H	-1.2505	0.1969	2.918
	H	-2.2955	-1.5724	4.2768
	H	-3.9919	-3.3206	0.7711
	H	-3.6365	-3.3443	3.1756
	H	-2.8335	-3.5526	-1.4034
	H	-4.5342	-2.9926	-1.4366
	H	-3.4237	-2.6018	-2.7586
	H	-4.3605	-0.4658	-2.3805
	H	-3.2563	0.5786	-1.5154
	H	-4.6863	-0.0914	-0.685

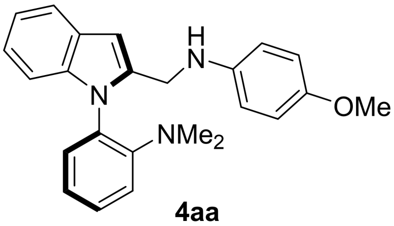
Investigation on the Enantiomerization Barrier

The reaction was conducted at 1 mg/mL concentration in a sealed tube and heated at the specified temperature. The change in ee over time was determined by HPLC. The barrier to rotation for **4aa** was obtained by kinetic of racemization of an enantiomer.

This data was plotted as (In[ee_0/ee_t]) versus time (seconds). The gradient of this graph gives the racemization constant ($k_{racemization} = 2 \times k_{enantiomerization}$) at the specified temperature. The barrier to rotation, $\Delta G^{\neq}_{enantiomerization}$, was calculated using the following Eyring equation, R = Gas constant = 8.3145 $J \cdot K^{-1} \cdot mol^{-1}$, h = Planck constant = 6.62608 x 10^{-34} J·s, k_B = Boltzmann constant = 1.38066 x 10^{-23} $J \cdot K^{-1}$, and T_1 = temperature racemization study was conducted at, in Kelvin.

$$\Delta G^{\neq}{}_{enantiomerization} = RT_1 \ln \frac{k_B T_1}{h k_{enantiomerization}}$$

Racemization of **4aa** in *i*-PrOH at 80 °C

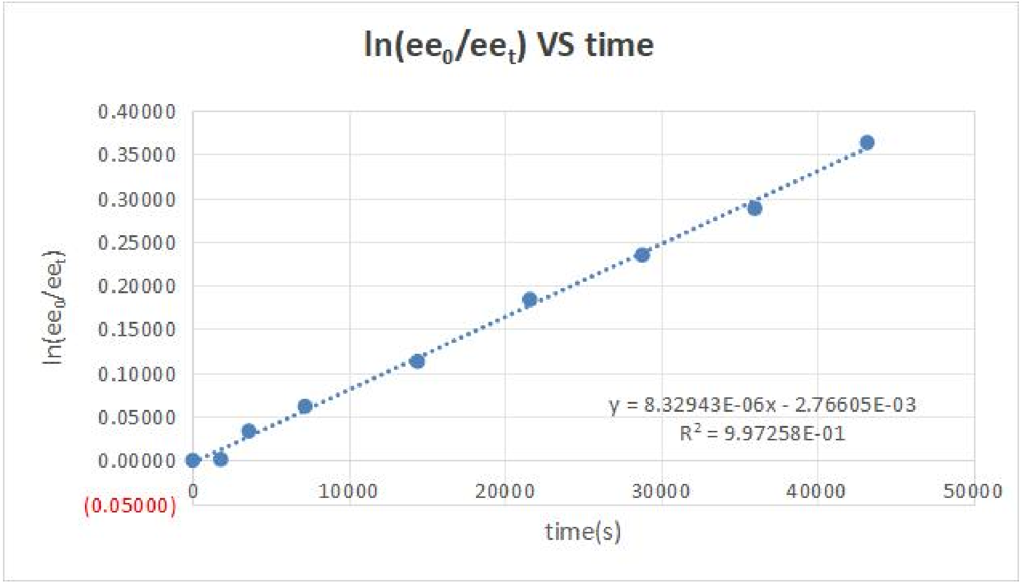


4aa

Table S4. Investigation on the enantiomerization barrier of 4aa

Time (seconds)	Enantiomeric Excess (ee)	First Order Racemization (ln[ee_0/ee_t])
0	91.50	0.00000
1800	91.40	0.00109
3600	88.48	0.03356

7200	86.02	0.06176
14400	81.72	0.11304
21600	76.13	0.18390
28800	72.36	0.23469
36000	68.60	0.28805
43200	63.62	0.36341



$k_{\text{racemization}}$ (80 °C) = 8.32943×10^{-6} s^{-1}

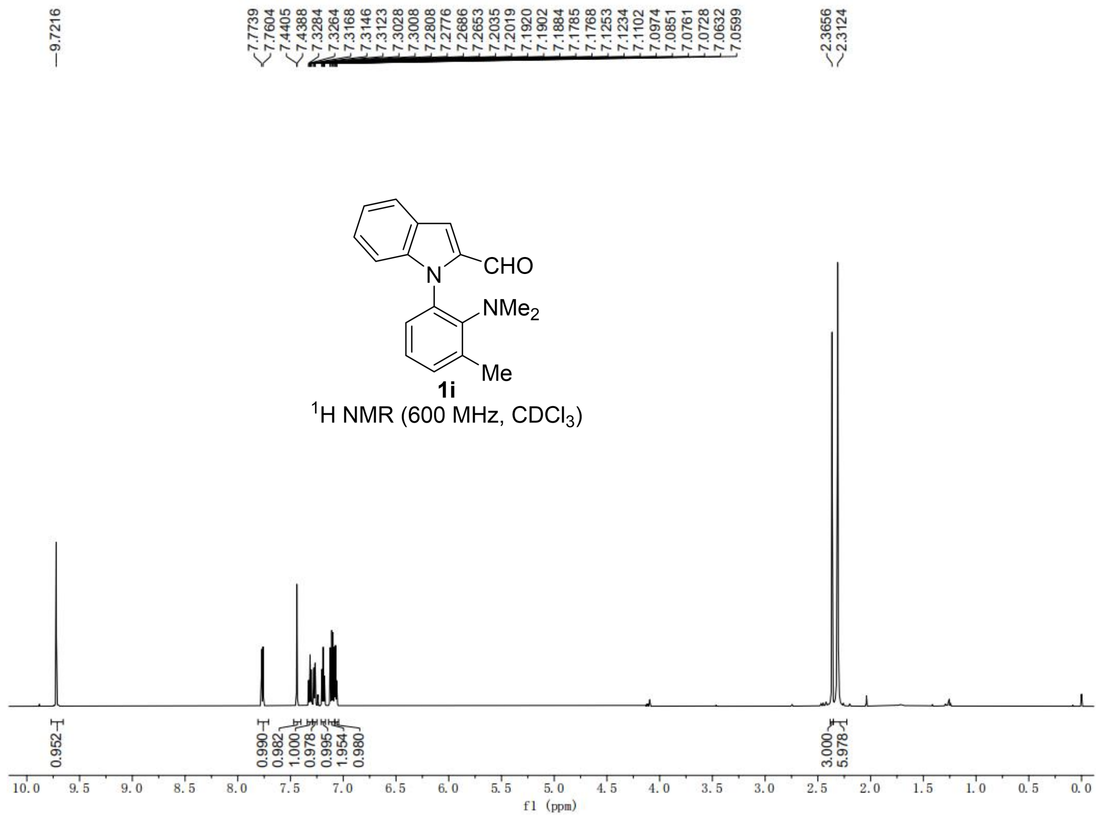
$k_{\text{enantiomerization}}$ (80 °C) = 4.16472×10^{-6} s^{-1}

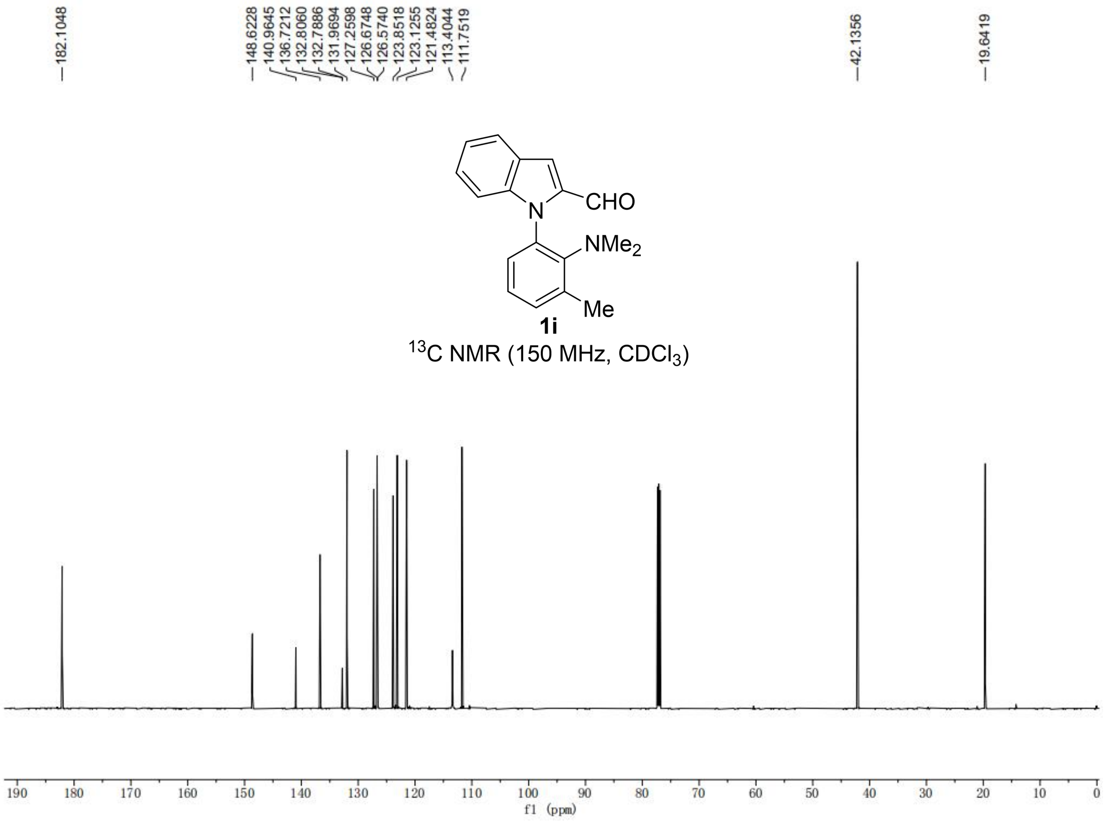
$\Delta G^{\neq}_{\text{enantiomerization}}$ = 123.369 kJ/mol = 29.486 kcal/mol

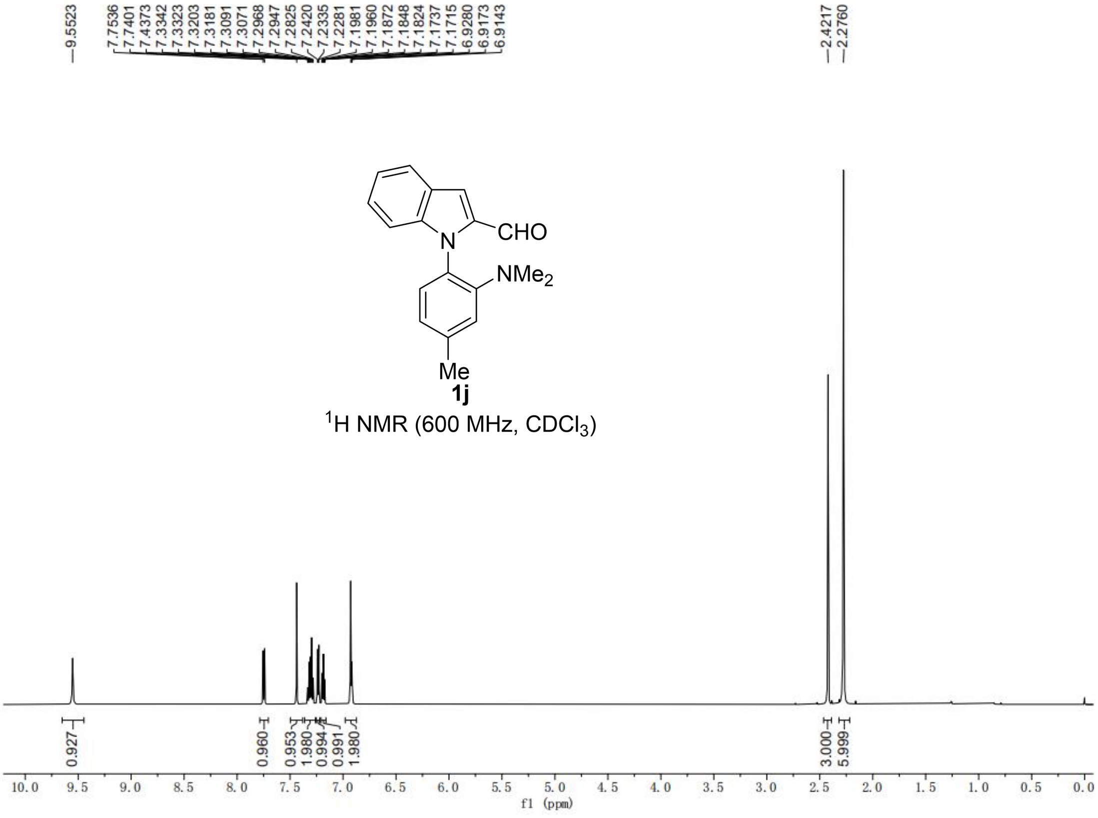
$k_{\text{racemization}}$ (25 °C) = 3.02746×10^{-9} s^{-1}

$t_{1/2}$ (25° C) = 7.26 years

NMR Spectra of 1

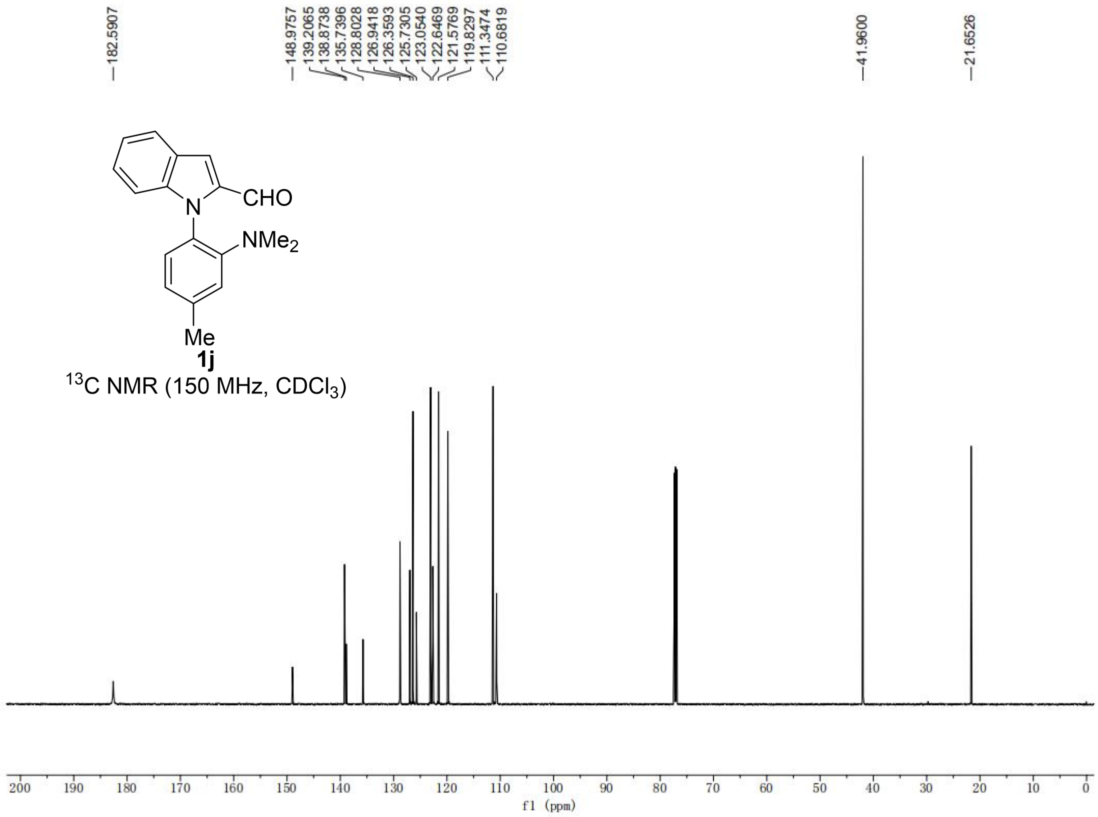






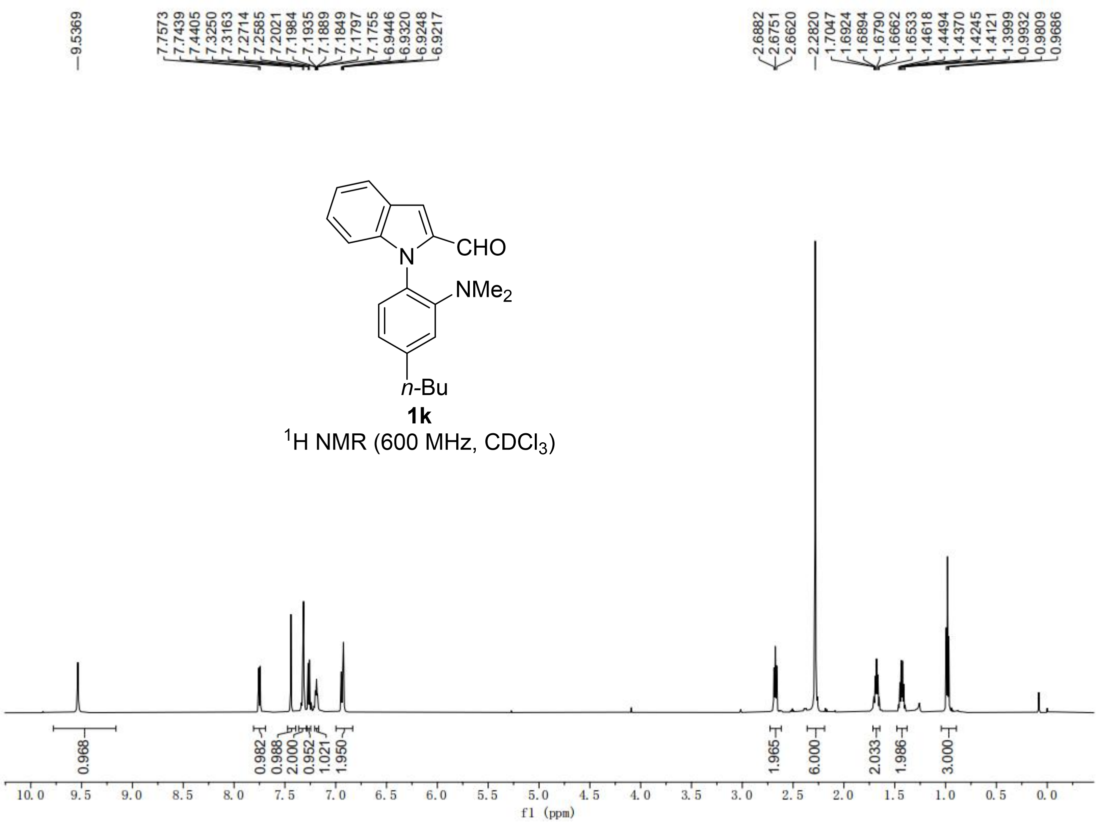
1j

^{1}H NMR (600 MHz, $CDCl_3$)



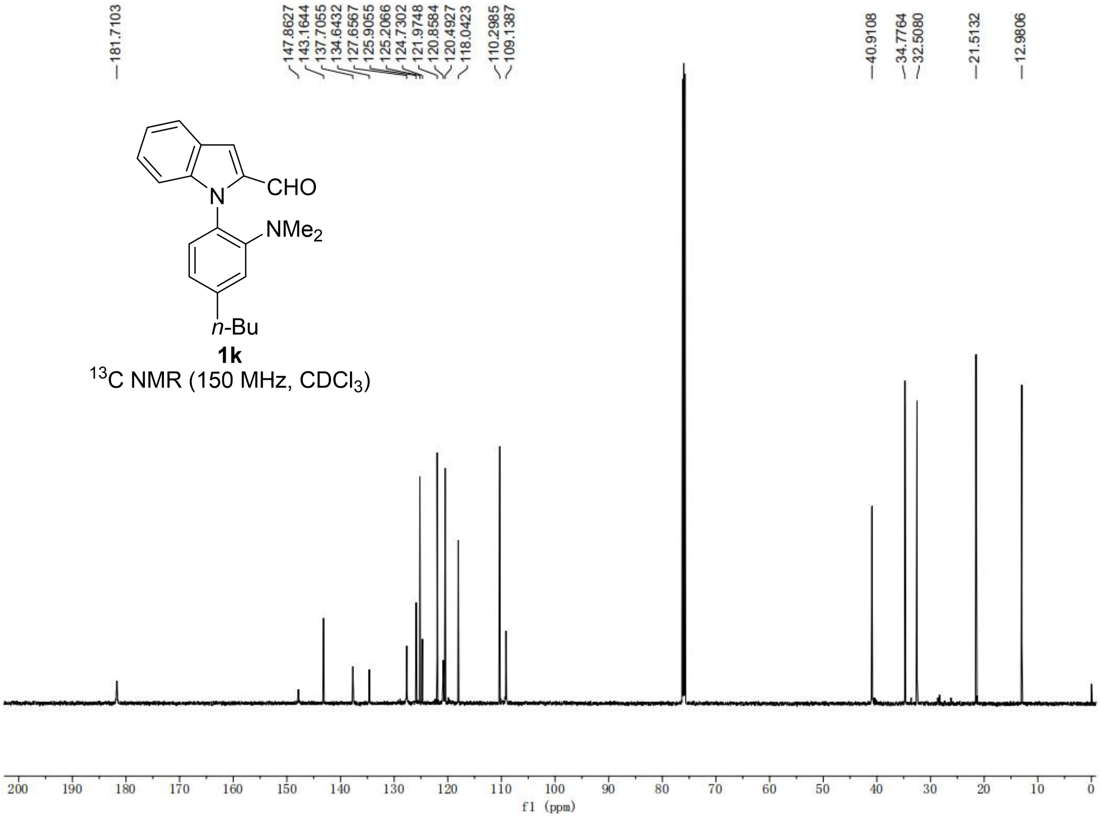
1j

^{13}C NMR (150 MHz, $CDCl_3$)



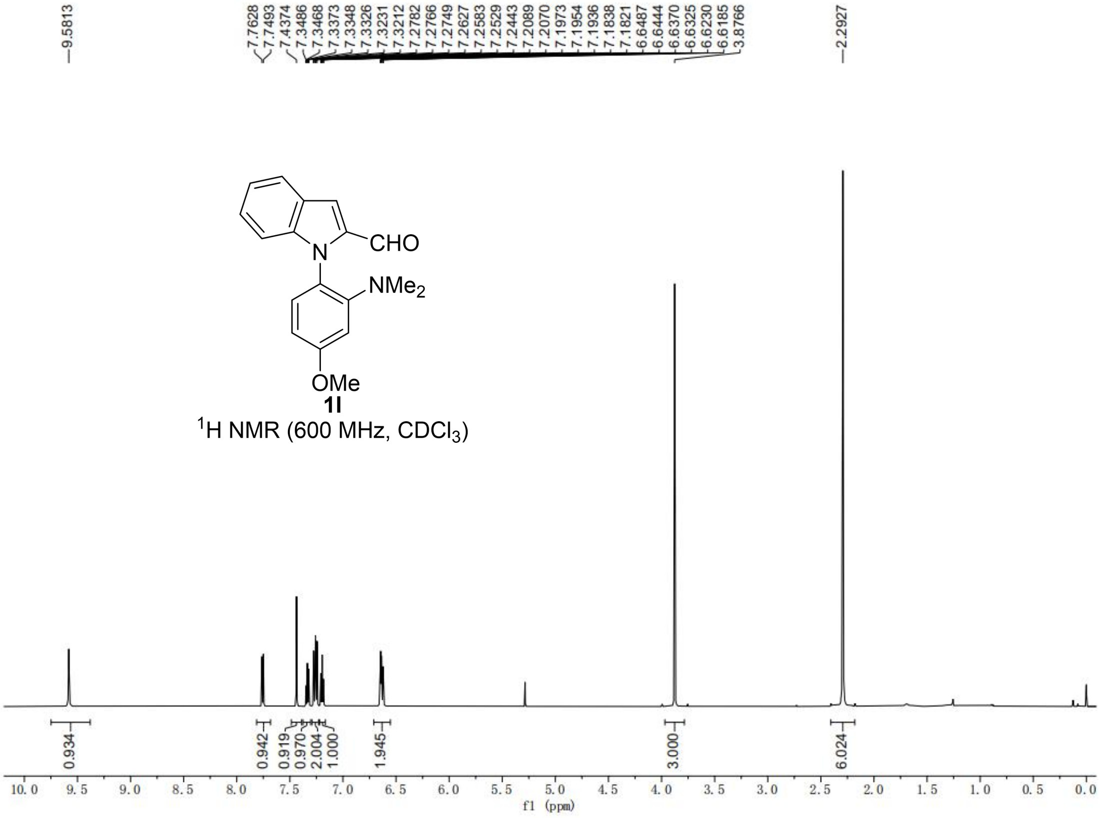
1k

^{1}H NMR (600 MHz, CDCl$_3$)



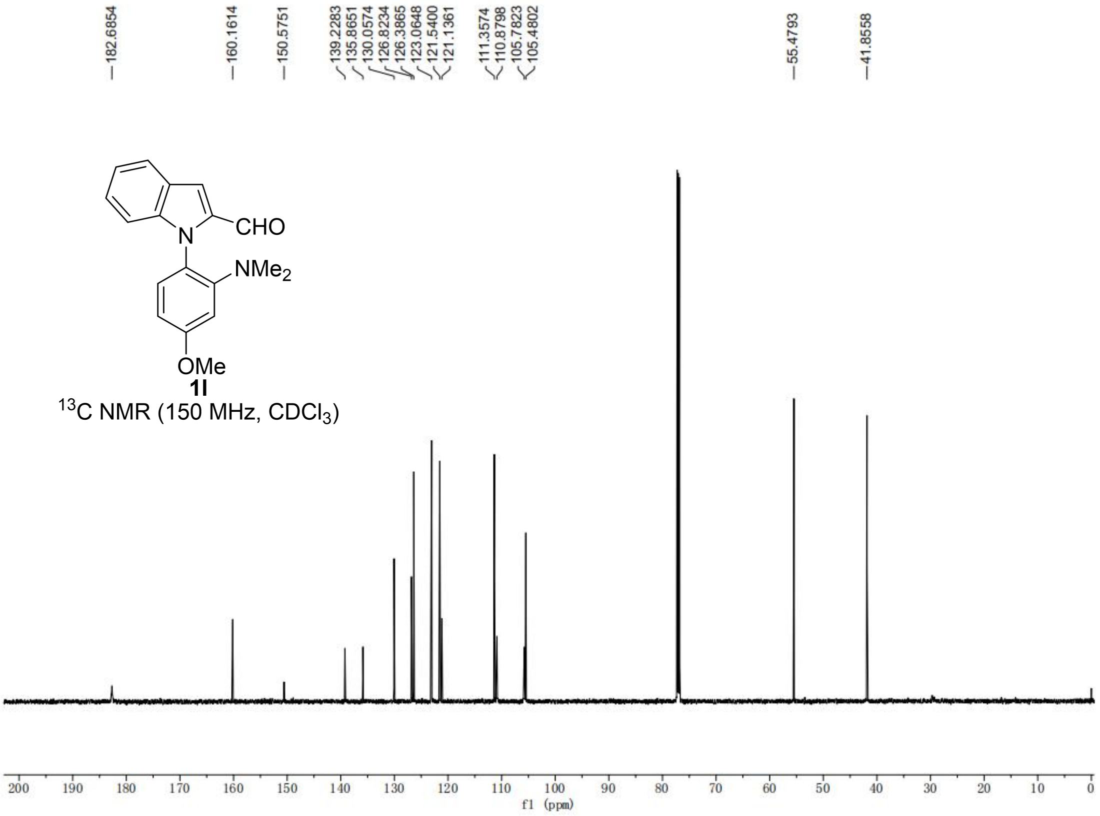
1k

^{13}C NMR (150 MHz, CDCl$_3$)



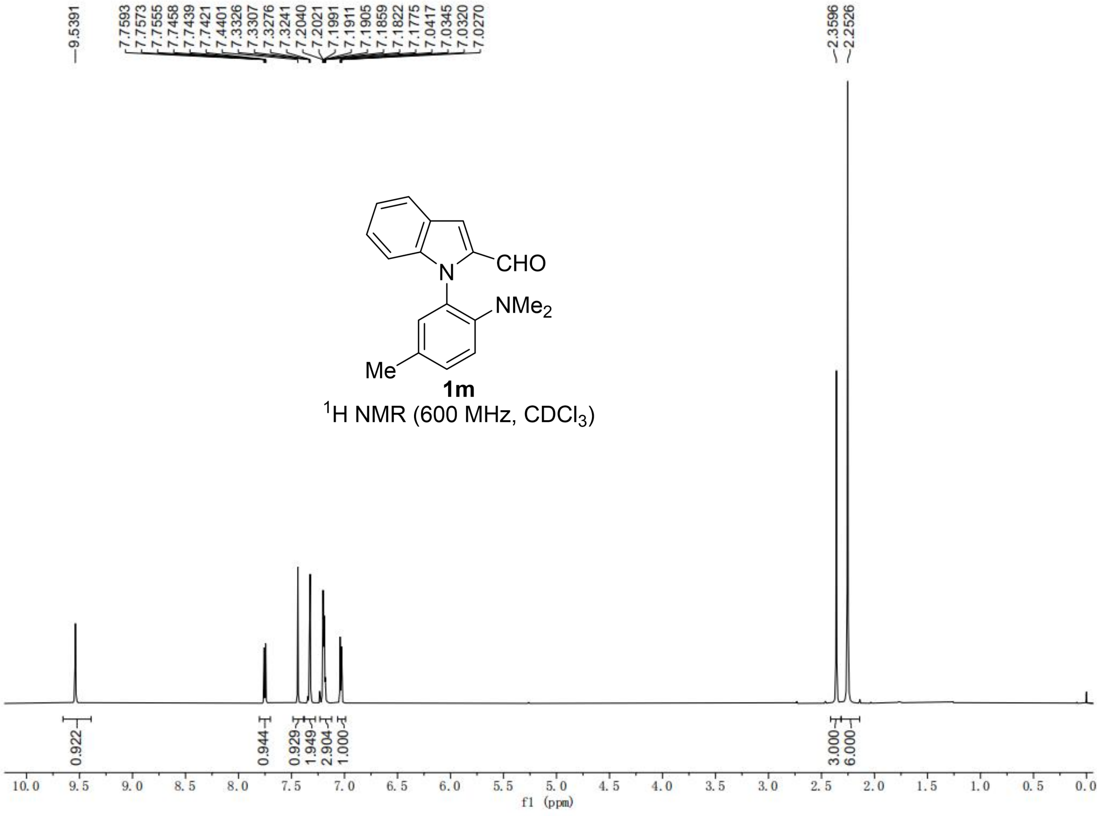
1l

^{1}H NMR (600 MHz, $CDCl_3$)



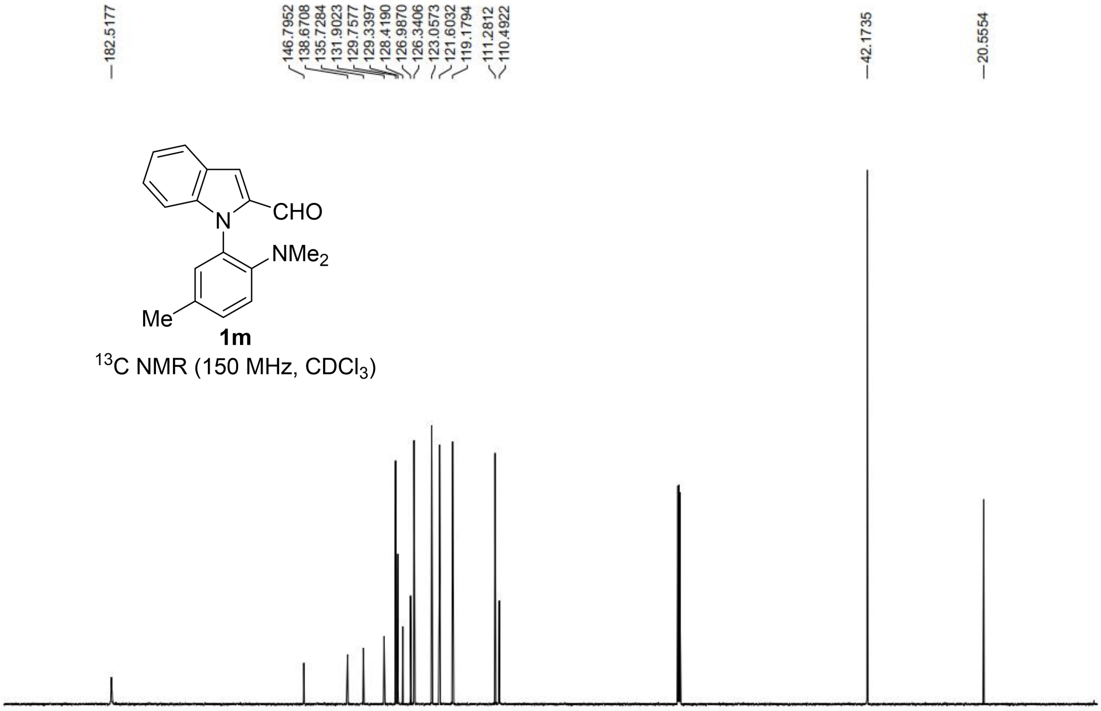
1l

^{13}C NMR (150 MHz, $CDCl_3$)



1m

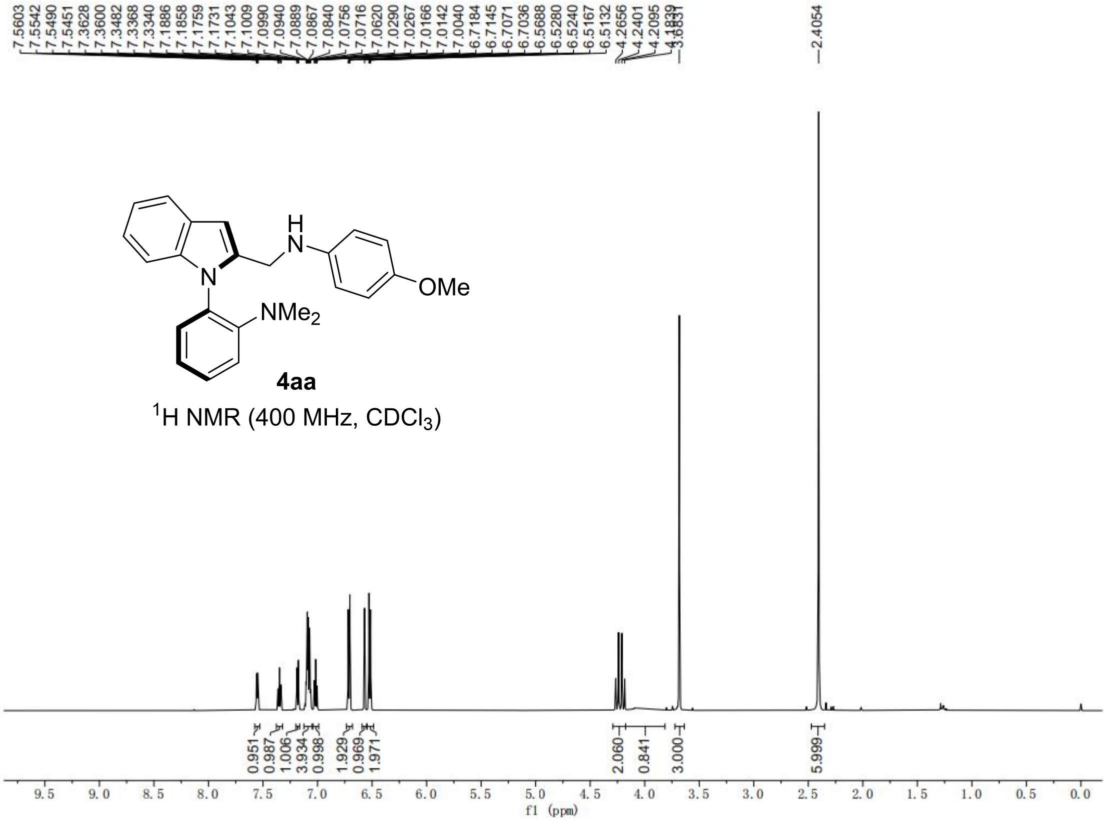
^{1}H NMR (600 MHz, $CDCl_3$)



1m

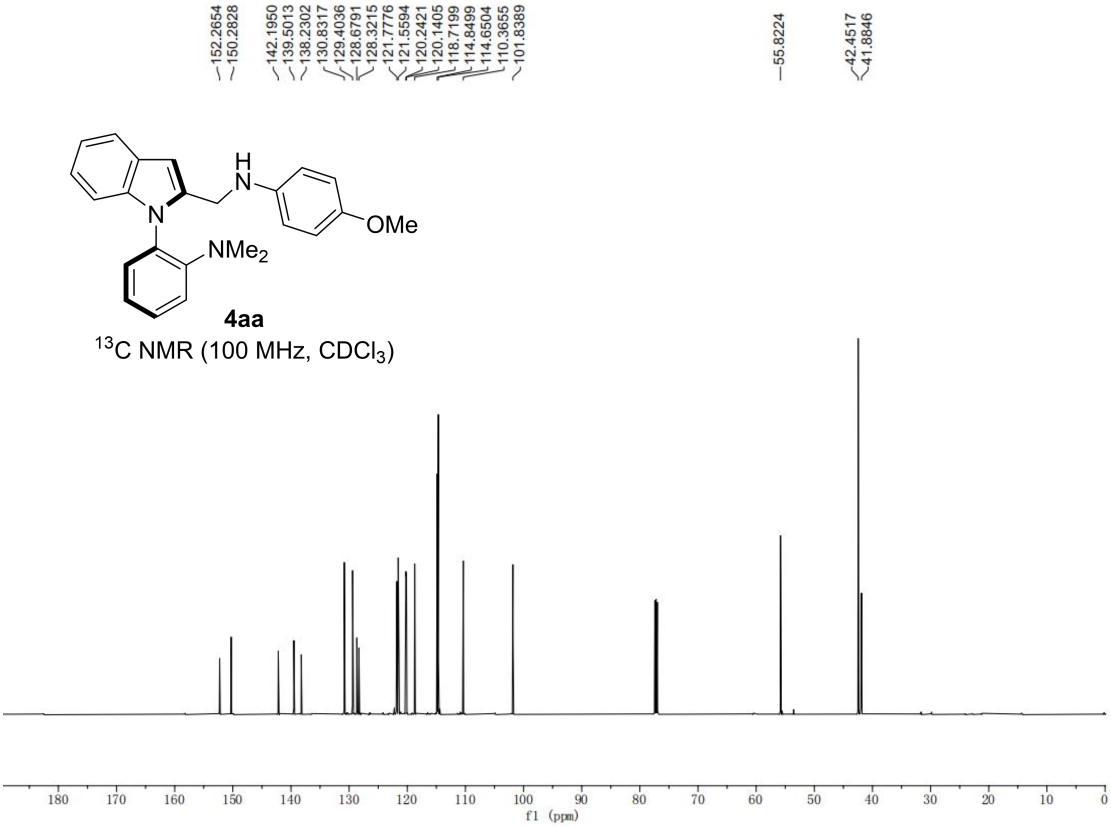
^{13}C NMR (150 MHz, $CDCl_3$)

NMR Spectra of Products



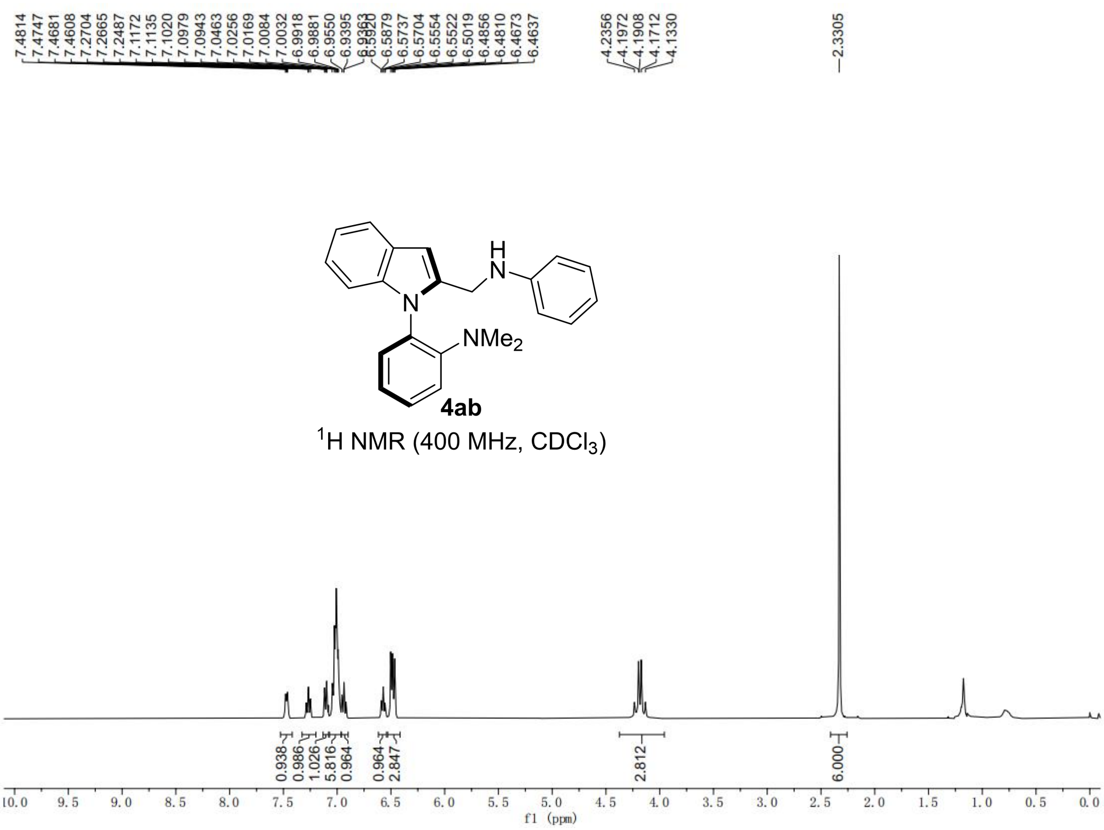
4aa

^{1}H NMR (400 MHz, $CDCl_3$)



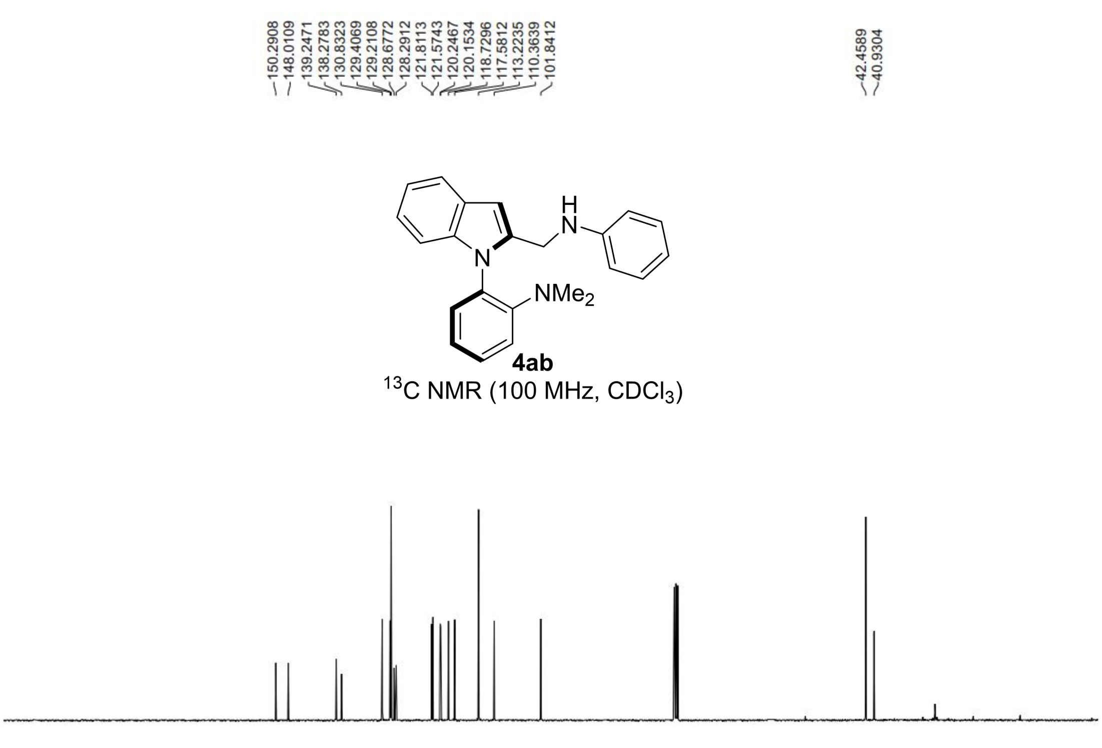
4aa

^{13}C NMR (100 MHz, $CDCl_3$)



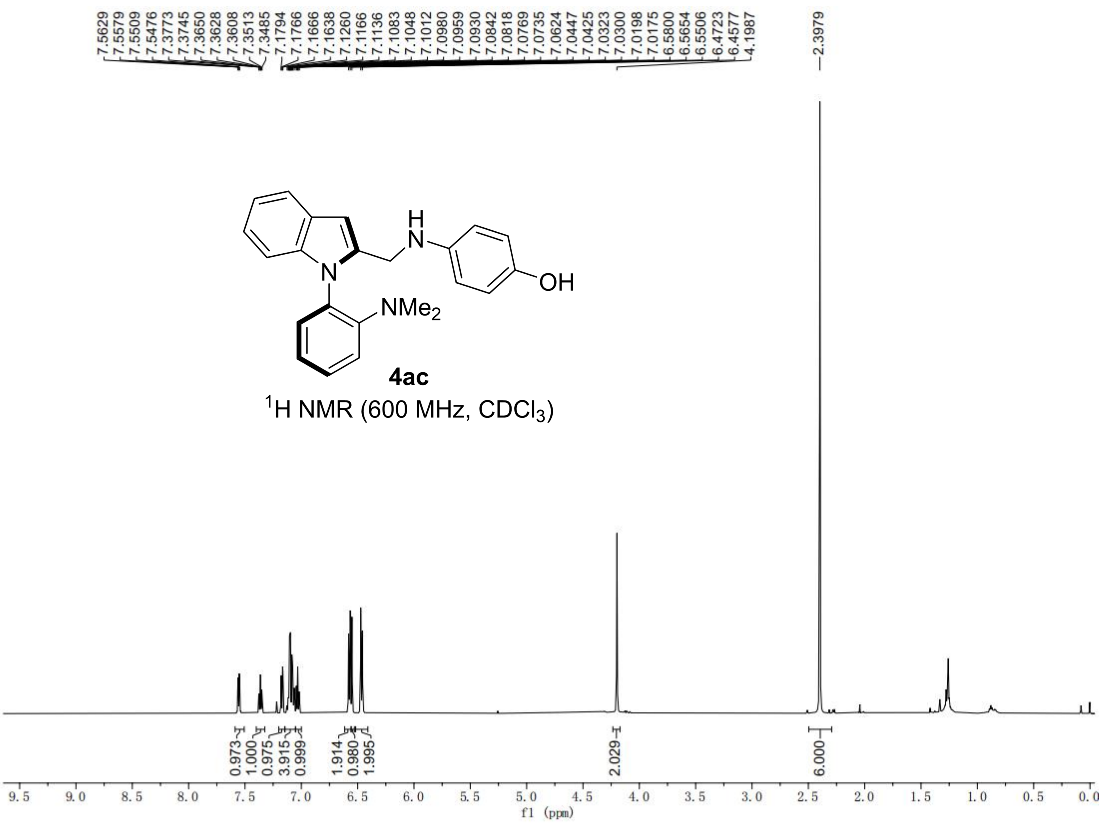
4ab

^{1}H NMR (400 MHz, $CDCl_3$)



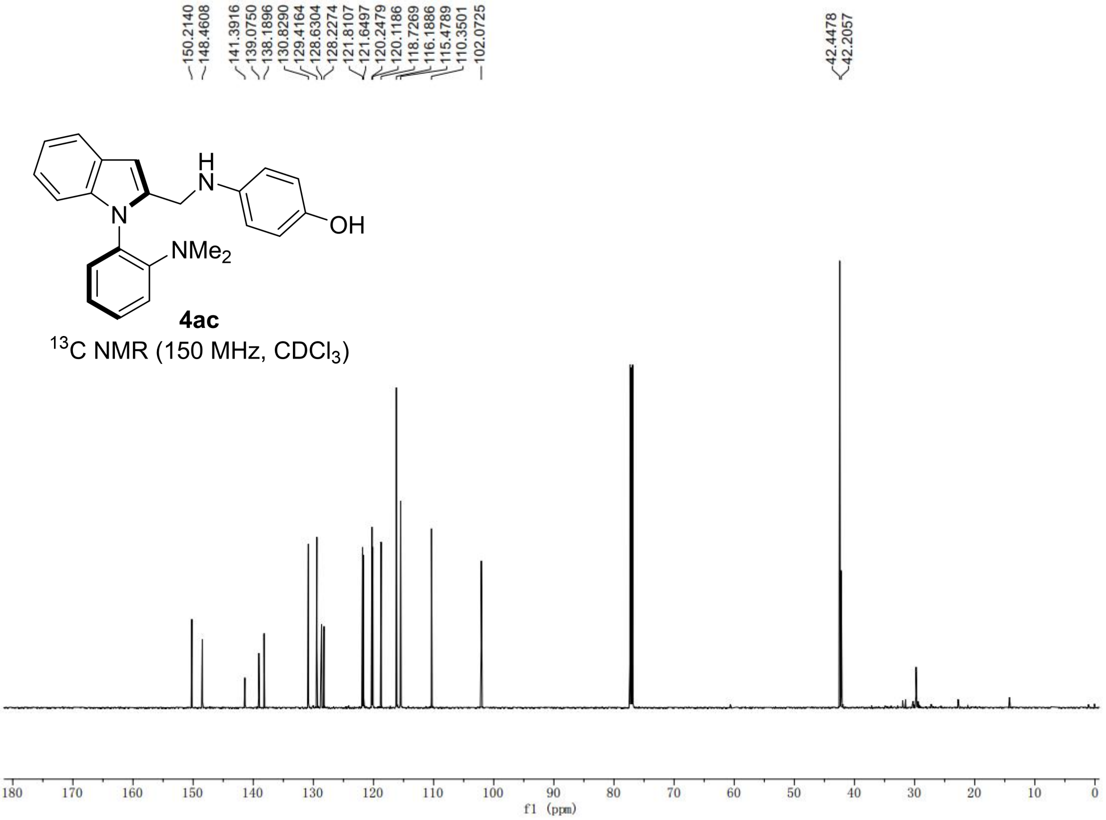
4ab

^{13}C NMR (100 MHz, $CDCl_3$)



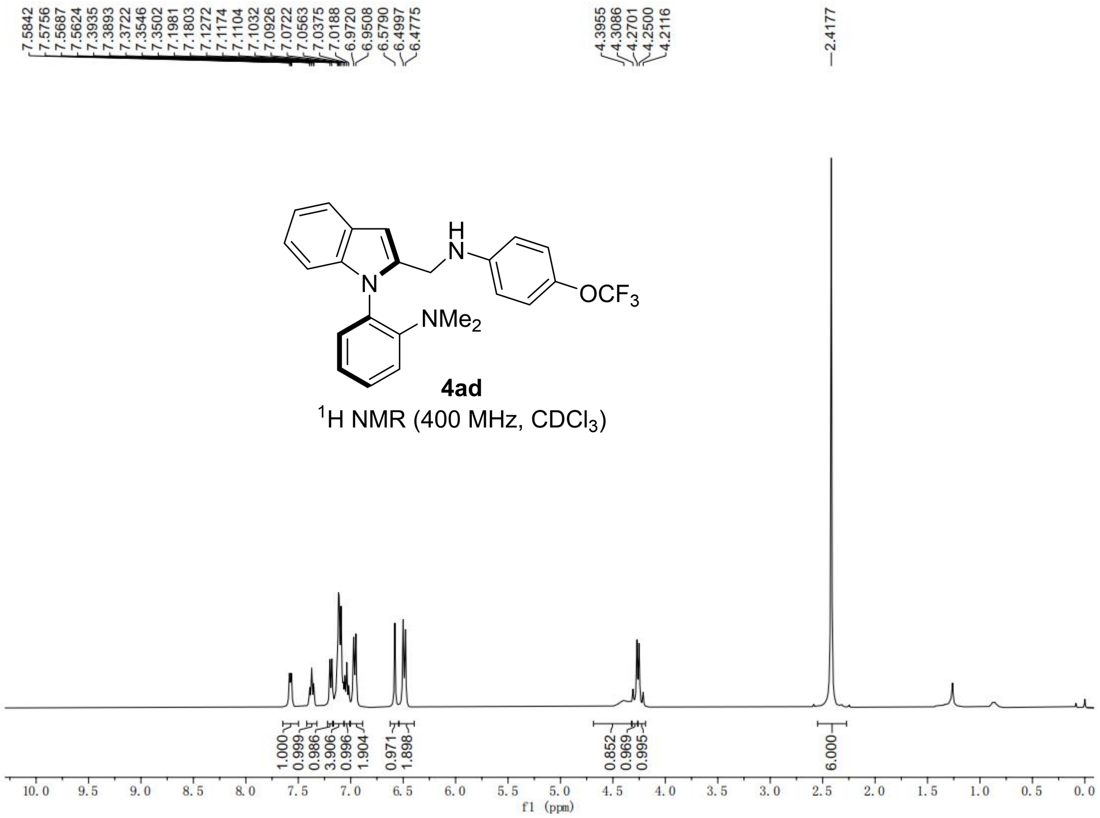
4ac

^{1}H NMR (600 MHz, CDCl$_3$)



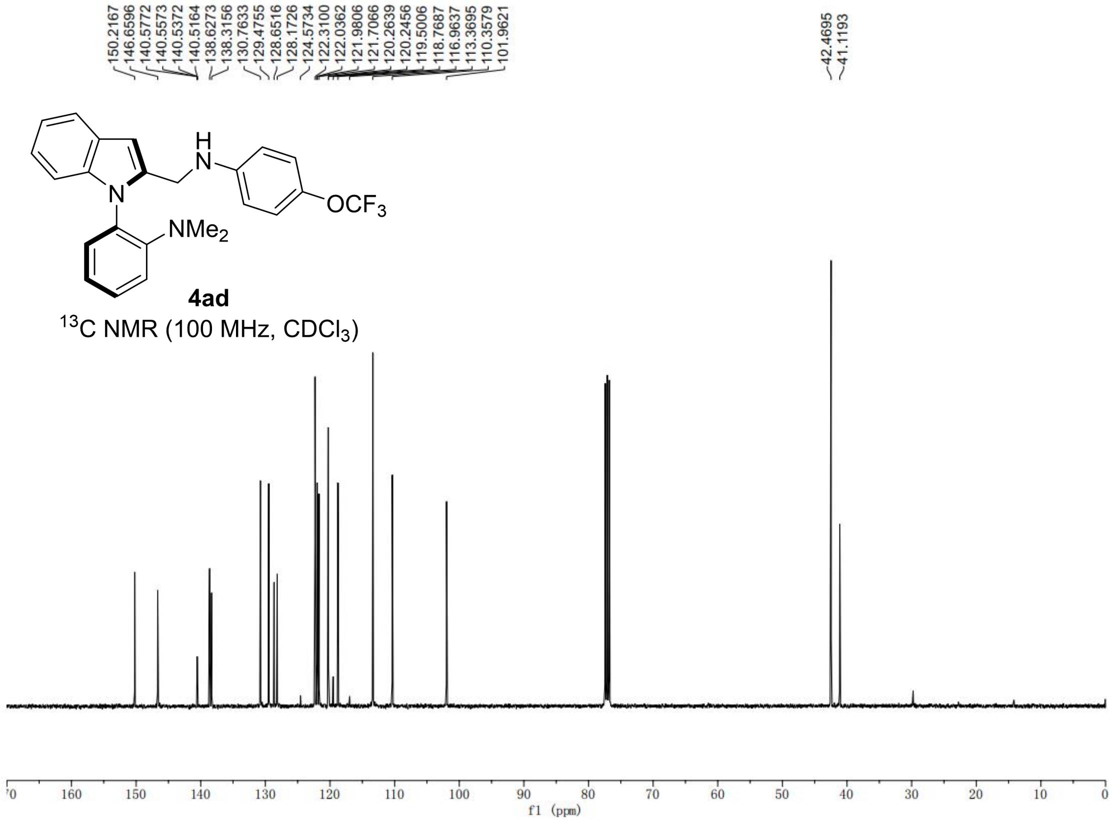
4ac

^{13}C NMR (150 MHz, CDCl$_3$)



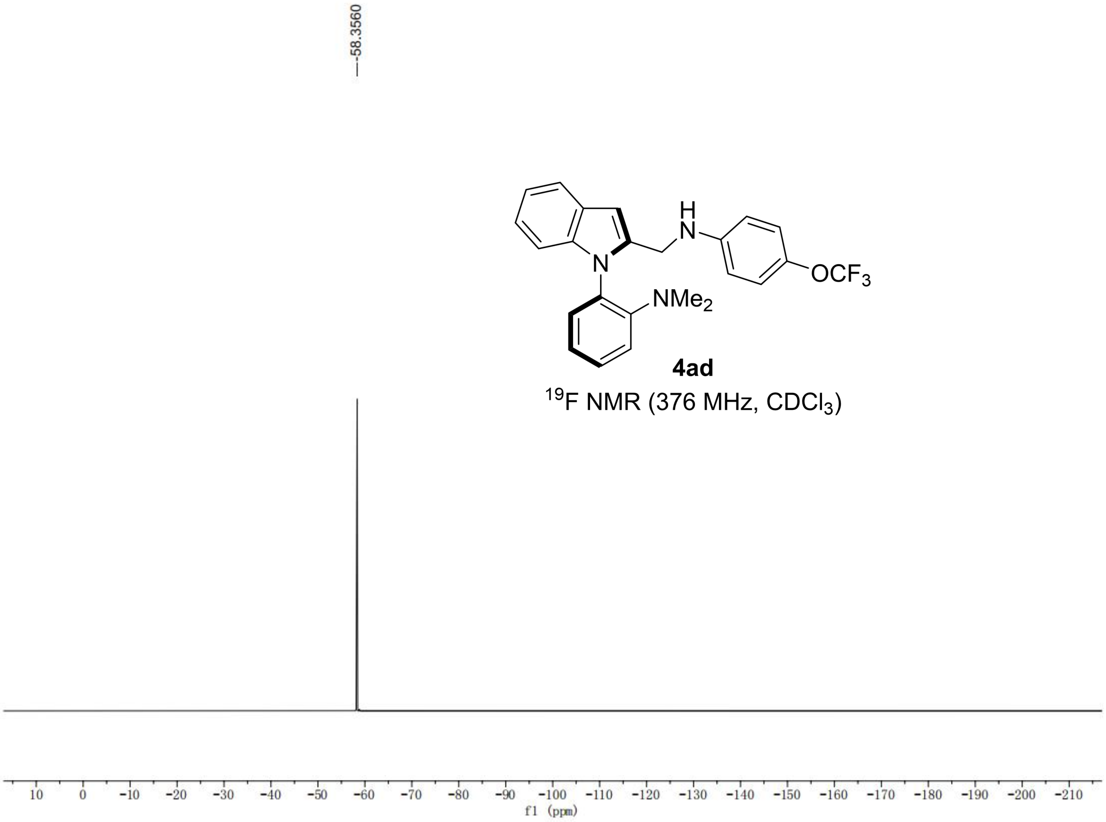
4ad

^{1}H NMR (400 MHz, $CDCl_3$)



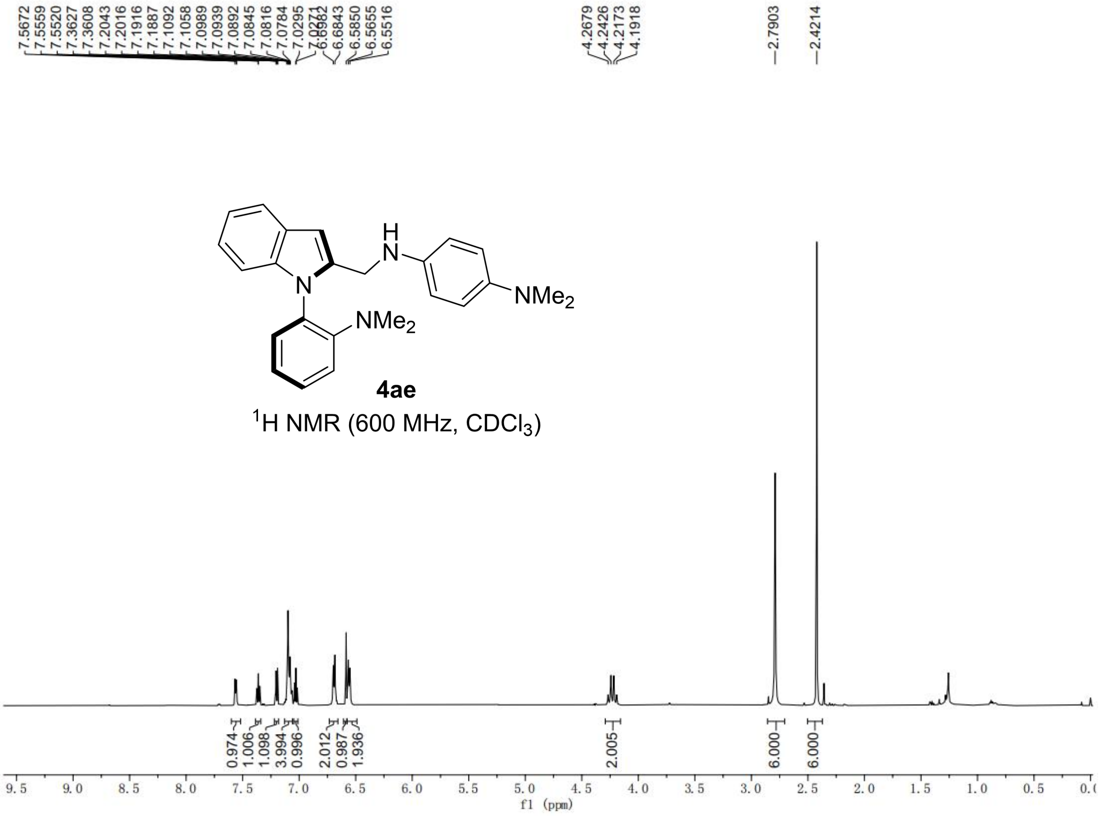
4ad

^{13}C NMR (100 MHz, $CDCl_3$)



4ad

^{19}F NMR (376 MHz, $CDCl_3$)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7.5520
7.3627
7.3608
7.2043
7.2016
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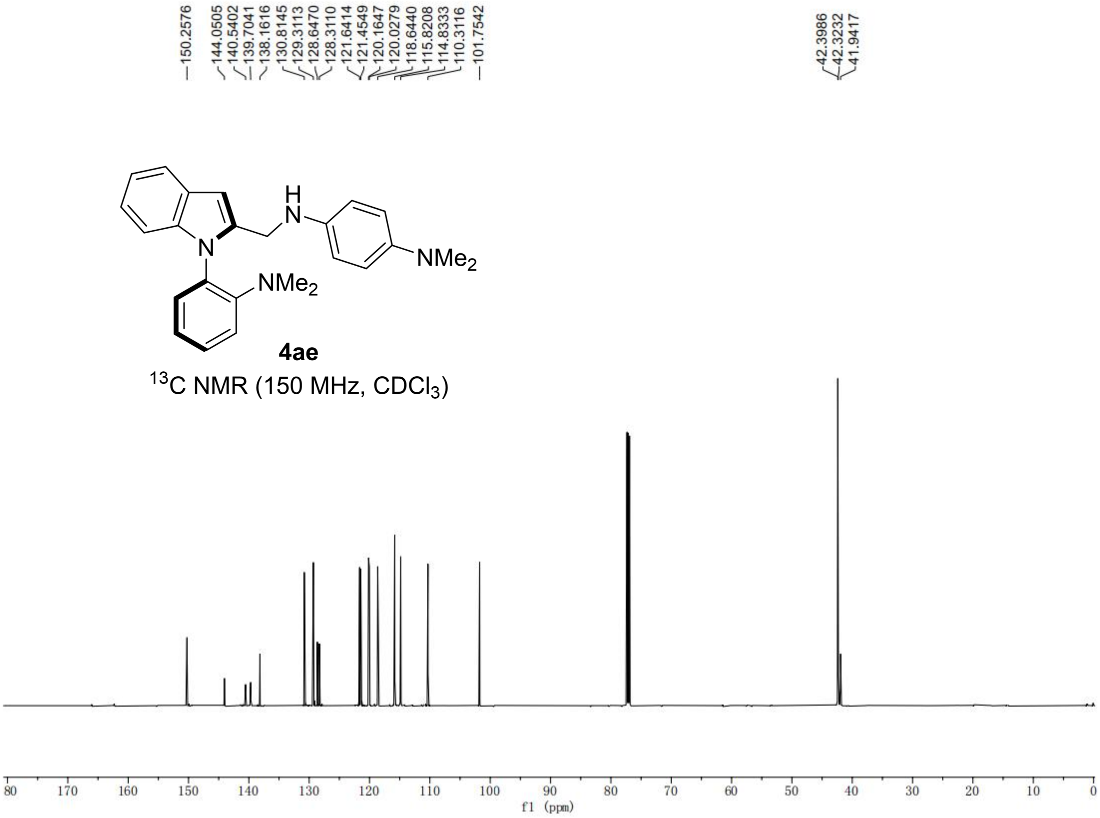
7.1887
7.1092
7.1058
7.0989
7.0939
7.0892
7.0845
7.0816
7.0784
7.0295
7.0271
6.6982
6.6843
6.5850
6.5655
6.5516
4.2679
4.2426
4.2173
4.1918
2.7903
2.4214
H
N
N
NMe2
NMe2
4ae
1H NMR (600 MHz, CDCl3)
0.974
1.006
1.098
3.994
0.996
2.012
0.987
1.936
2.005
6.000
6.000
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.(
f1 (ppm)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144.0505
140.5402
139.7041
138.1616
130.8145
129.3113
128.6470
128.3110
121.6414
121.4549
120.1647
120.0279
118.6440
115.8208
114.8333
110.3116
101.7542
42.3986
42.3232
41.9417
H
N
N
NMe2
NMe2
4ae
13C NMR (150 MHz, CDCl3)
80
170
160
150
140
130
120
110
100
90
80
70
60
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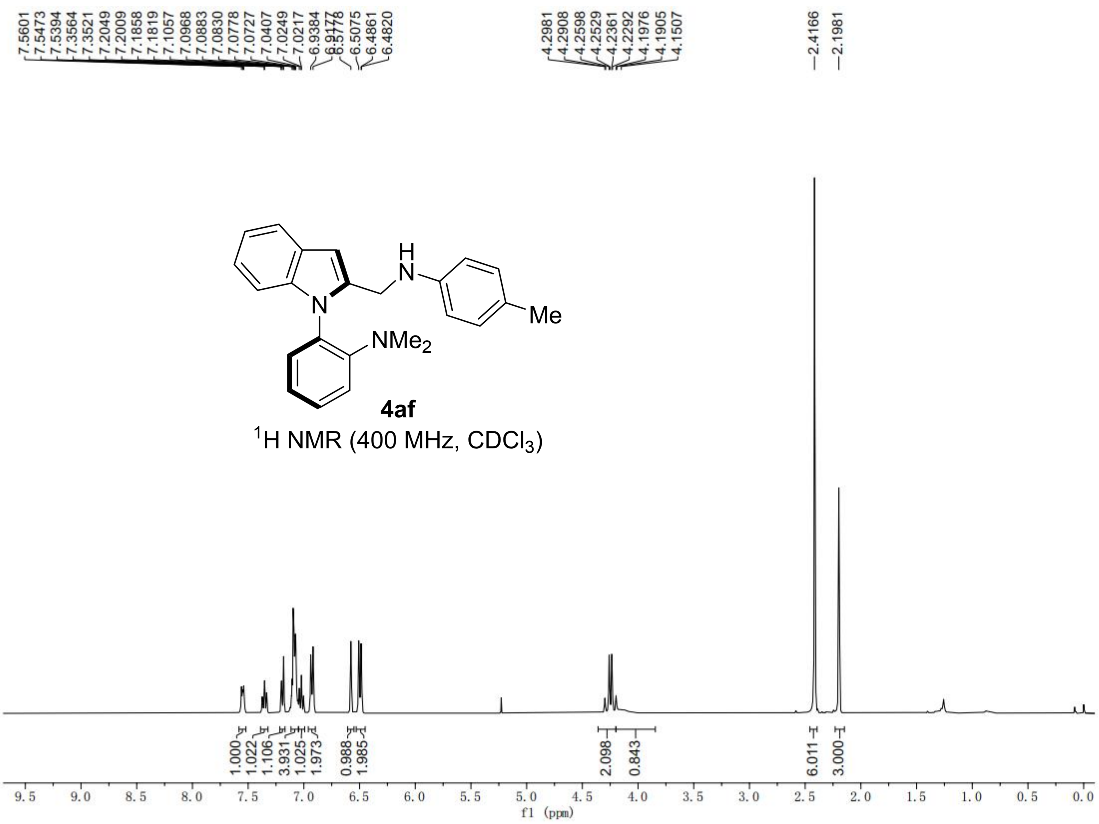
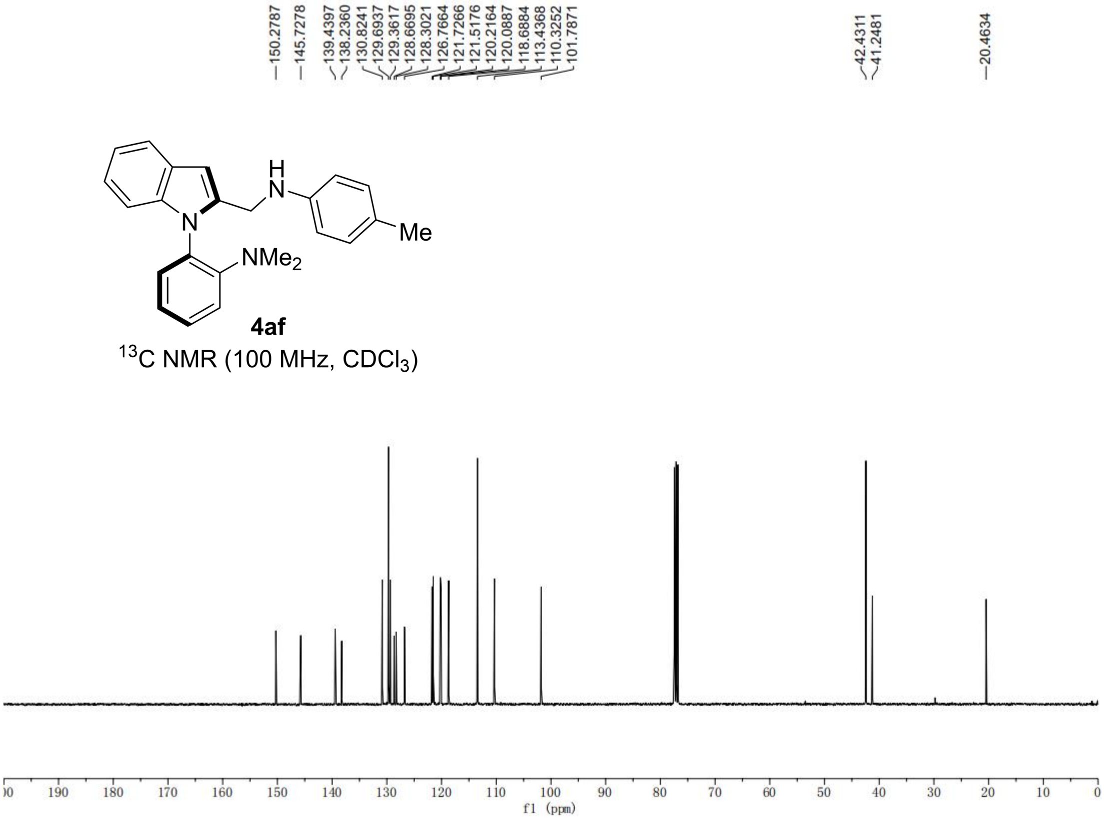
30
20
10
0
f1 (ppm)



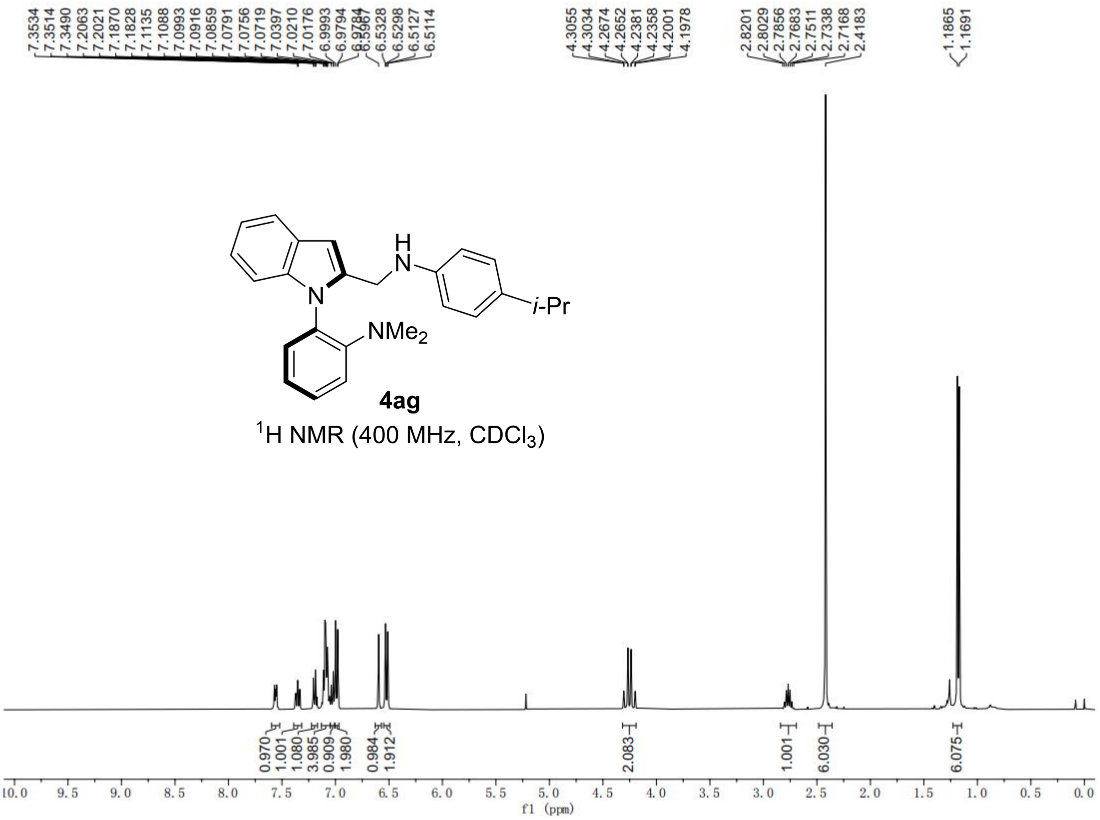
4af

^{1}H NMR (400 MHz, CDCl$_3$)



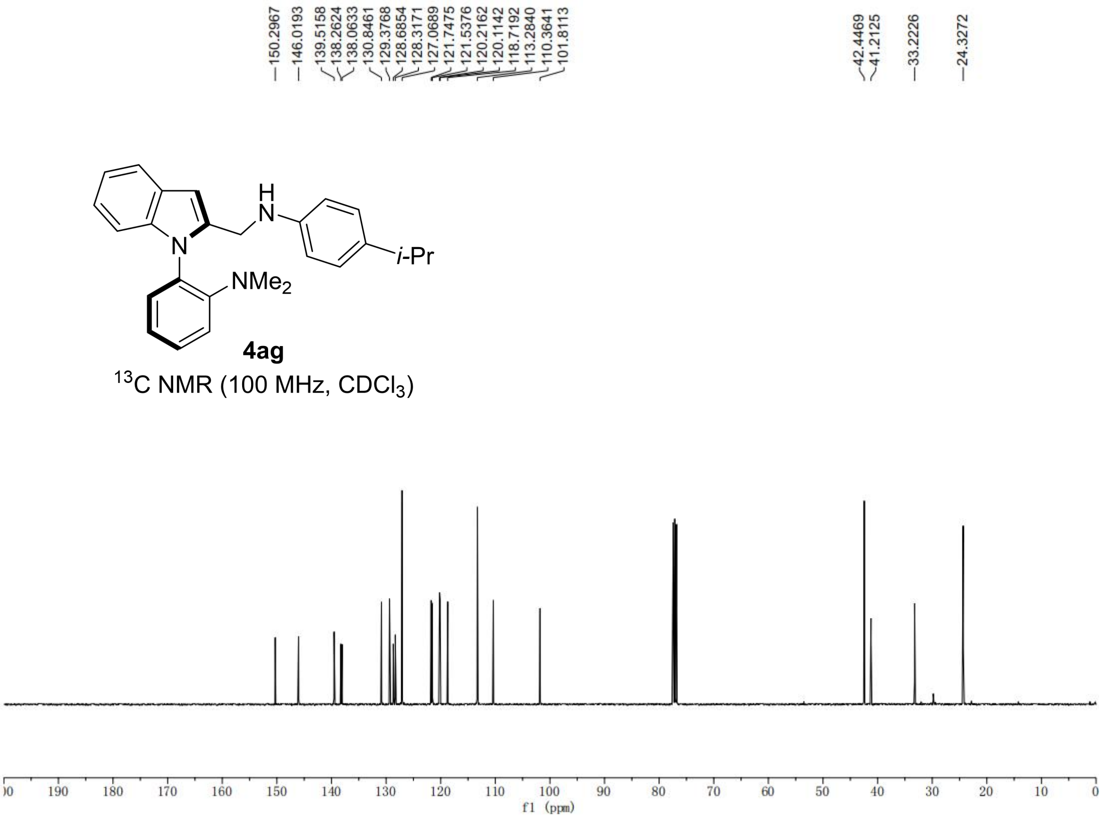
4af

^{13}C NMR (100 MHz, CDCl$_3$)



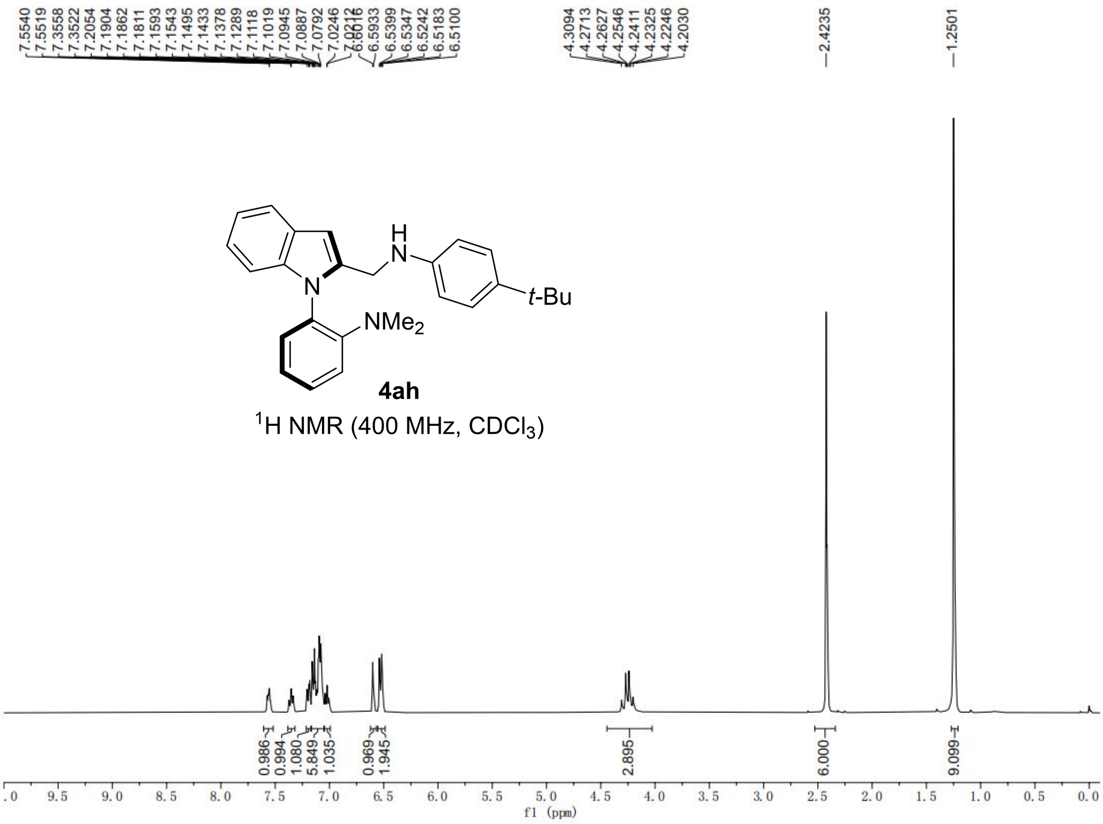
4ag

^{1}H NMR (400 MHz, CDCl$_3$)



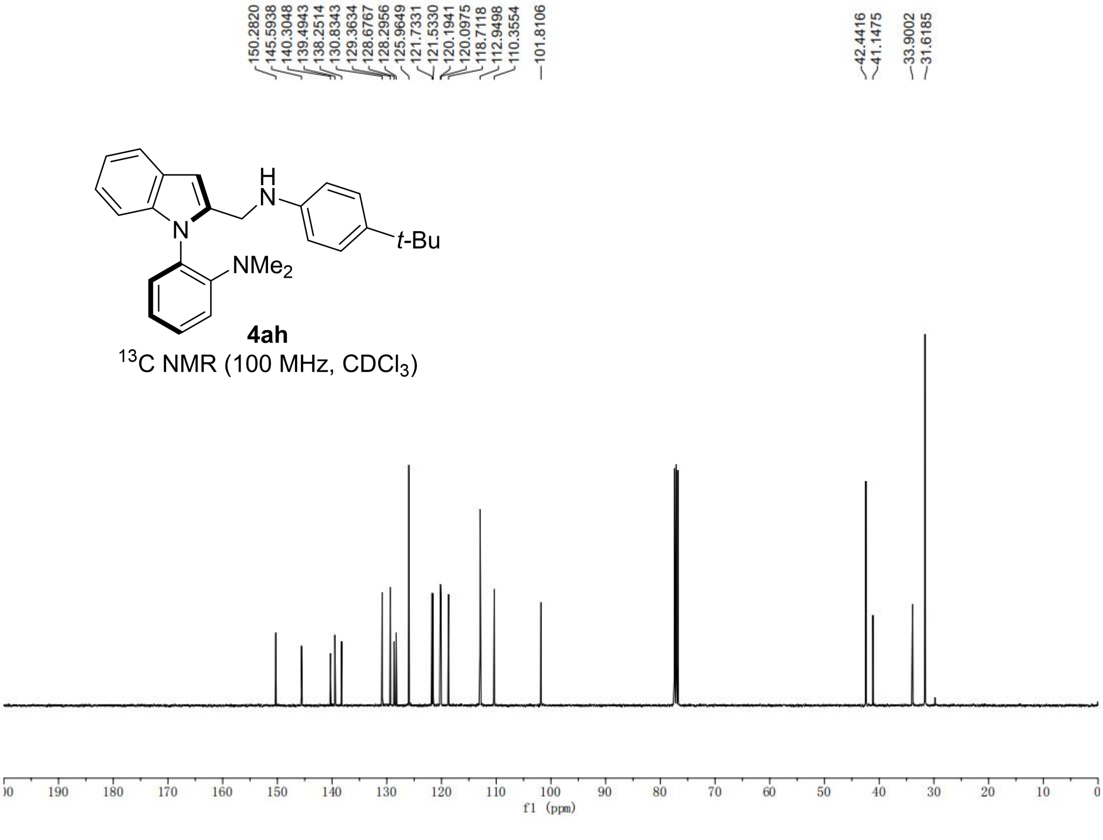
4ag

^{13}C NMR (100 MHz, CDCl$_3$)



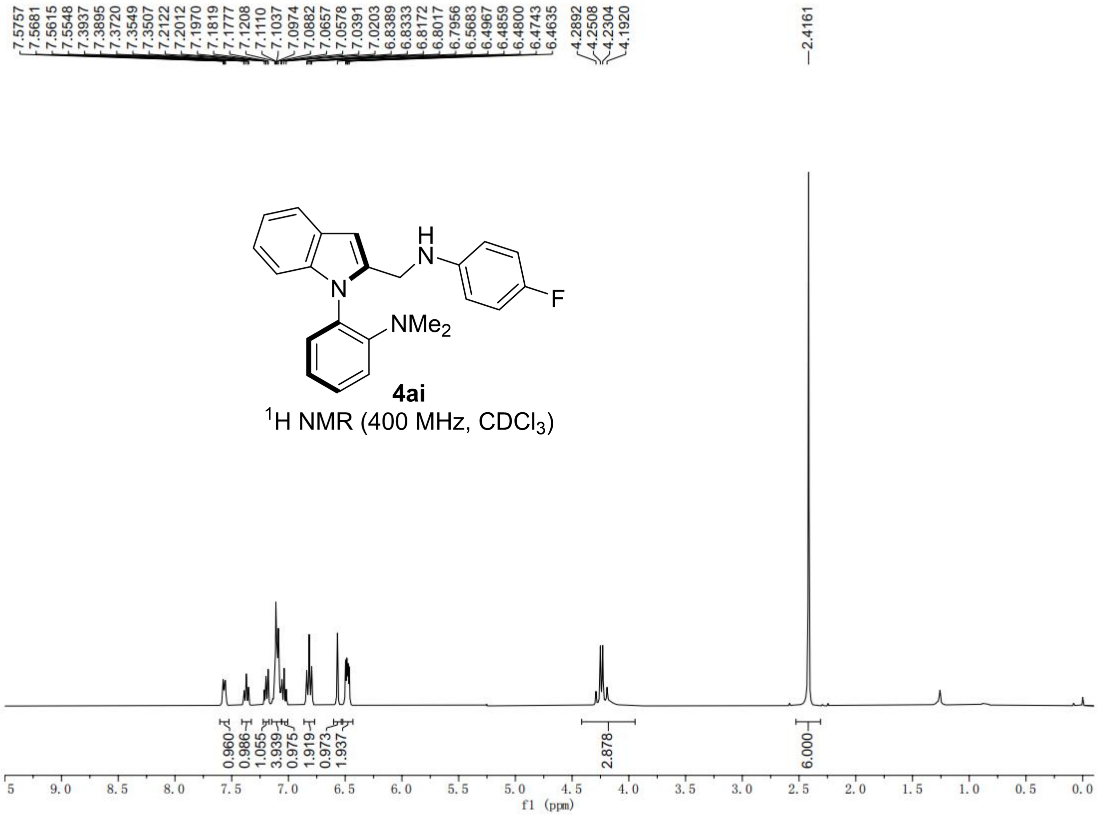
4ah

^{1}H NMR (400 MHz, CDCl$_3$)



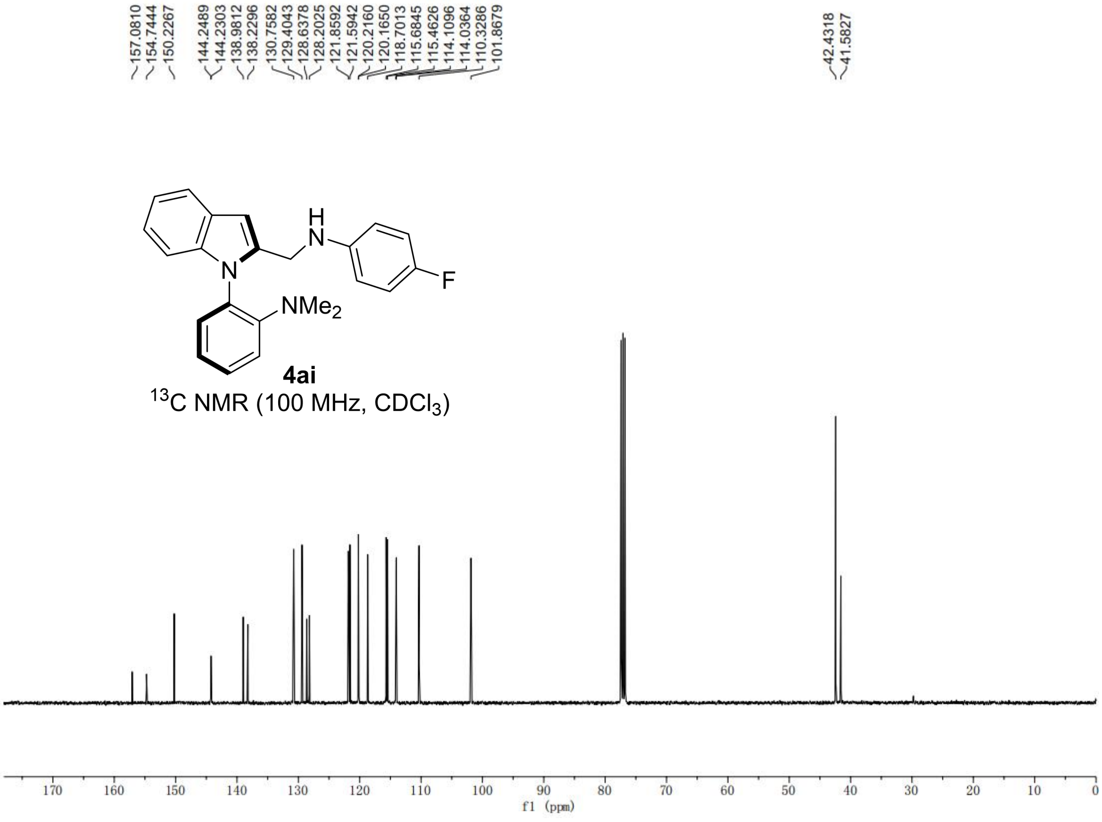
4ah

^{13}C NMR (100 MHz, CDCl$_3$)



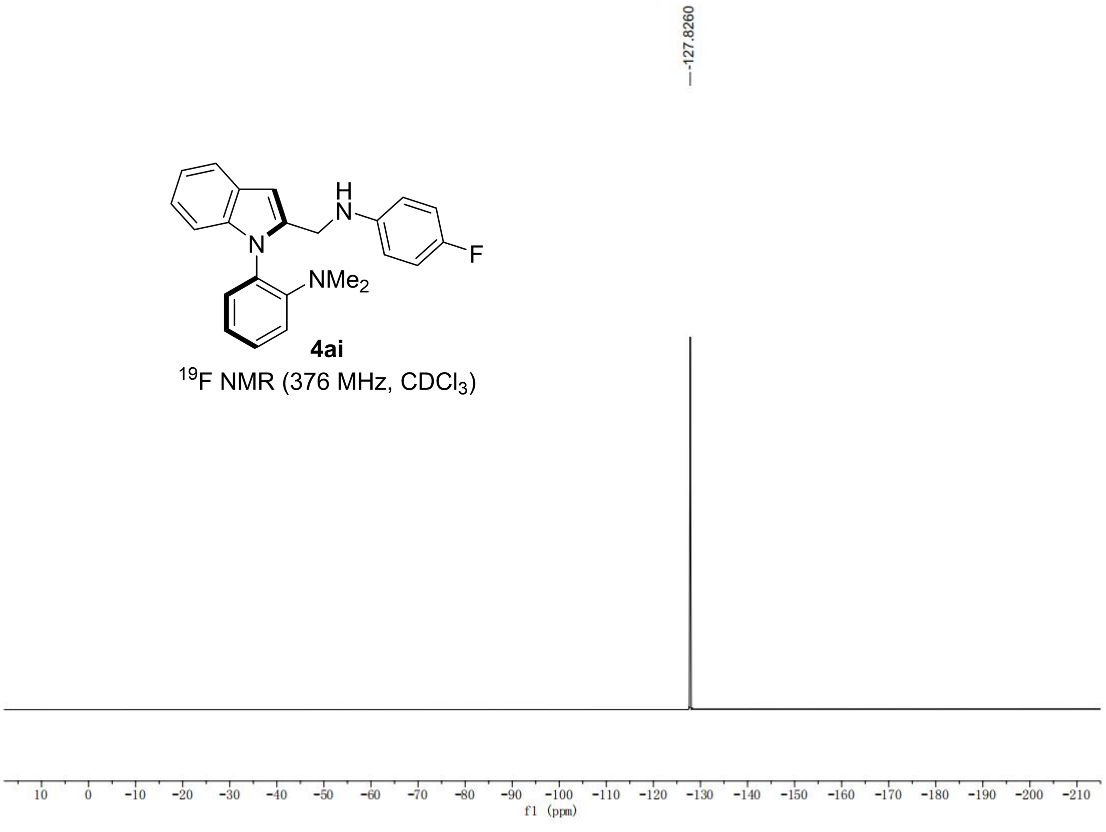
4ai

^{1}H NMR (400 MHz, $CDCl_3$)



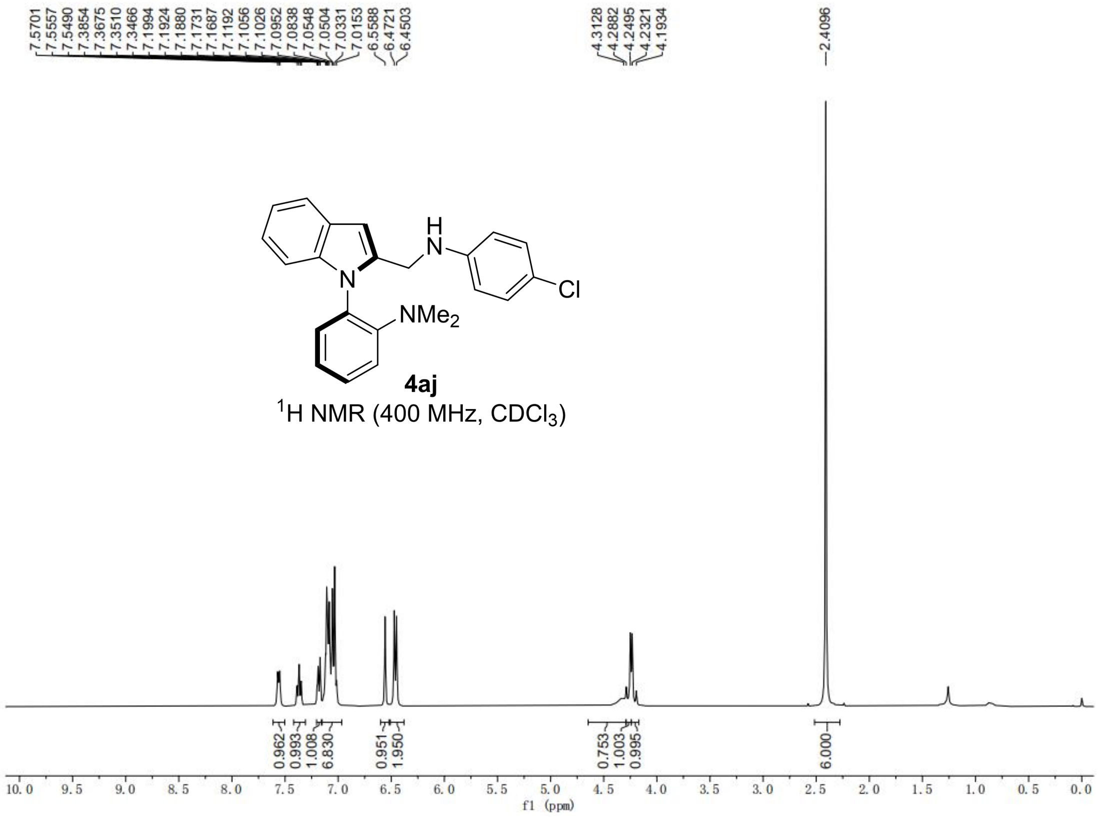
4ai

^{13}C NMR (100 MHz, $CDCl_3$)



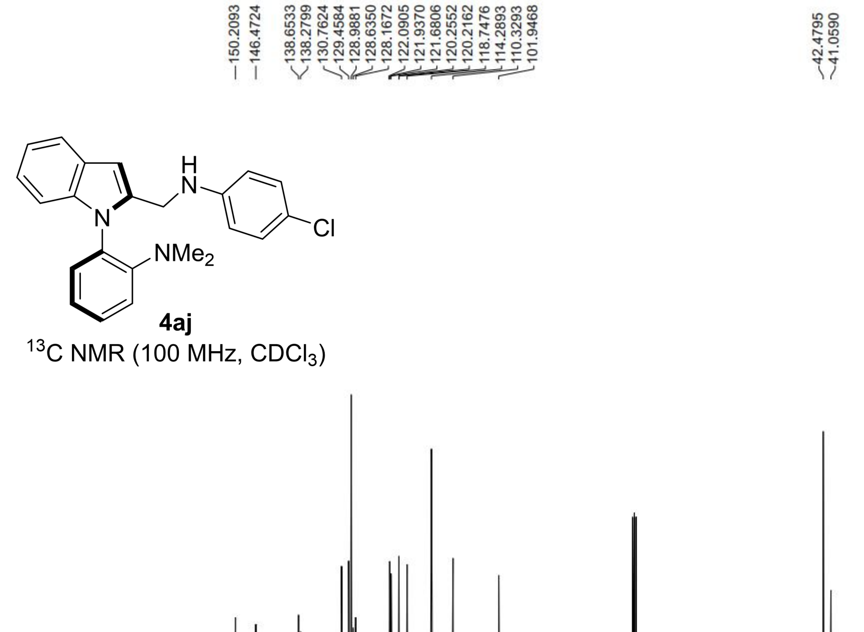
4ai

^{19}F NMR (376 MHz, $CDCl_3$)



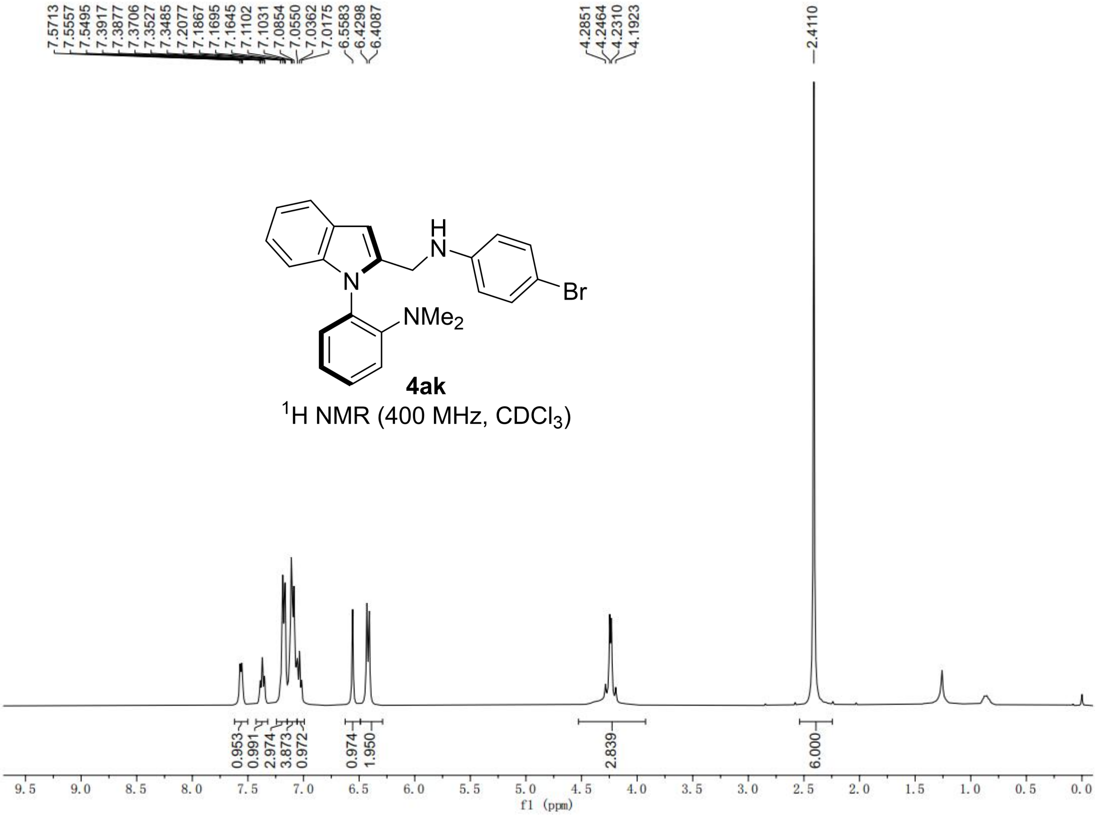
4aj

^{1}H NMR (400 MHz, $CDCl_3$)



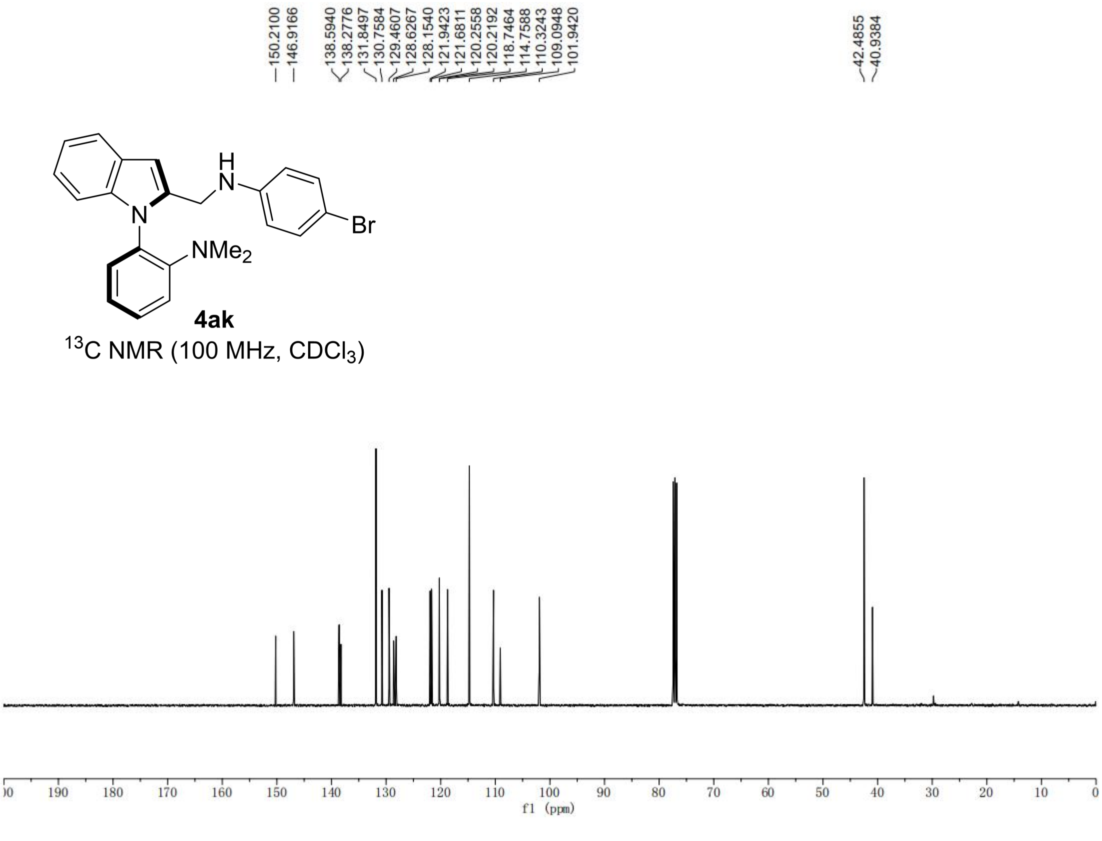
4aj

^{13}C NMR (100 MHz, $CDCl_3$)



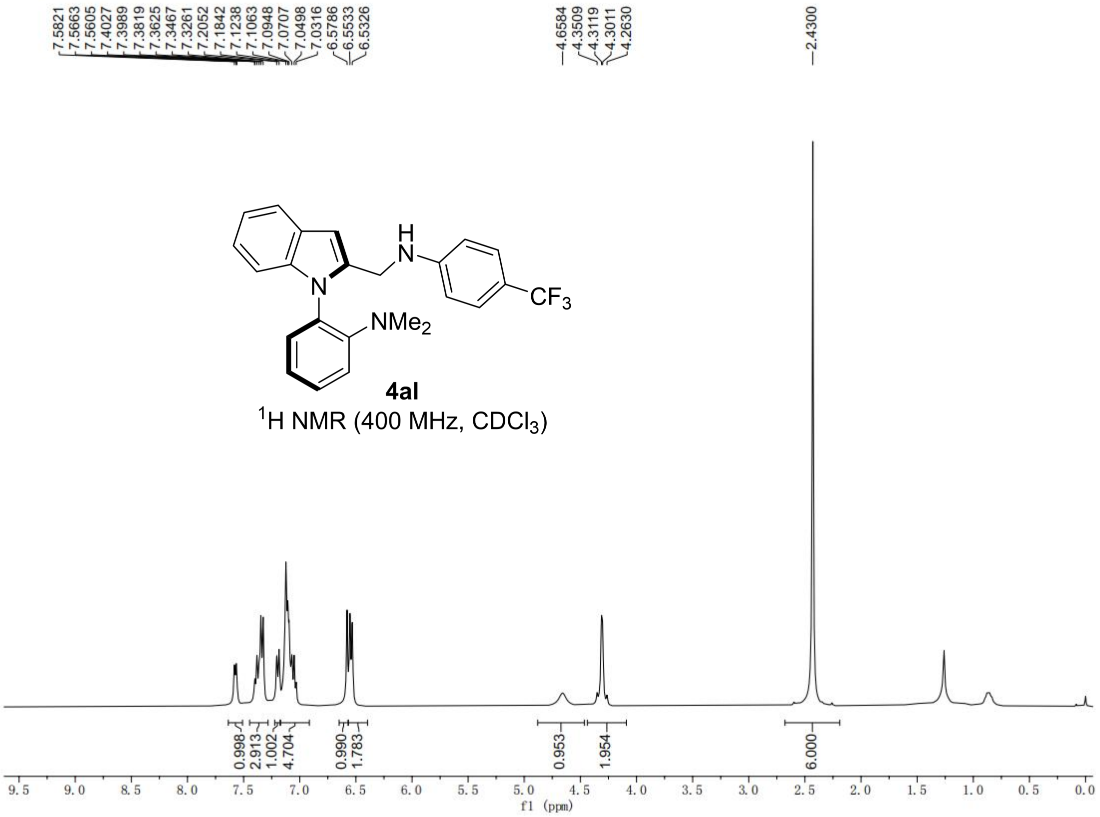
4ak

^{1}H NMR (400 MHz, CDCl$_3$)



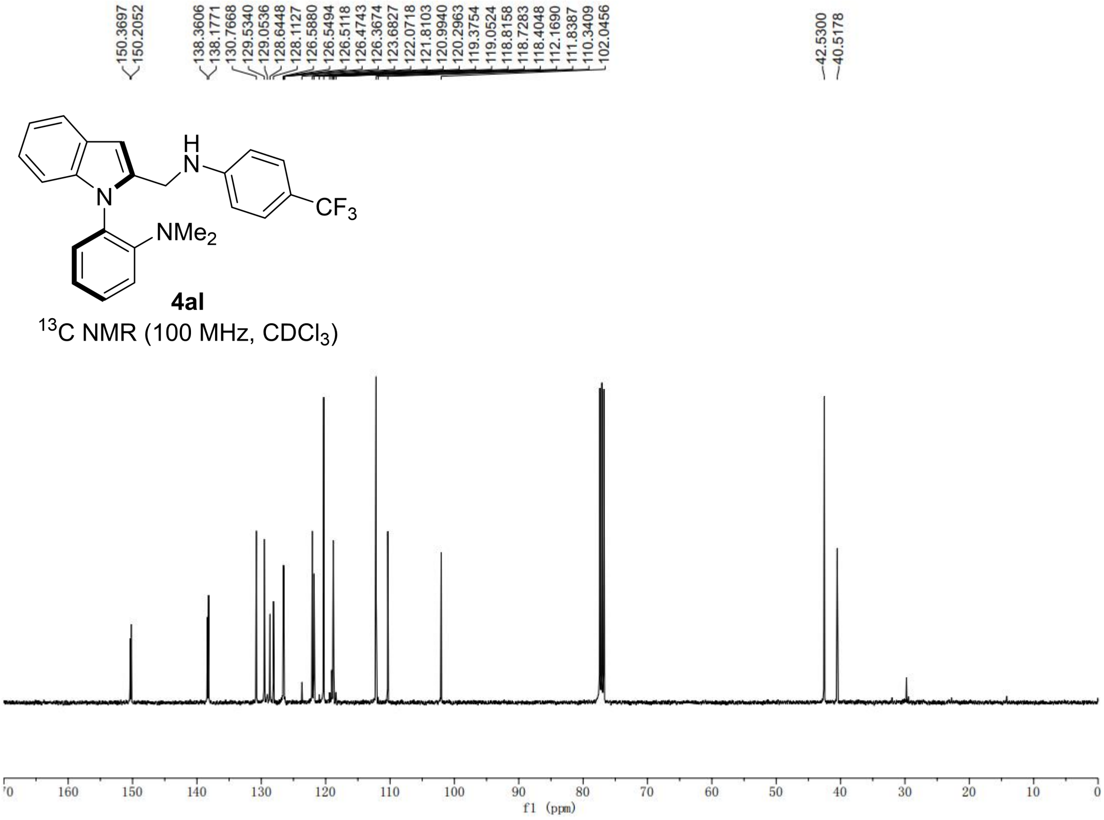
4ak

^{13}C NMR (100 MHz, CDCl$_3$)



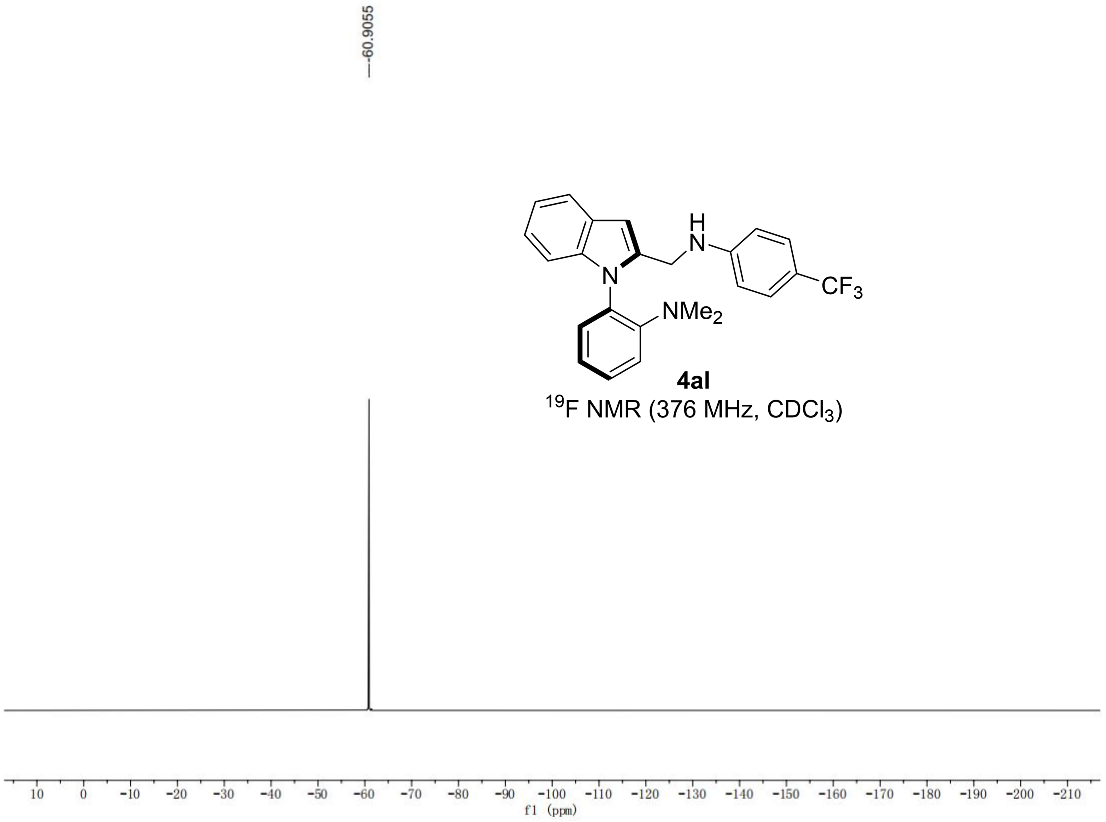
4al

^{1}H NMR (400 MHz, $CDCl_3$)



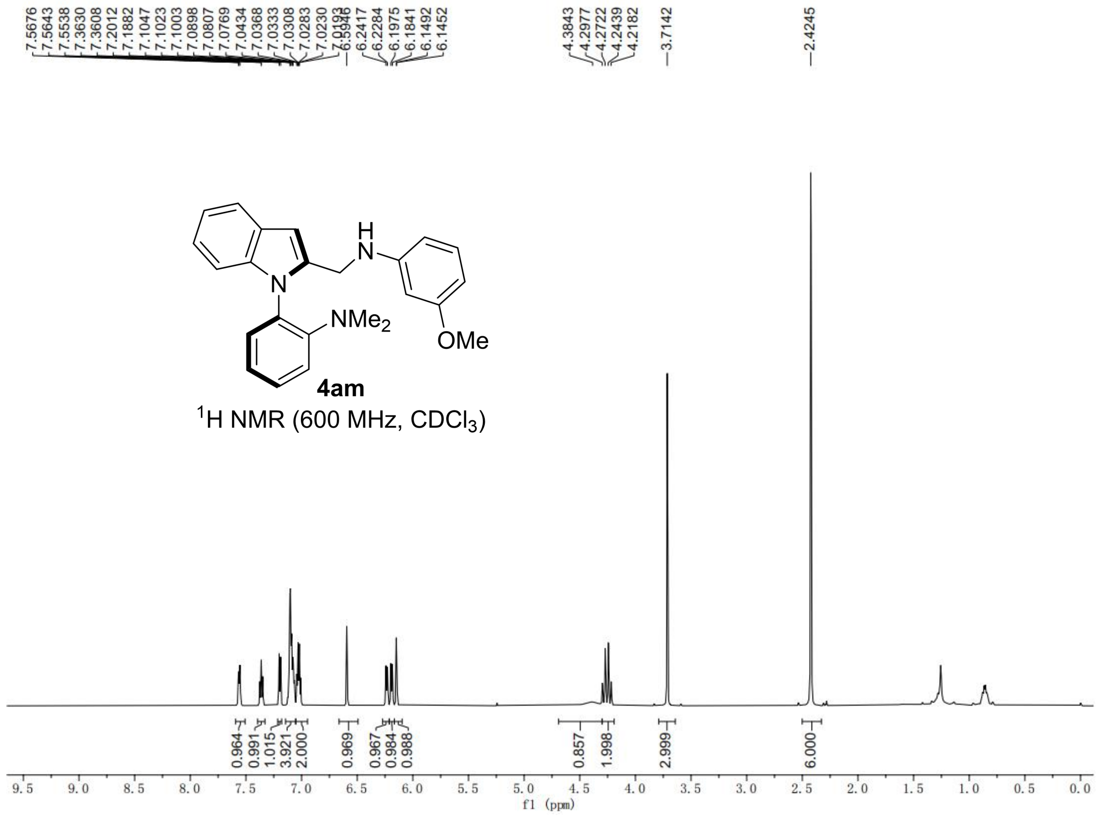
4al

^{13}C NMR (100 MHz, $CDCl_3$)



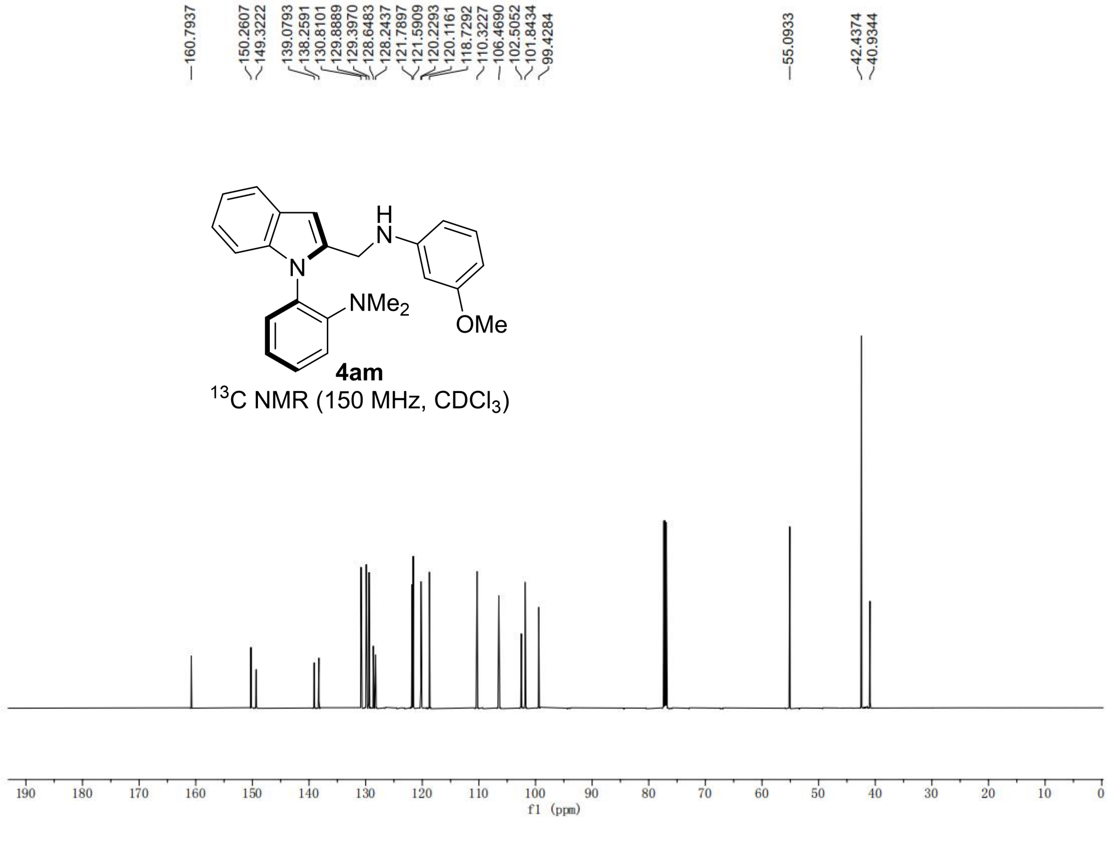
4al

^{19}F NMR (376 MHz, $CDCl_3$)



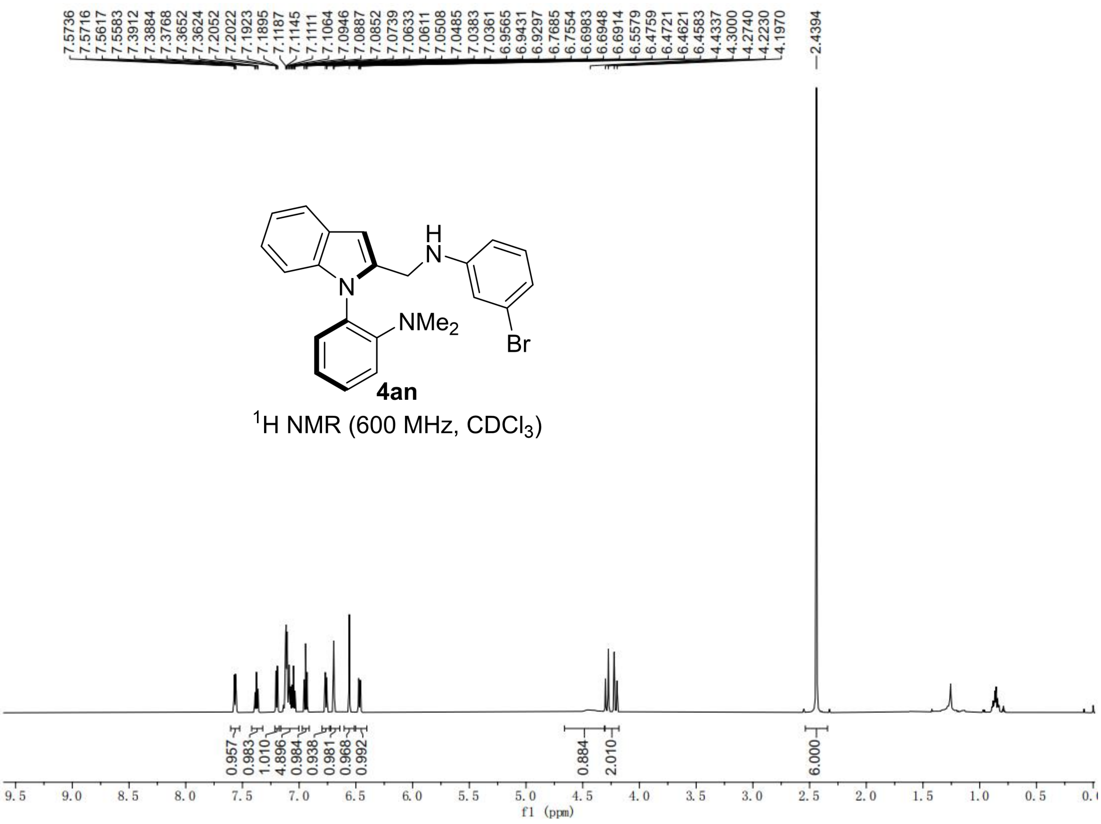
4am

^{1}H NMR (600 MHz, CDCl$_3$)

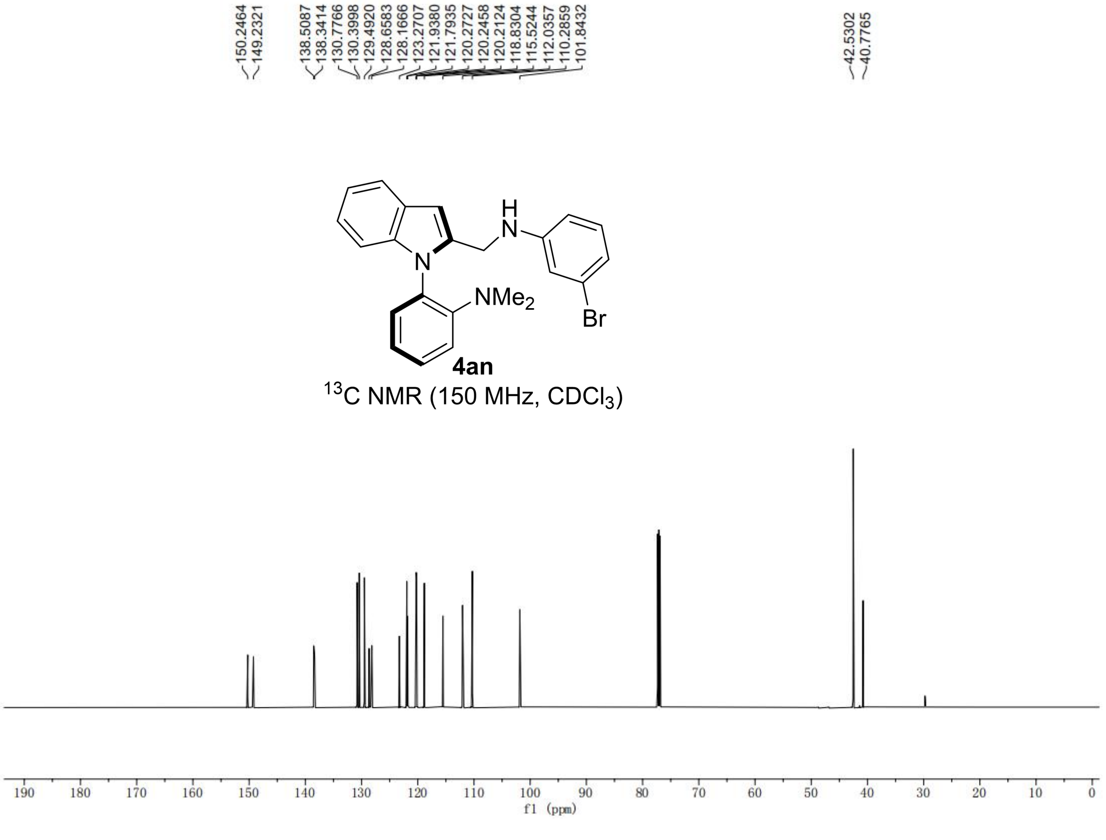


4am

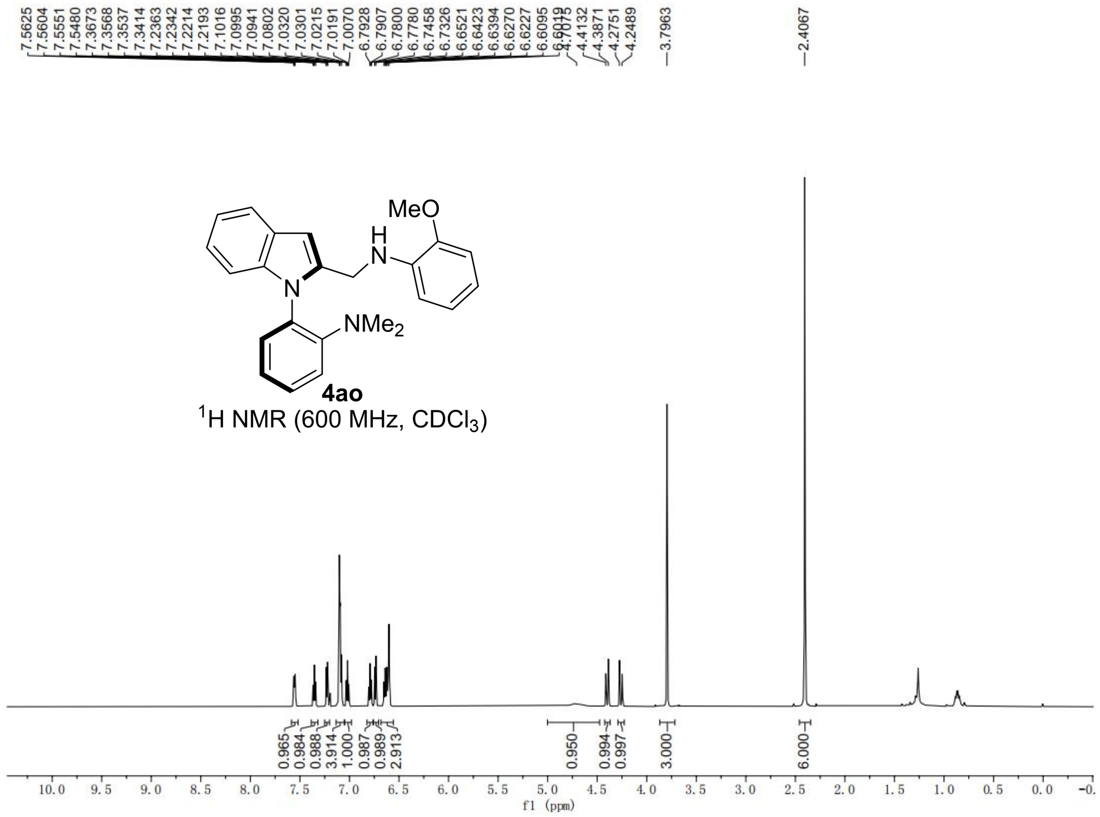
^{13}C NMR (150 MHz, CDCl$_3$)


4an

^{1}H NMR (600 MHz, CDCl$_3$)

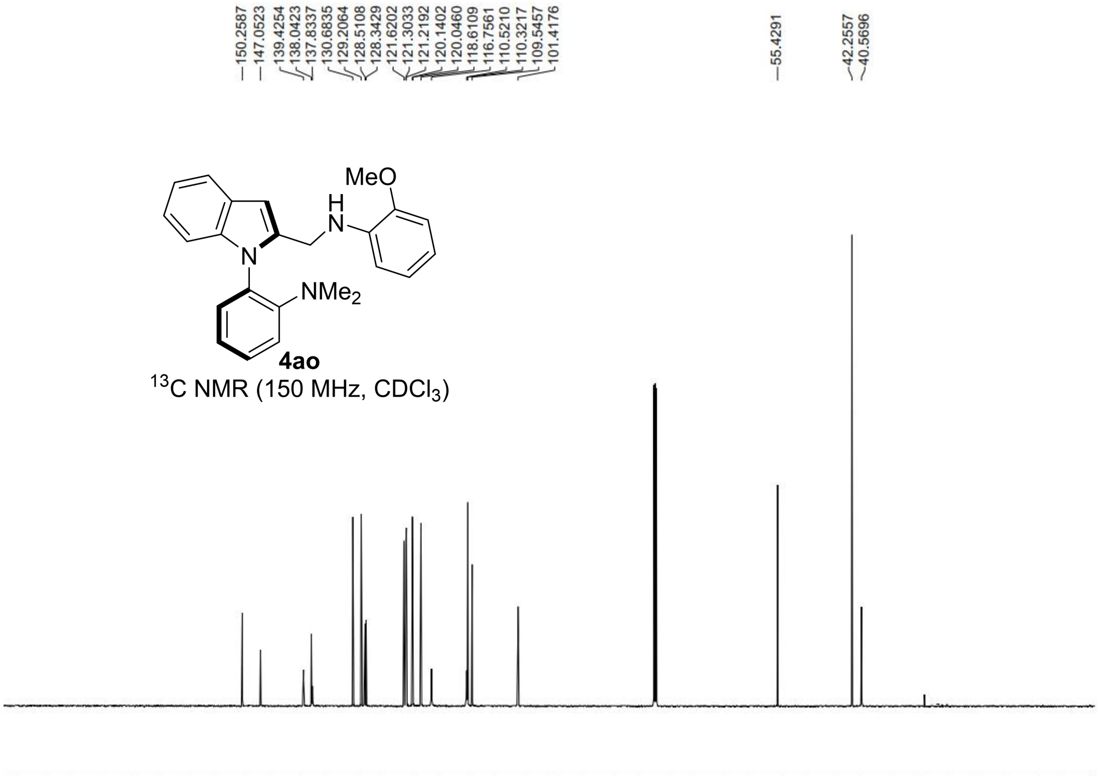

4an

^{13}C NMR (150 MHz, CDCl$_3$)



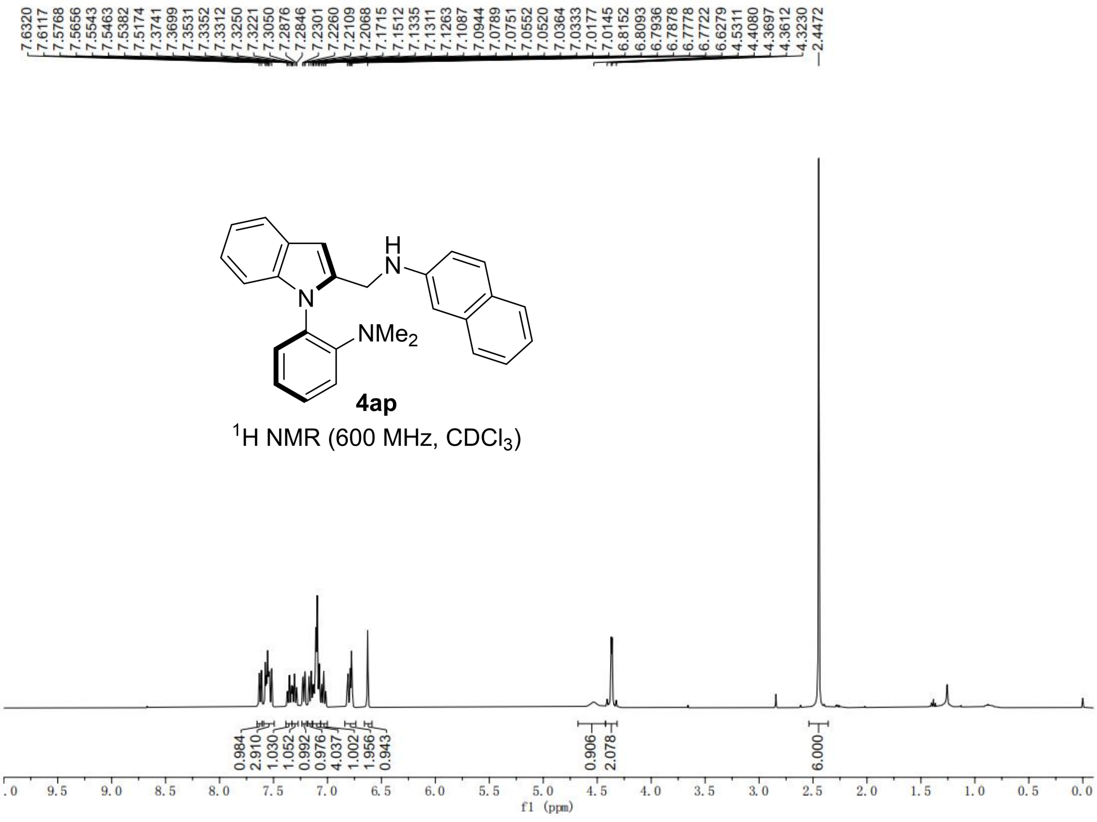
4ao

^{1}H NMR (600 MHz, $CDCl_3$)



4ao

^{13}C NMR (150 MHz, $CDCl_3$)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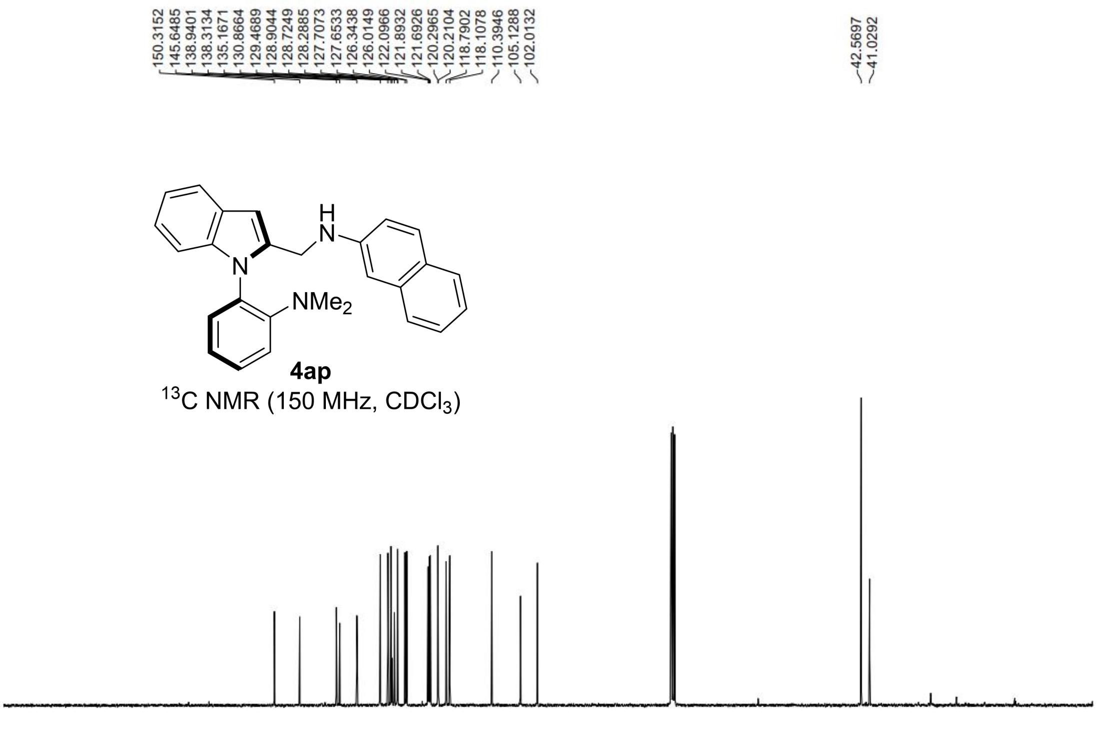
7.6117
7.5768
7.5656
7.5543
7.5463
7.5382
7.5174
7.3741
7.3699
7.3531
7.3352
7.3312
7.3250
7.3221
7.3050
7.2876
7.2846
7.2301
7.2260
7.2109
7.2068
7.1715
7.1512
7.1335
7.1311
7.1263
7.1087
7.0944
7.0789
7.0751
7.0552
7.0520
7.0364
7.0333
7.0177
7.0145
6.8152
6.8093
6.7936
6.7878
6.7778
6.7722
6.6279
4.5311
4.4080
4.3697
4.3612
4.3230
2.4472
H
N
N
NMe$_2$
4ap
^{1}H NMR (600 MHz, CDCl$_3$)
0.984
2.910
1.030
1.052
0.992
0.976
4.037
1.002
1.956
0.943
0.906
2.078
6.000
.0
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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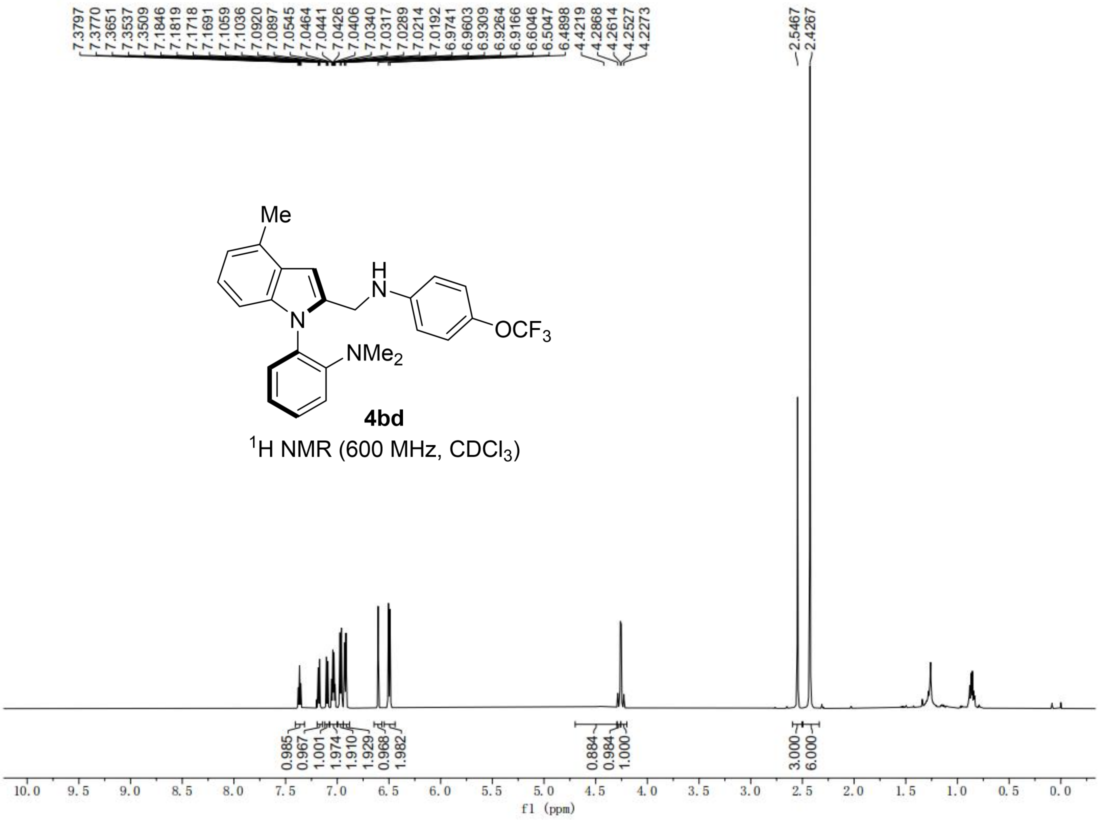
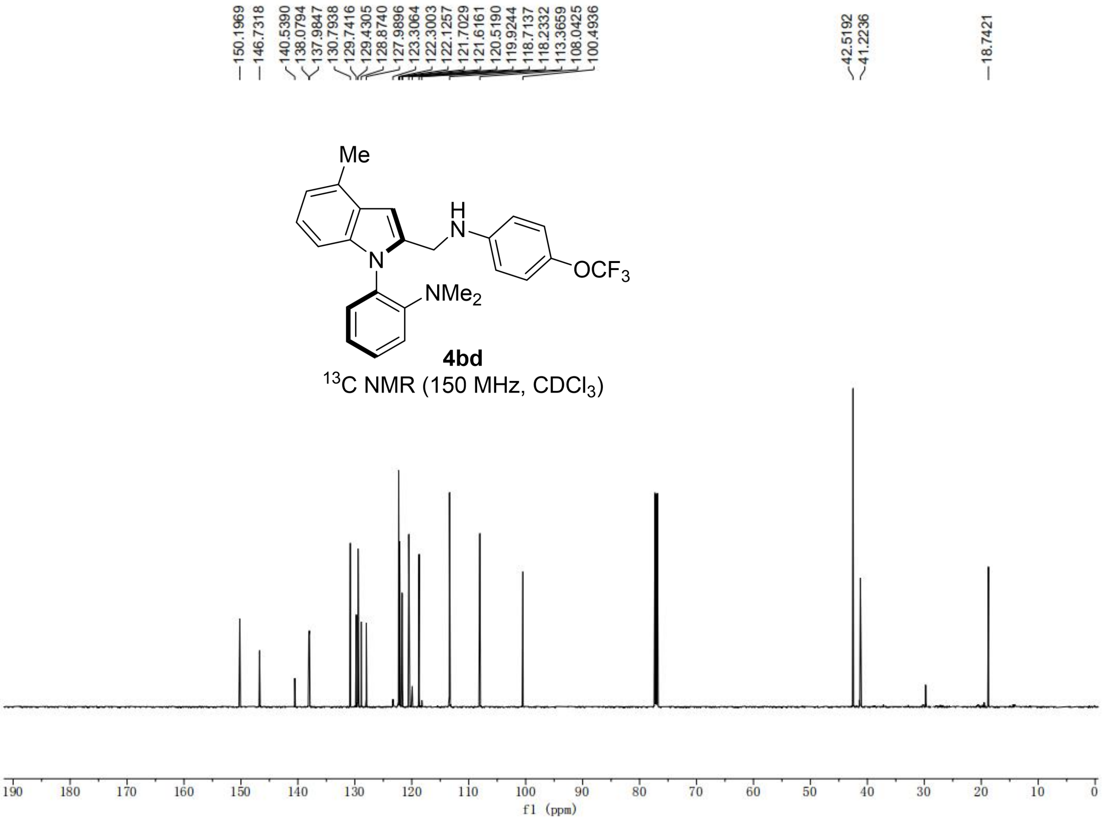
138.9401
138.3134
135.1671
130.8664
129.4689
128.9044
128.7249
128.2885
127.7073
127.6533
126.3438
126.0149
122.0966
121.8932
121.6926
120.2965
120.2104
118.7902
118.1078
110.3946
105.1288
102.0132
42.5697
41.0292
H
N
N
NMe$_2$
4ap
^{13}C NMR (150 MHz, CDCl$_3$)
00
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



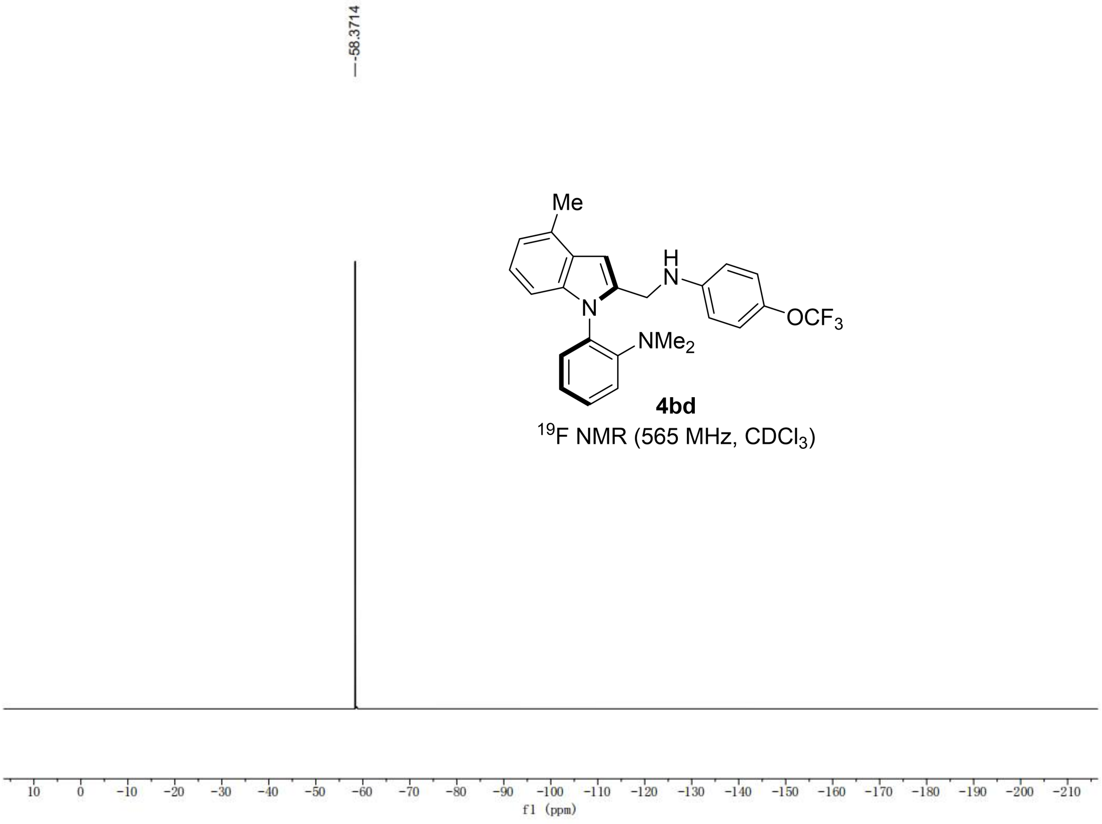
4bd

^{1}H NMR (600 MHz, CDCl$_3$)



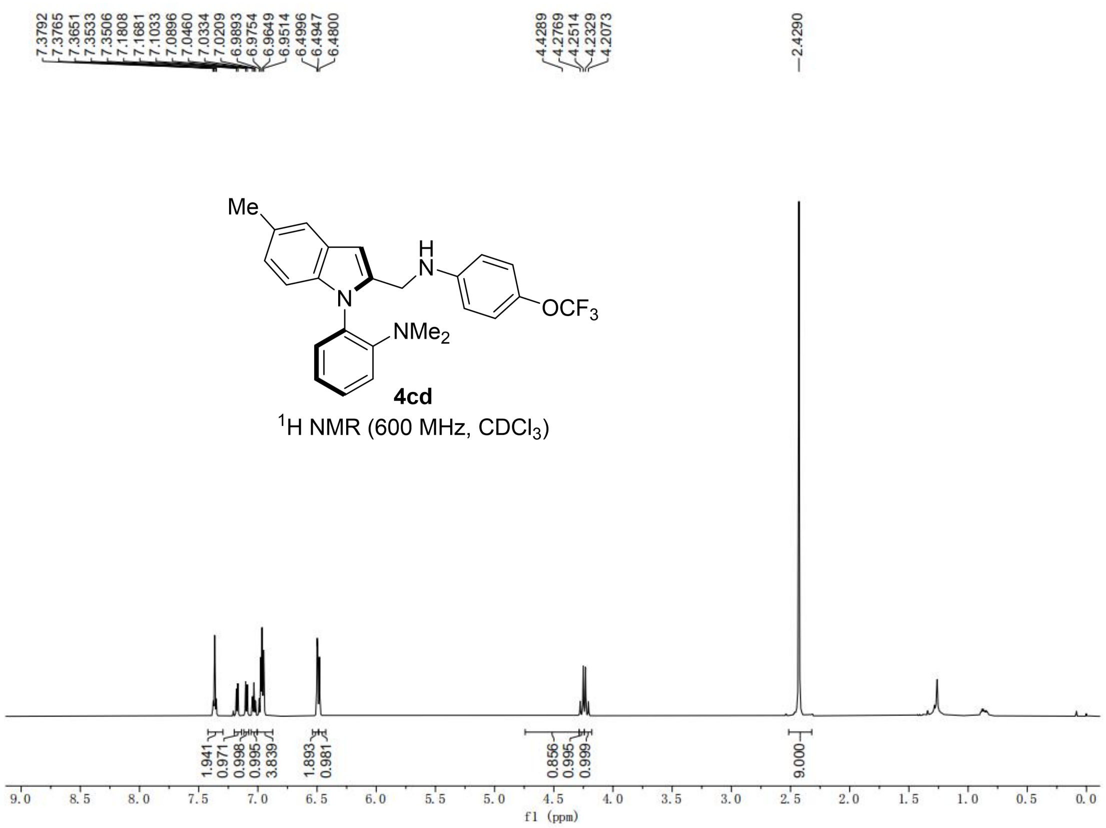
4bd

^{13}C NMR (150 MHz, CDCl$_3$)



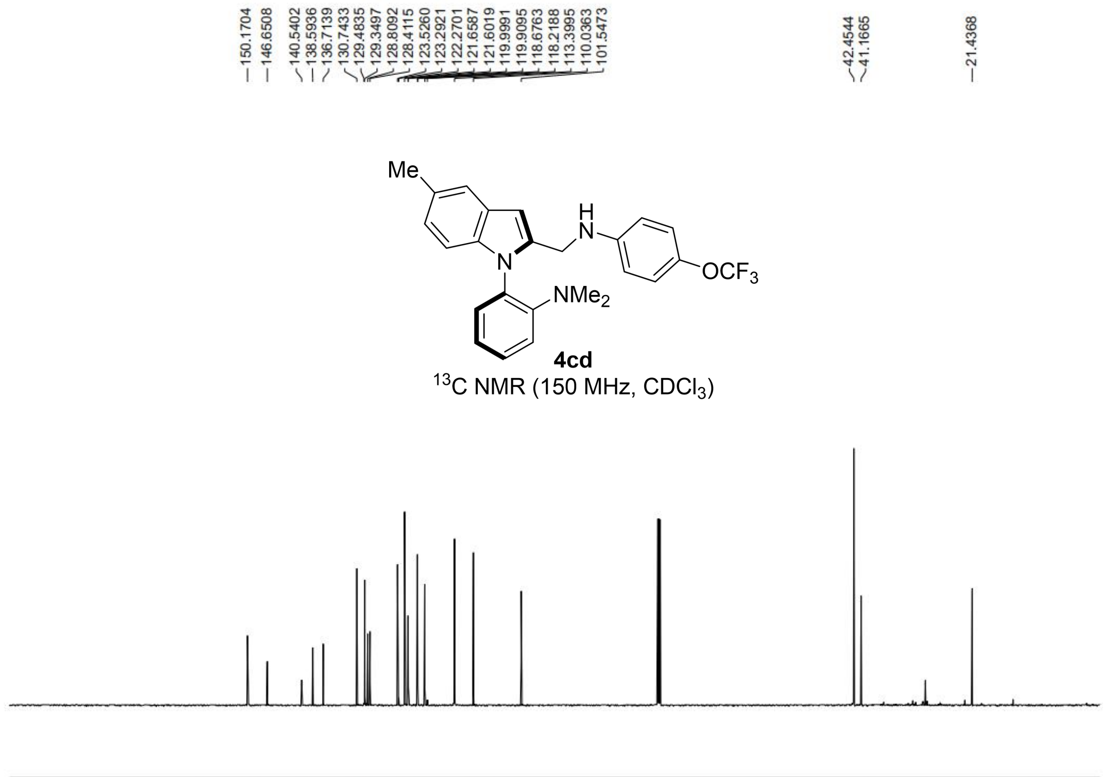
4bd

^{19}F NMR (565 MHz, CDCl$_3$)



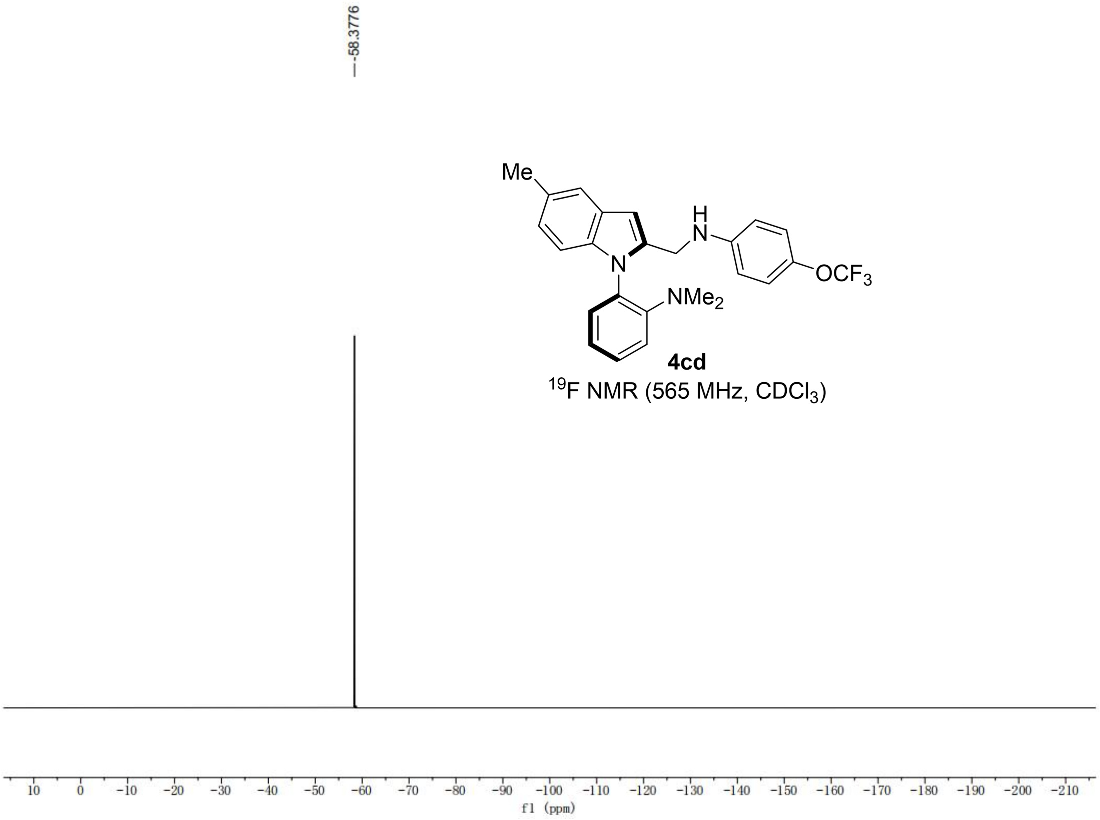
4cd

^{1}H NMR (600 MHz, $CDCl_3$)



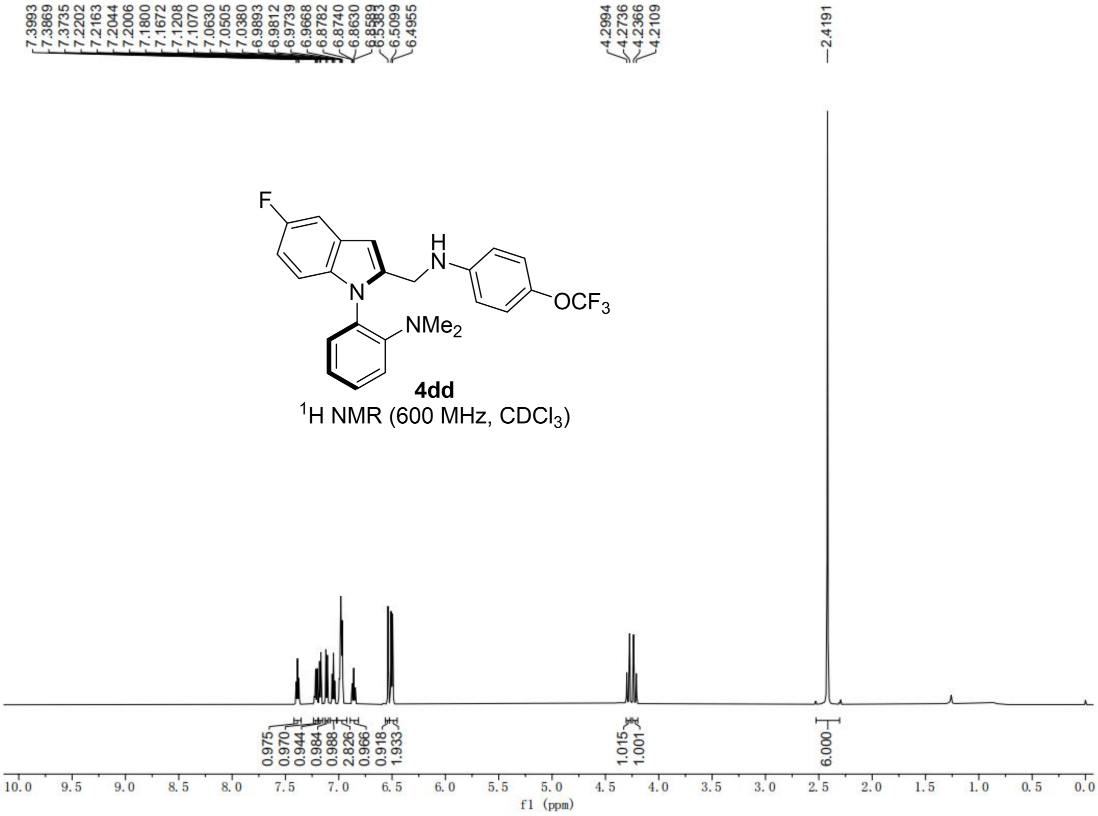
4cd

^{13}C NMR (150 MHz, $CDCl_3$)



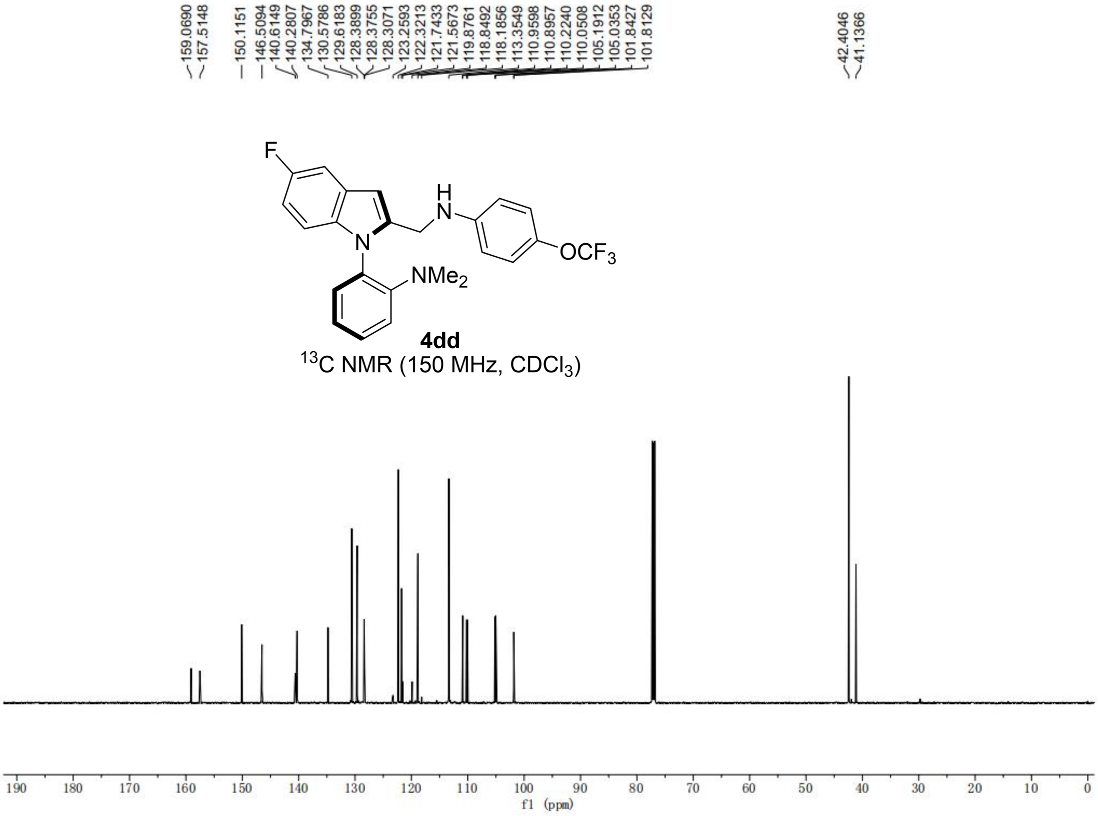
4cd

^{19}F NMR (565 MHz, CDCl$_3$)



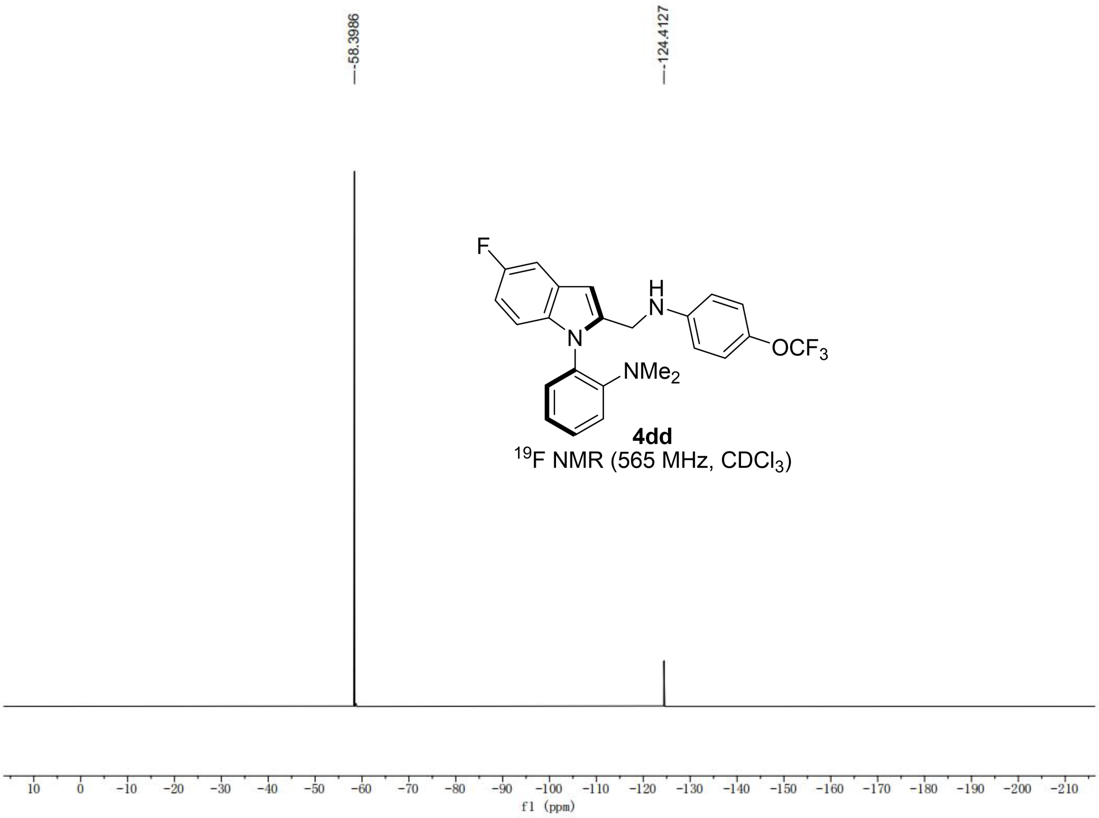
4dd

^{1}H NMR (600 MHz, $CDCl_3$)



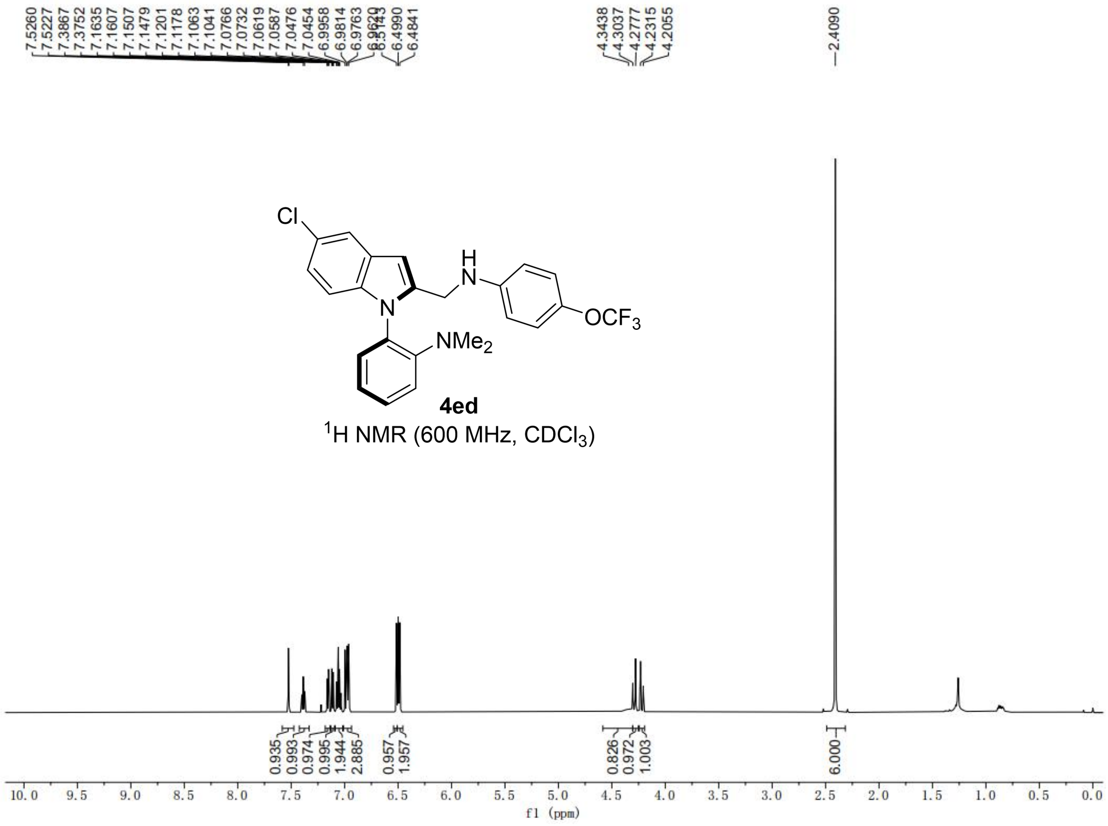
4dd

^{13}C NMR (150 MHz, $CDCl_3$)



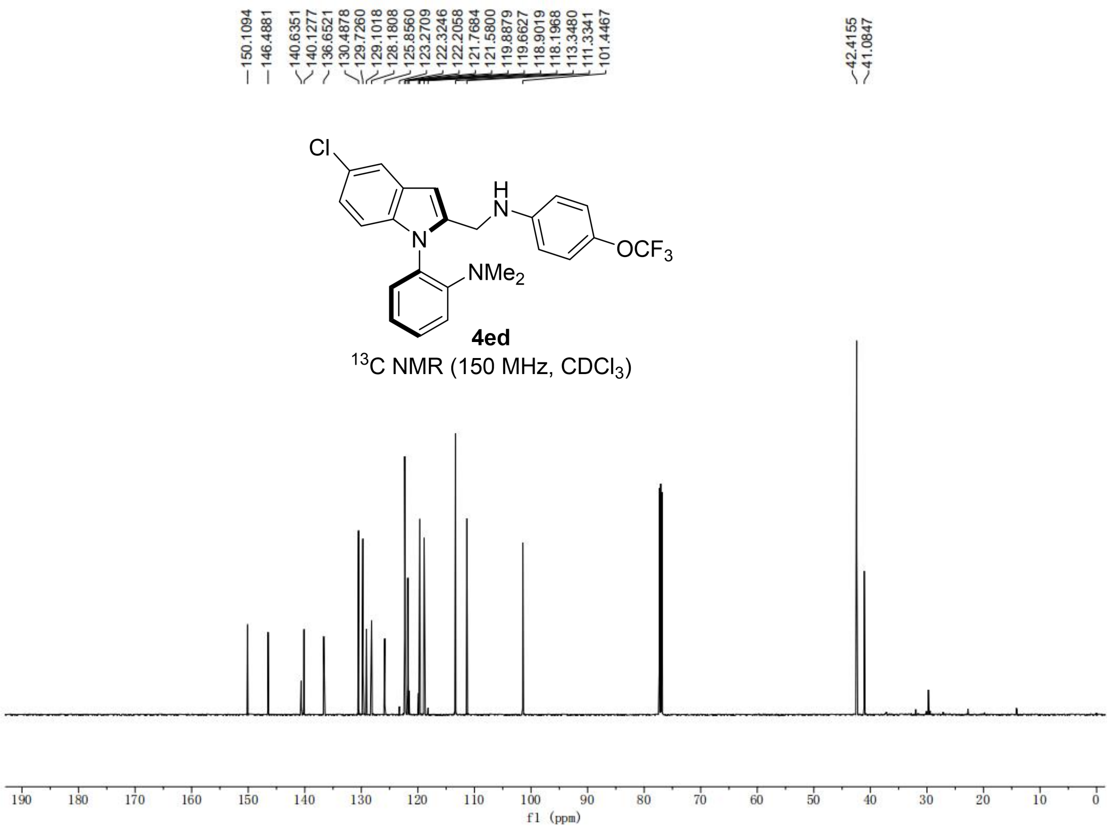
4dd

^{19}F NMR (565 MHz, CDCl$_3$)



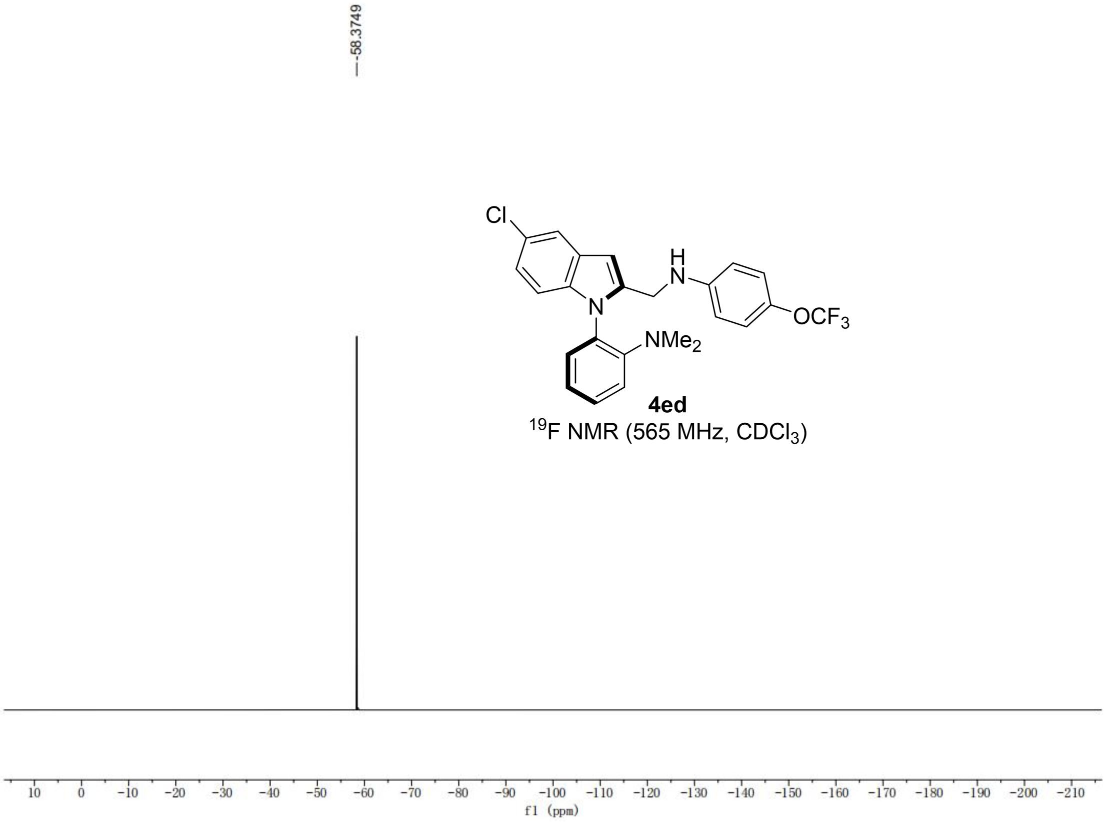
4ed

^{1}H NMR (600 MHz, CDCl$_3$)



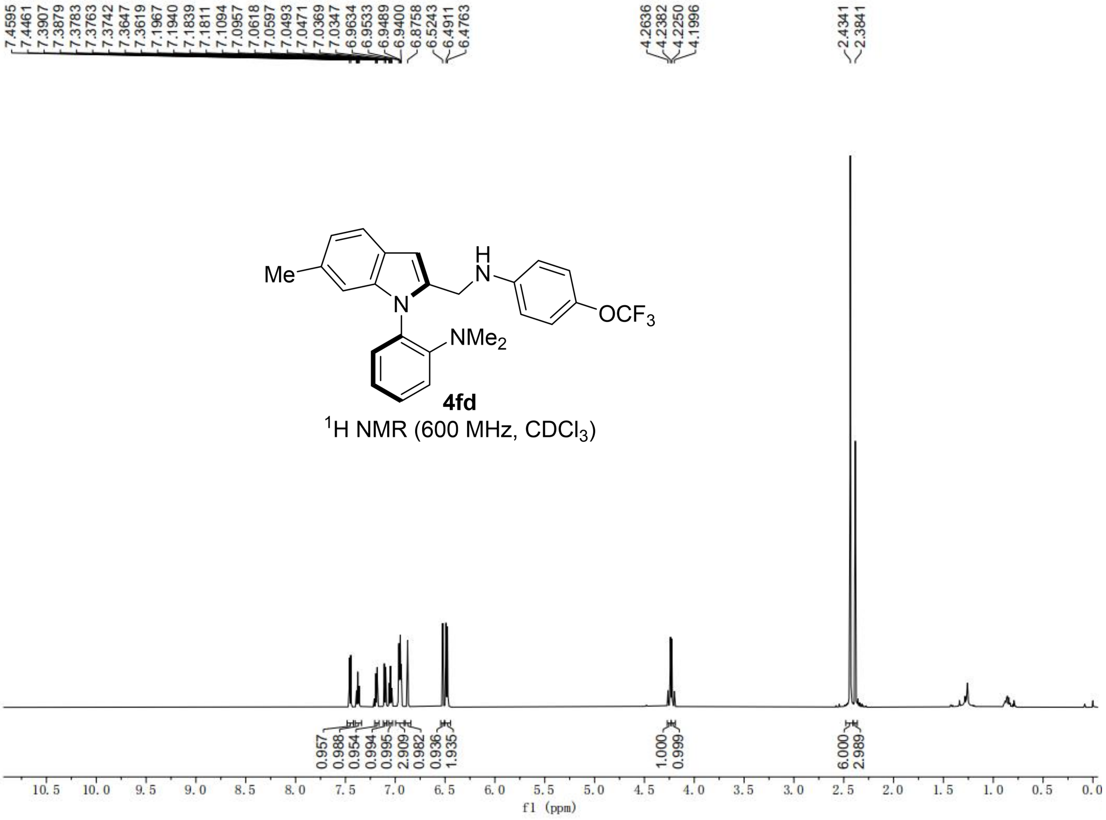
4ed

^{13}C NMR (150 MHz, CDCl$_3$)



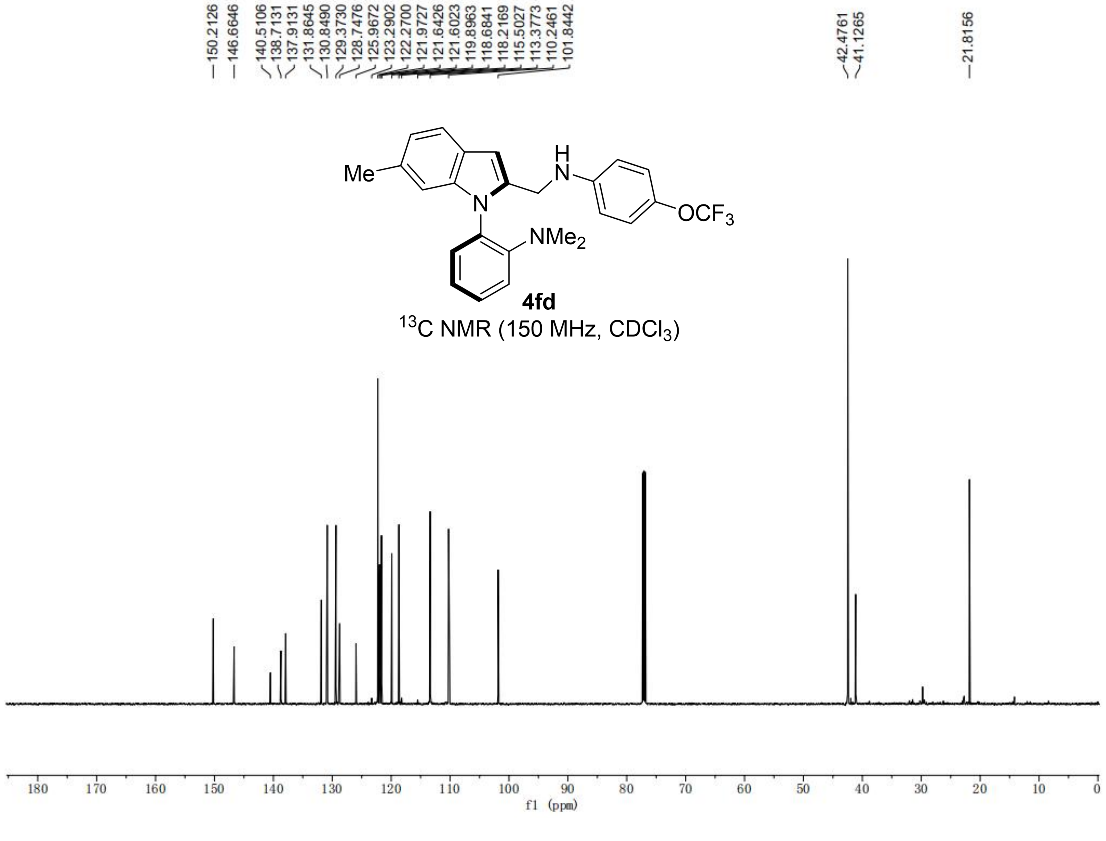
4ed

^{19}F NMR (565 MHz, CDCl$_3$)



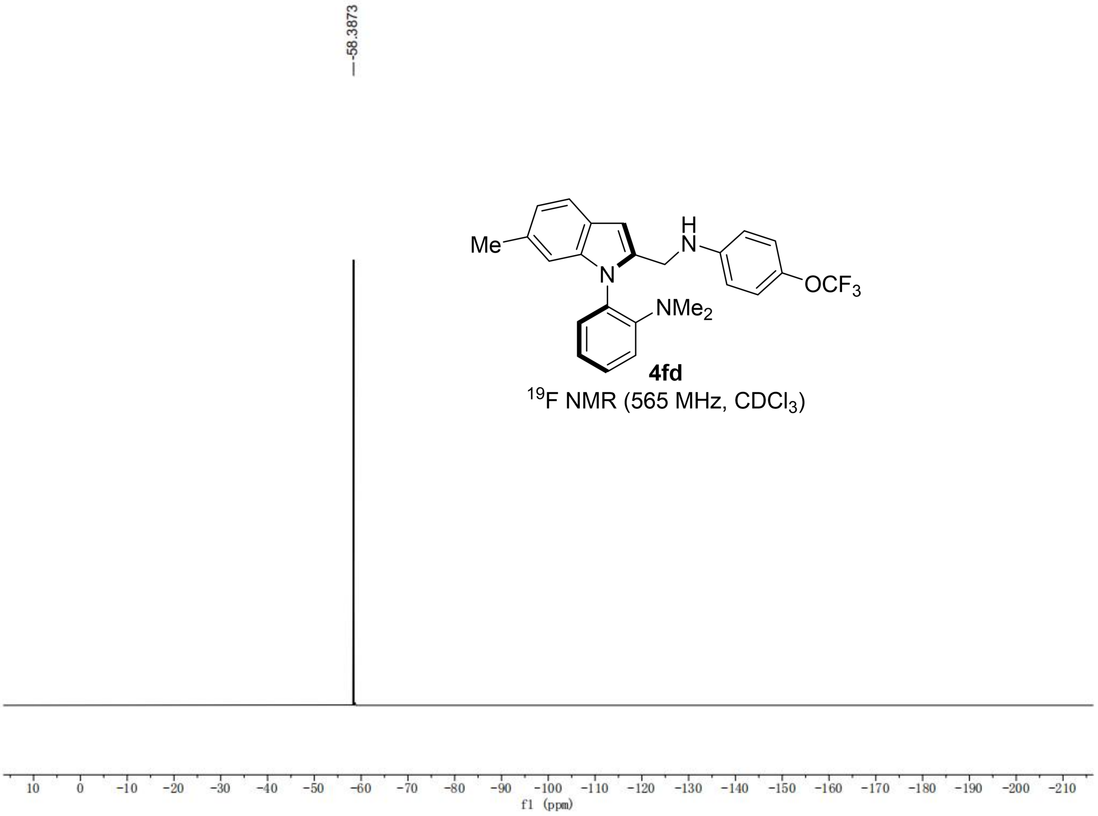
4fd

^{1}H NMR (600 MHz, CDCl$_3$)



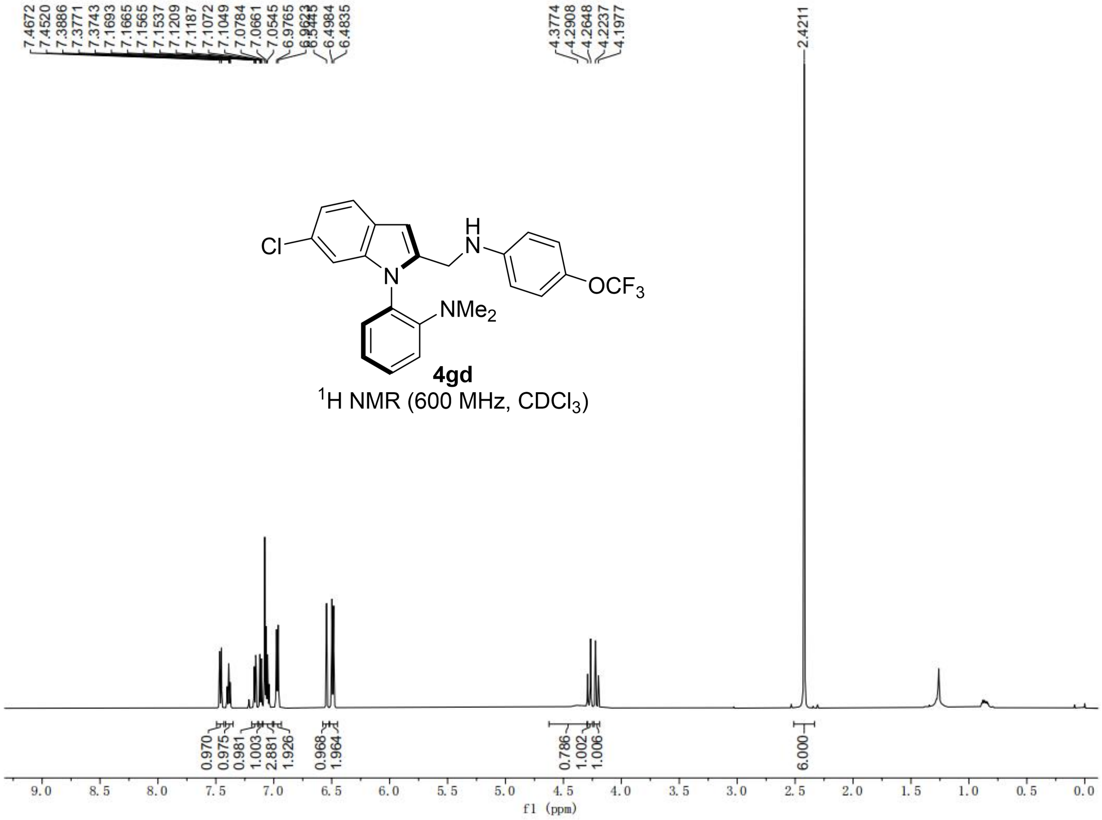
4fd

^{13}C NMR (150 MHz, CDCl$_3$)



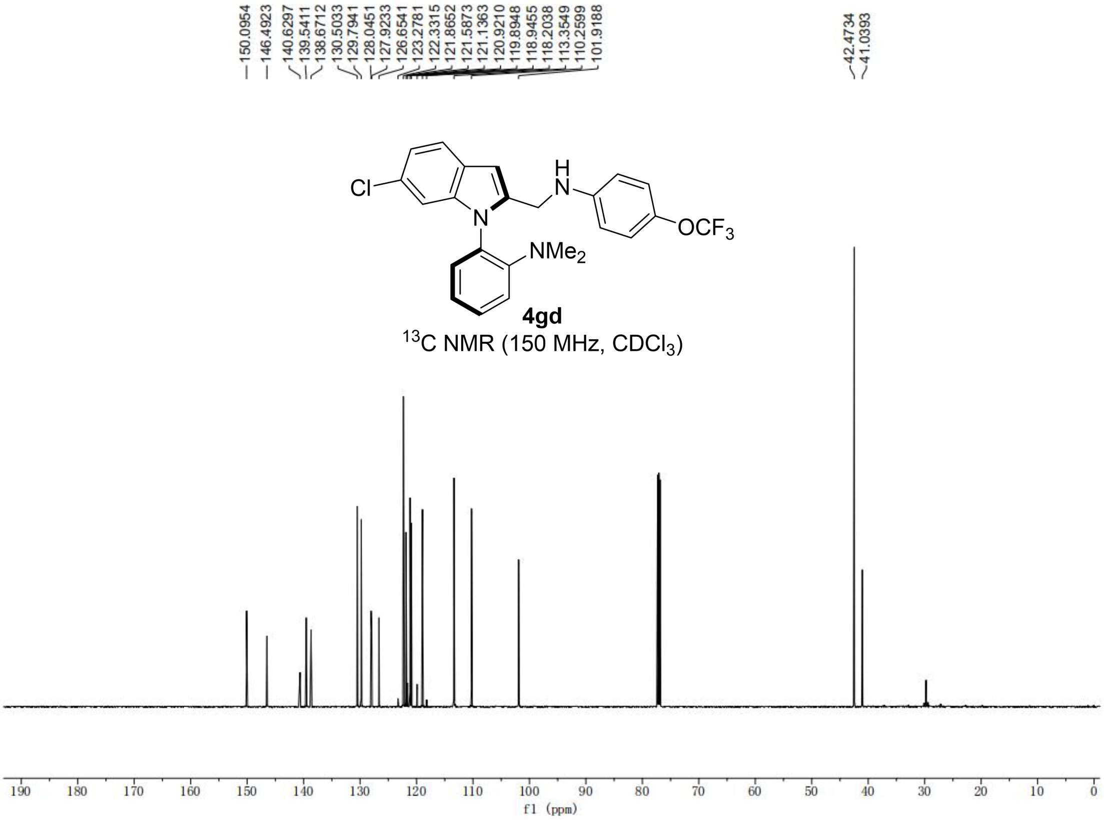
4fd

^{19}F NMR (565 MHz, CDCl$_3$)



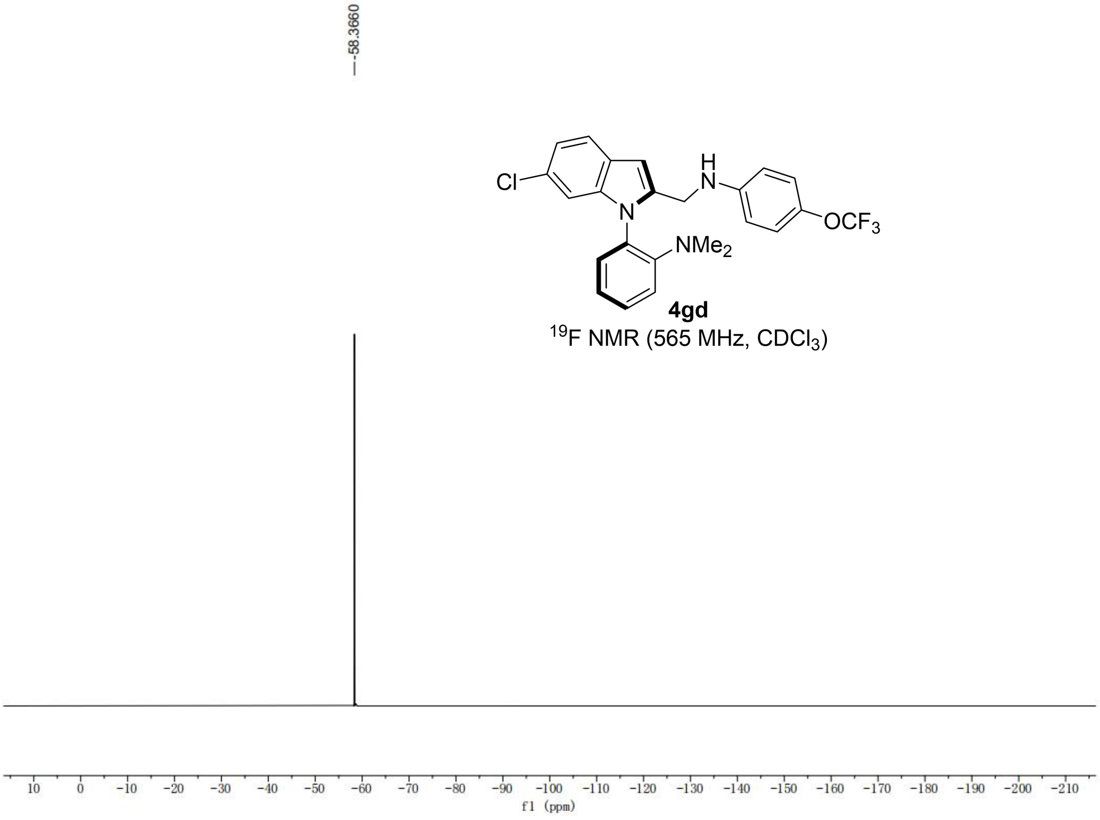
4gd

^{1}H NMR (600 MHz, CDCl$_3$)



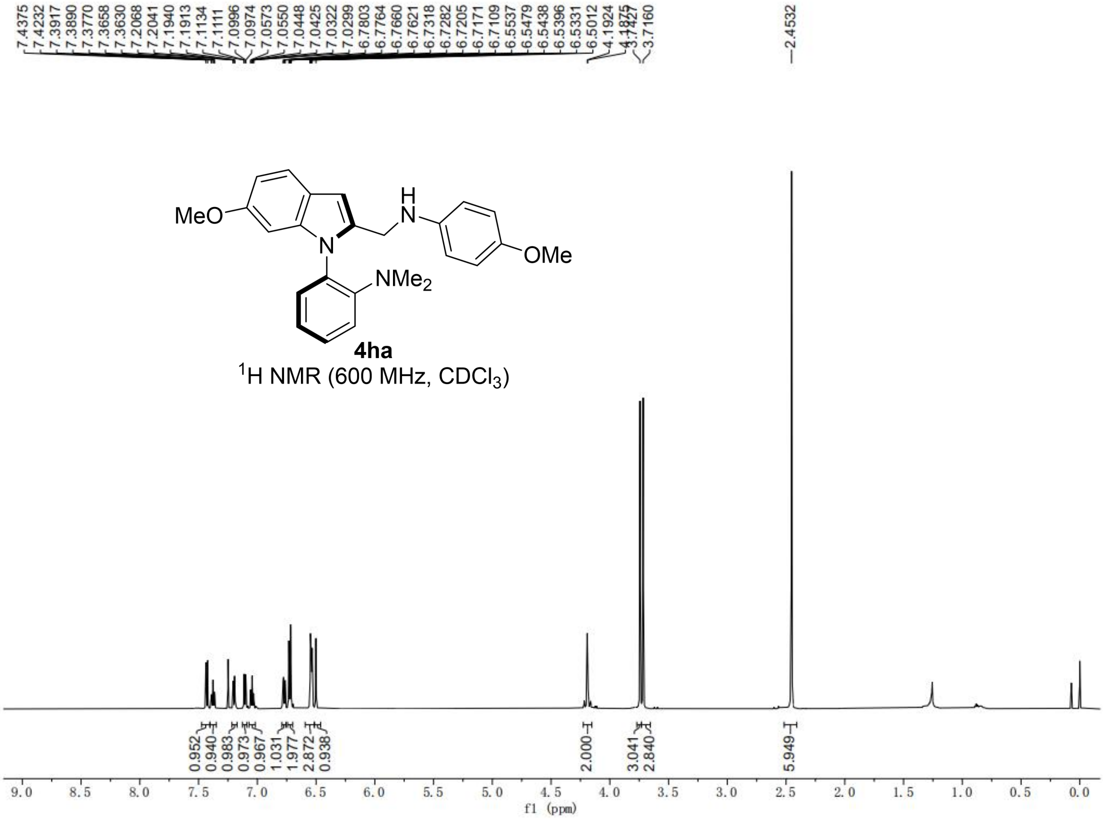
4gd

^{13}C NMR (150 MHz, CDCl$_3$)



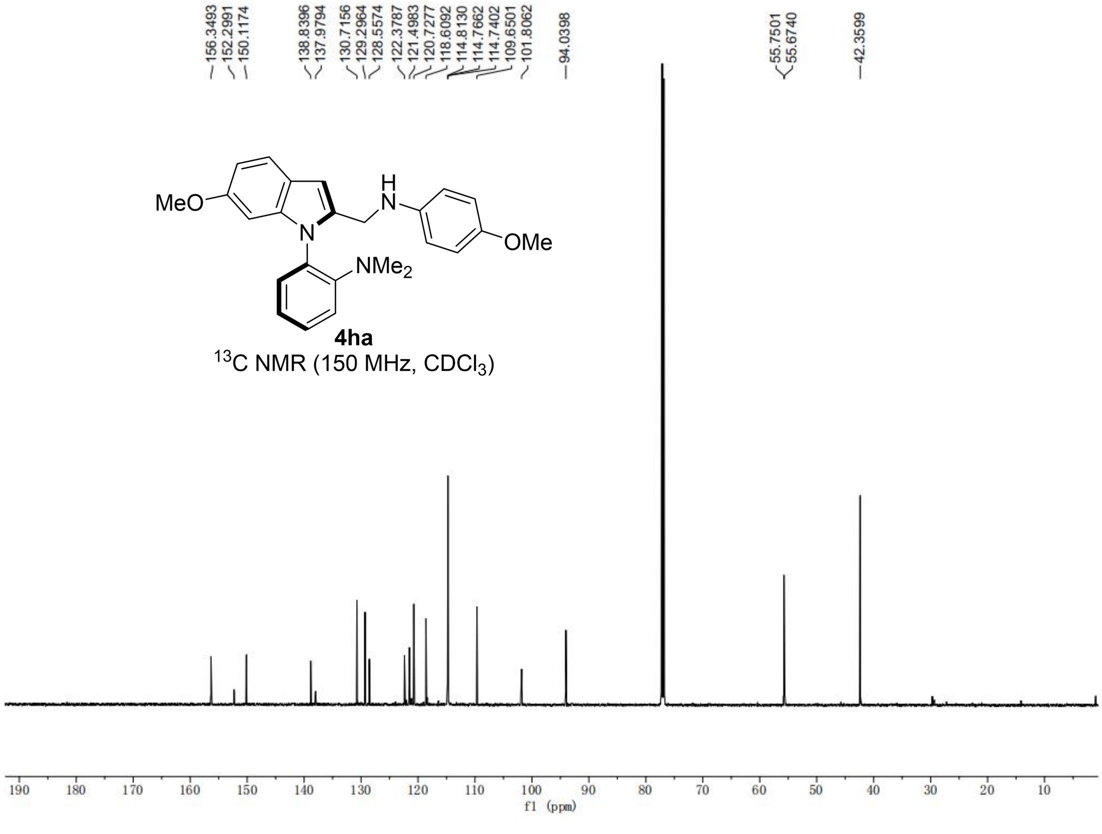
4gd

^{19}F NMR (565 MHz, CDCl$_3$)



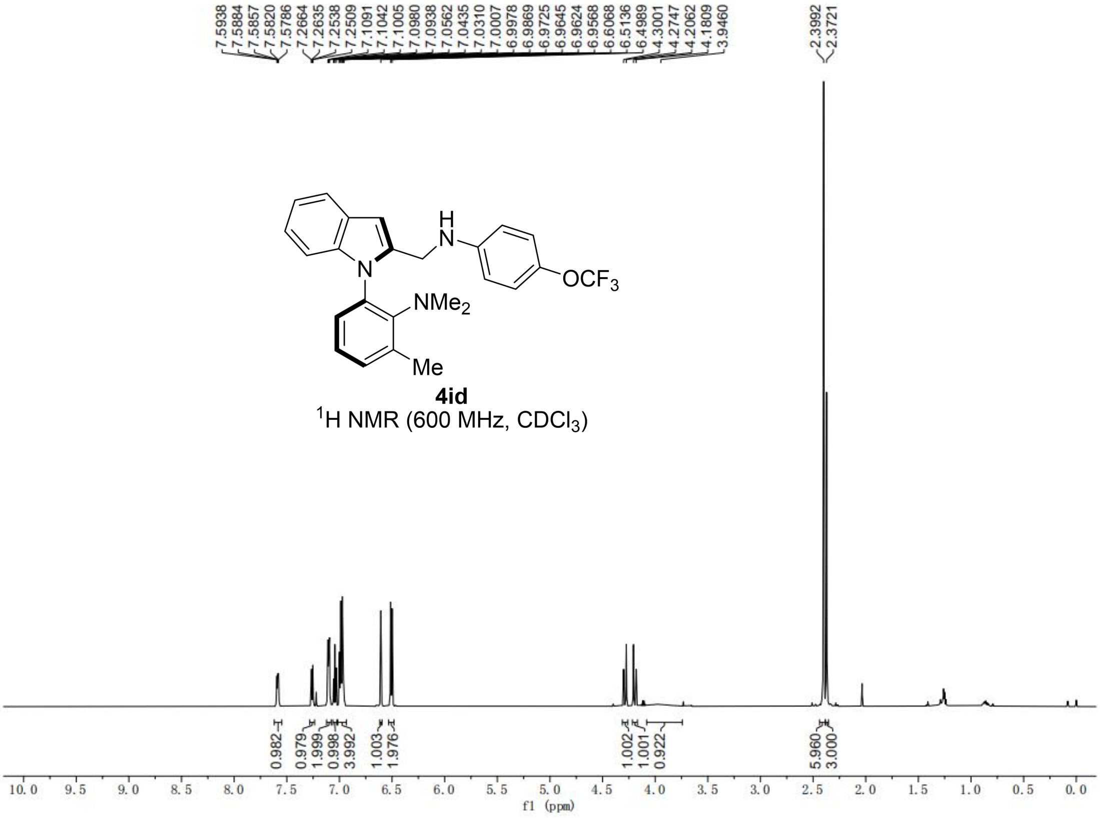
4ha

^{1}H NMR (600 MHz, $CDCl_3$)



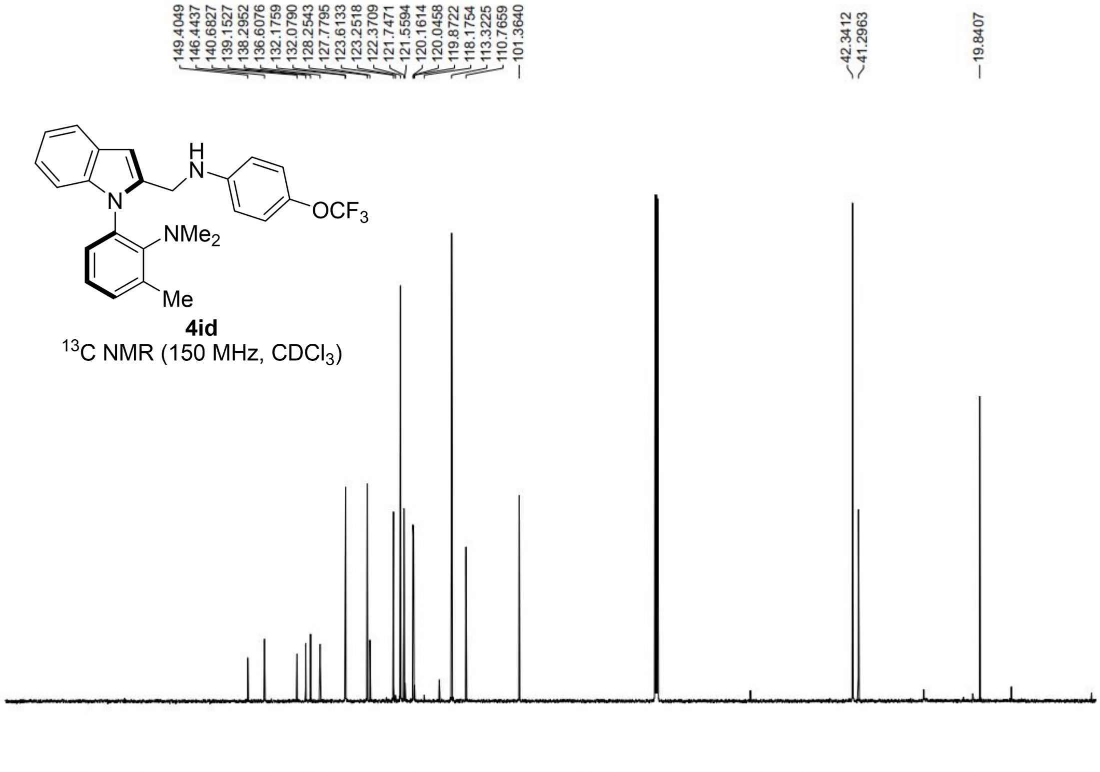
4ha

^{13}C NMR (150 MHz, $CDCl_3$)



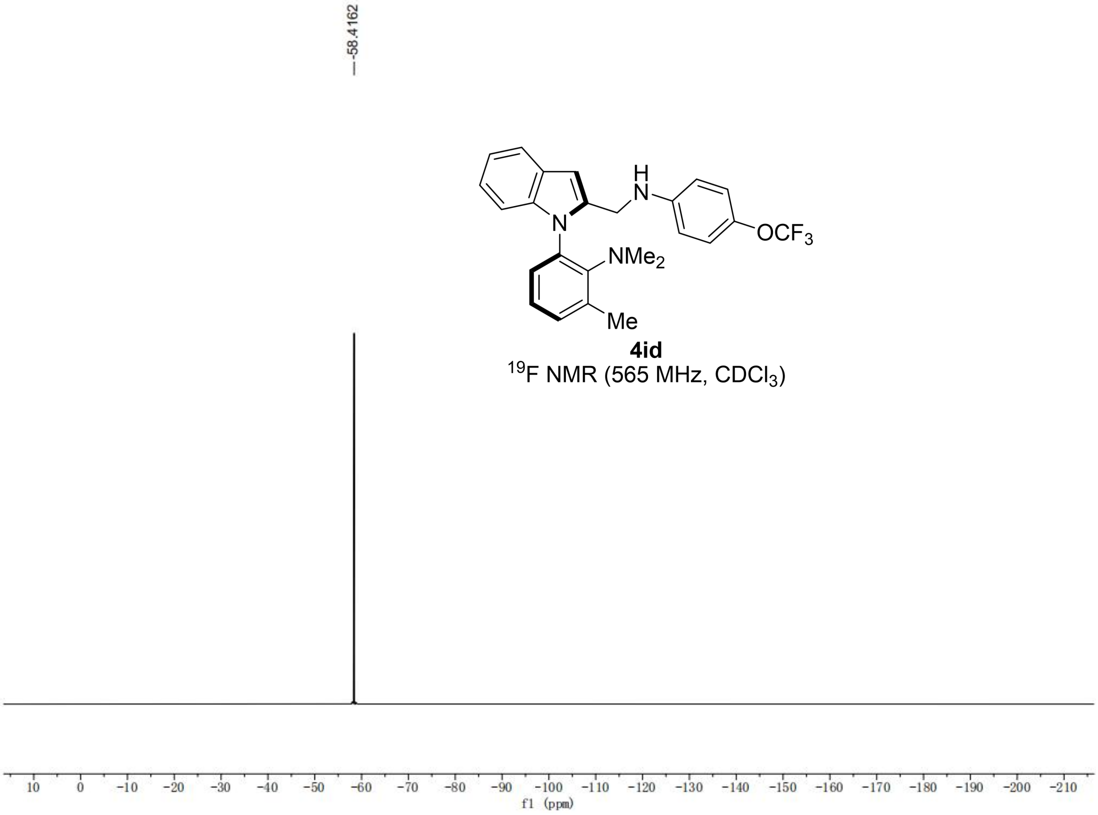
4id

^{1}H NMR (600 MHz, CDCl$_3$)



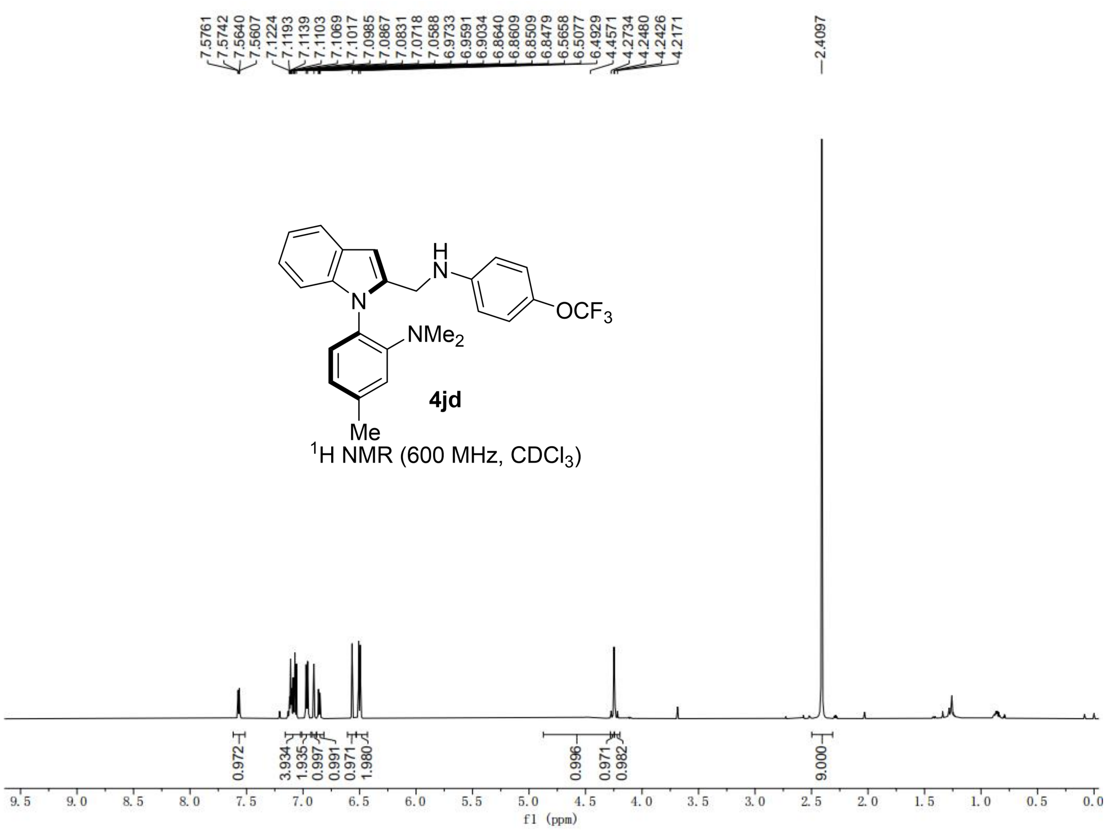
4id

^{13}C NMR (150 MHz, CDCl$_3$)



4id

^{19}F NMR (565 MHz, CDCl$_3$)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7.5742
7.5640
7.5607
7.1224
7.1193
7.1139
7.1103
7.1069
7.1017
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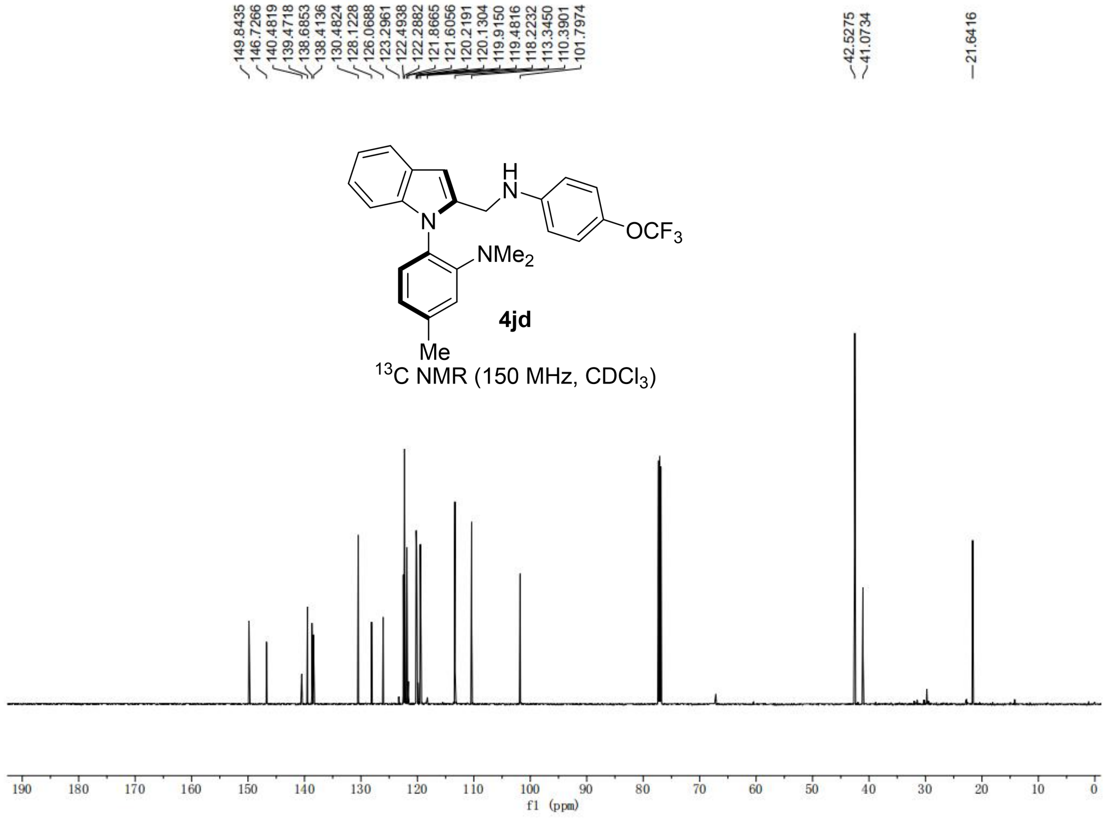
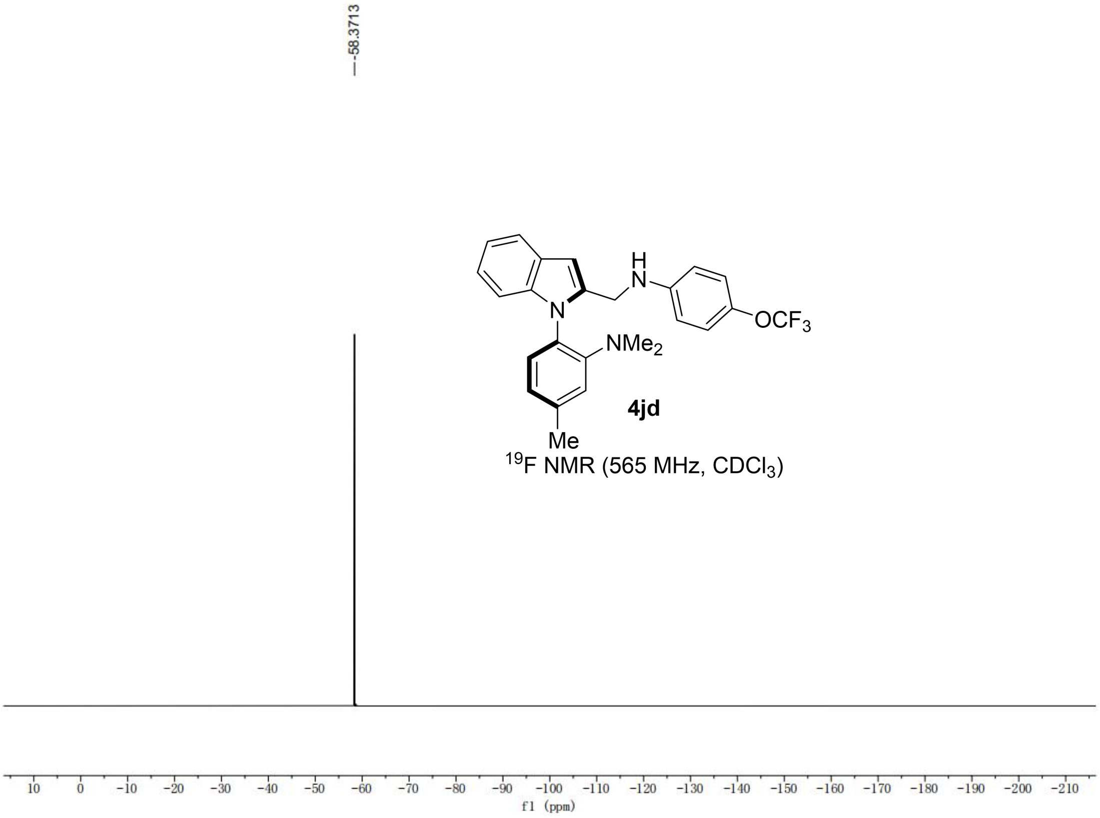
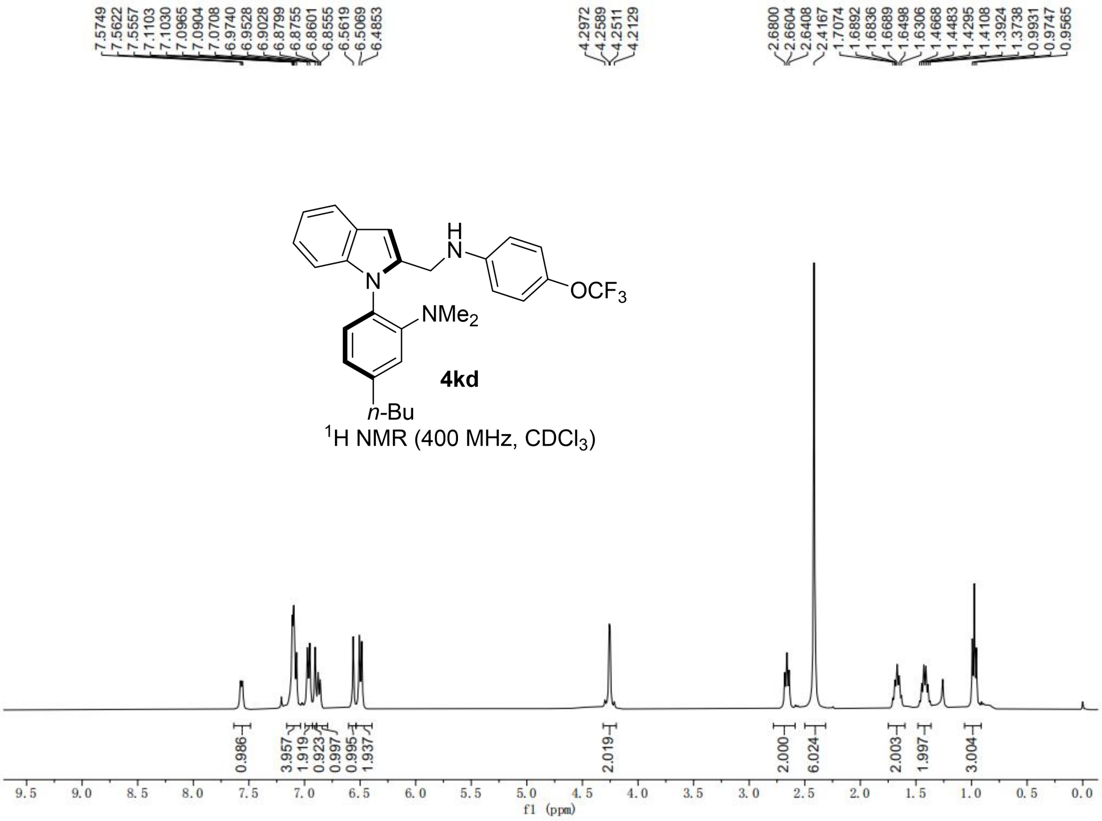
7.0867
7.0831
7.0718
7.0588
6.9733
6.9591
6.9034
6.8640
6.8609
6.8509
6.8479
6.5658
6.5077
6.4929
4.4571
4.2734
4.2480
4.2426
4.2171
2.4097
H
N
OCF$_3$
N
NMe$_2$
4jd
Me
^{1}H NMR (600 MHz, CDCl$_3$)
0.972
3.934
1.935
0.997
0.991
0.971
1.980
0.996
0.971
0.982
9.000
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)


149.8435
146.7266
140.4819
139.4718
138.6853
138.4136
130.4824
128.1228
126.0688
123.2961
122.4938
122.2882
121.8665
121.6056
120.2191
120.1304
119.9150
119.4816
118.2232
113.3450
110.3901
101.7974
42.5275
41.0734
21.6416
H
N
OCF$_3$
N
NMe$_2$
4jd
Me
^{13}C NMR (150 MHz, CDCl$_3$)
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



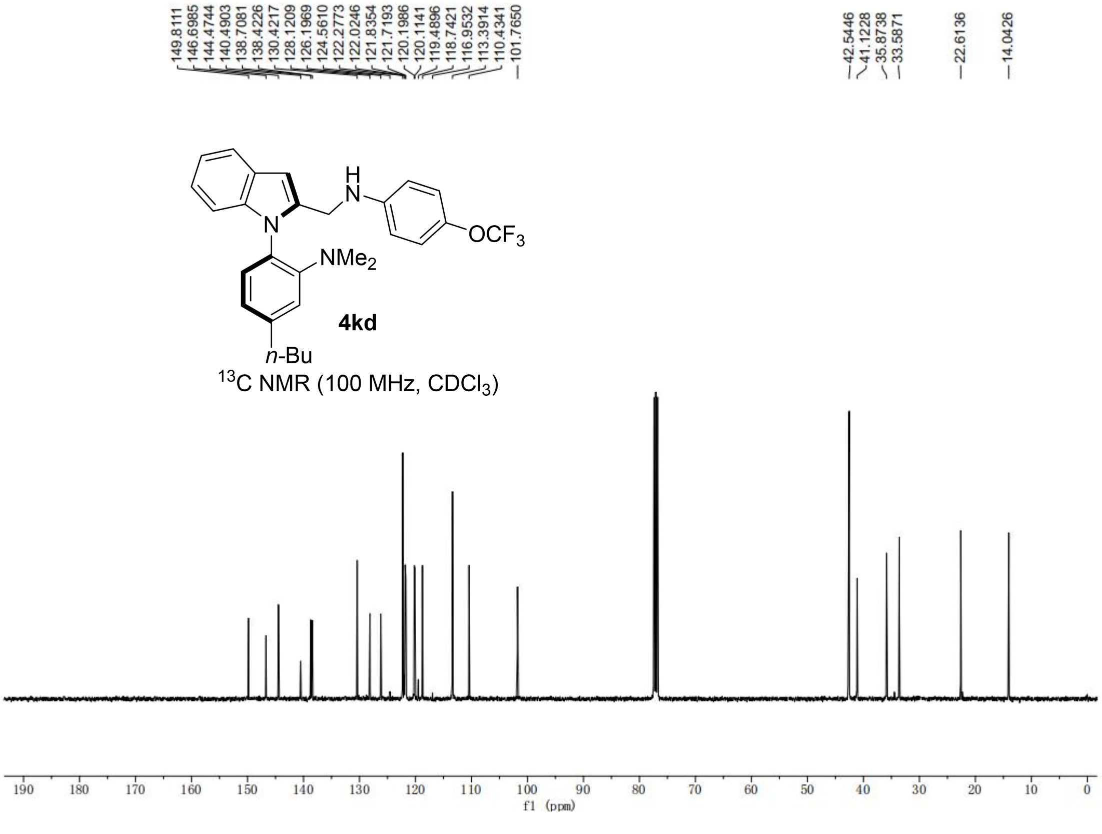
4jd

^{19}F NMR (565 MHz, CDCl$_3$)



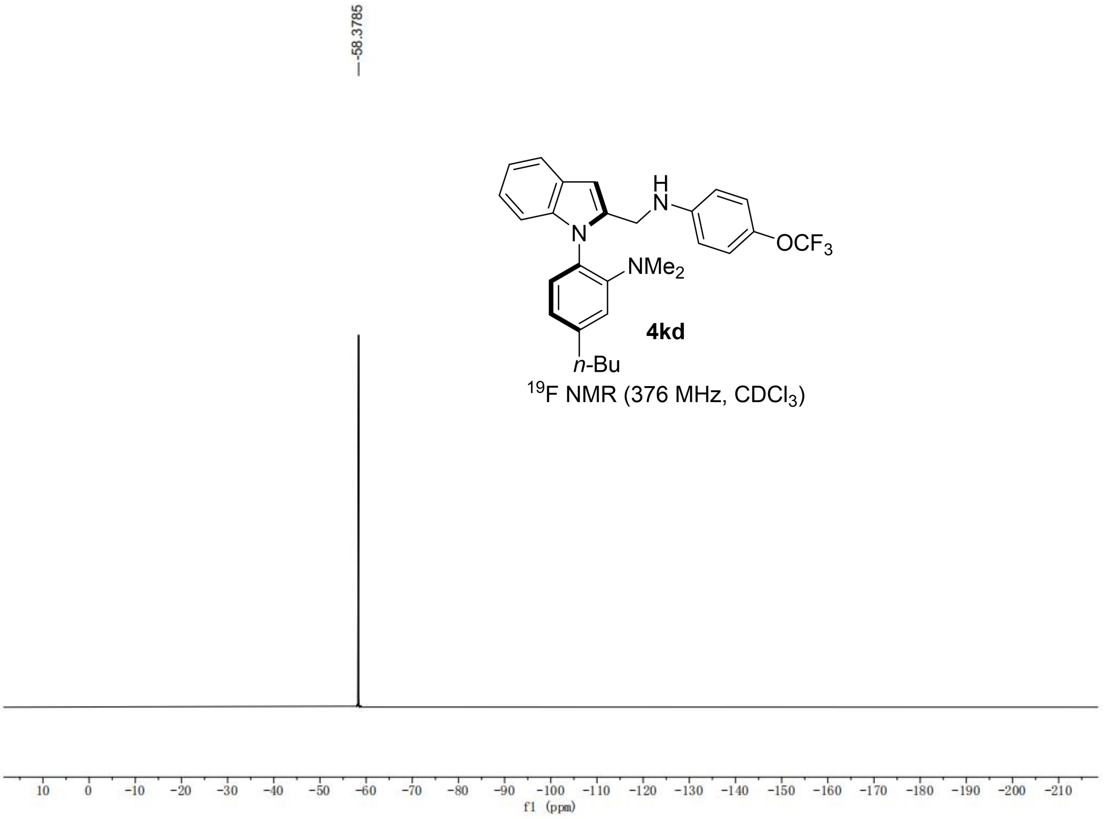
4kd

^{1}H NMR (400 MHz, CDCl$_3$)



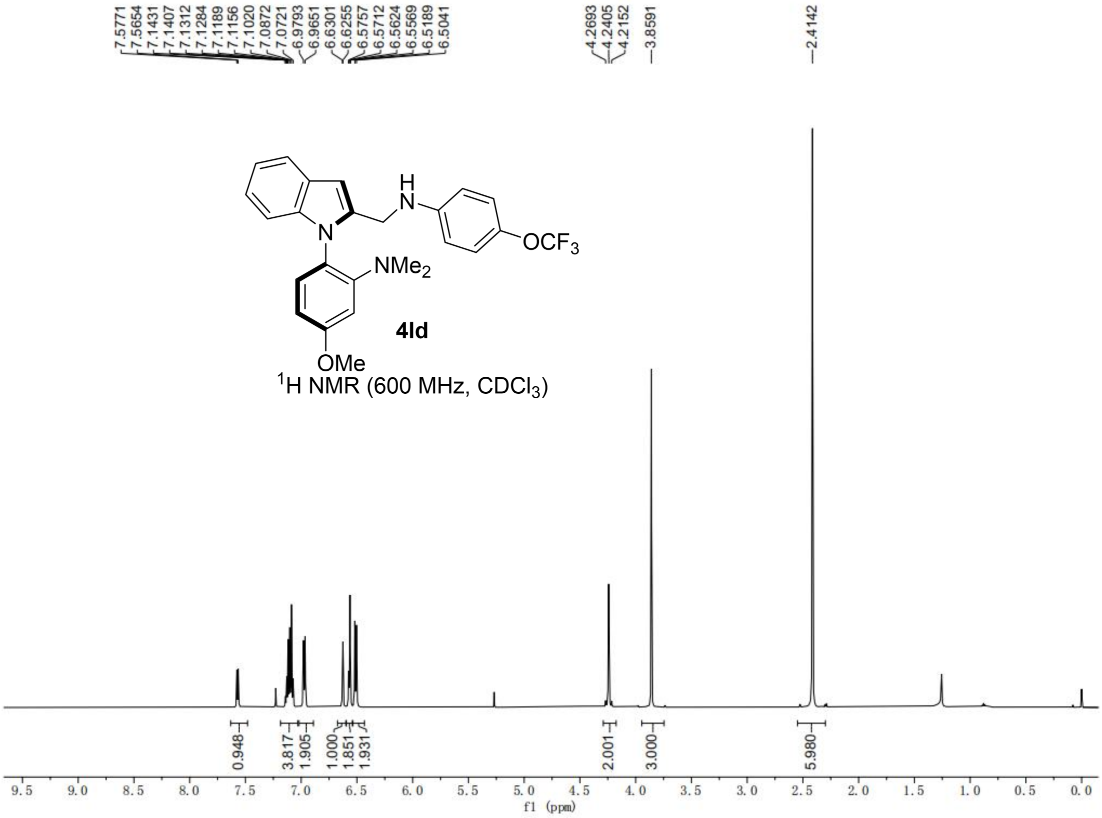
4kd

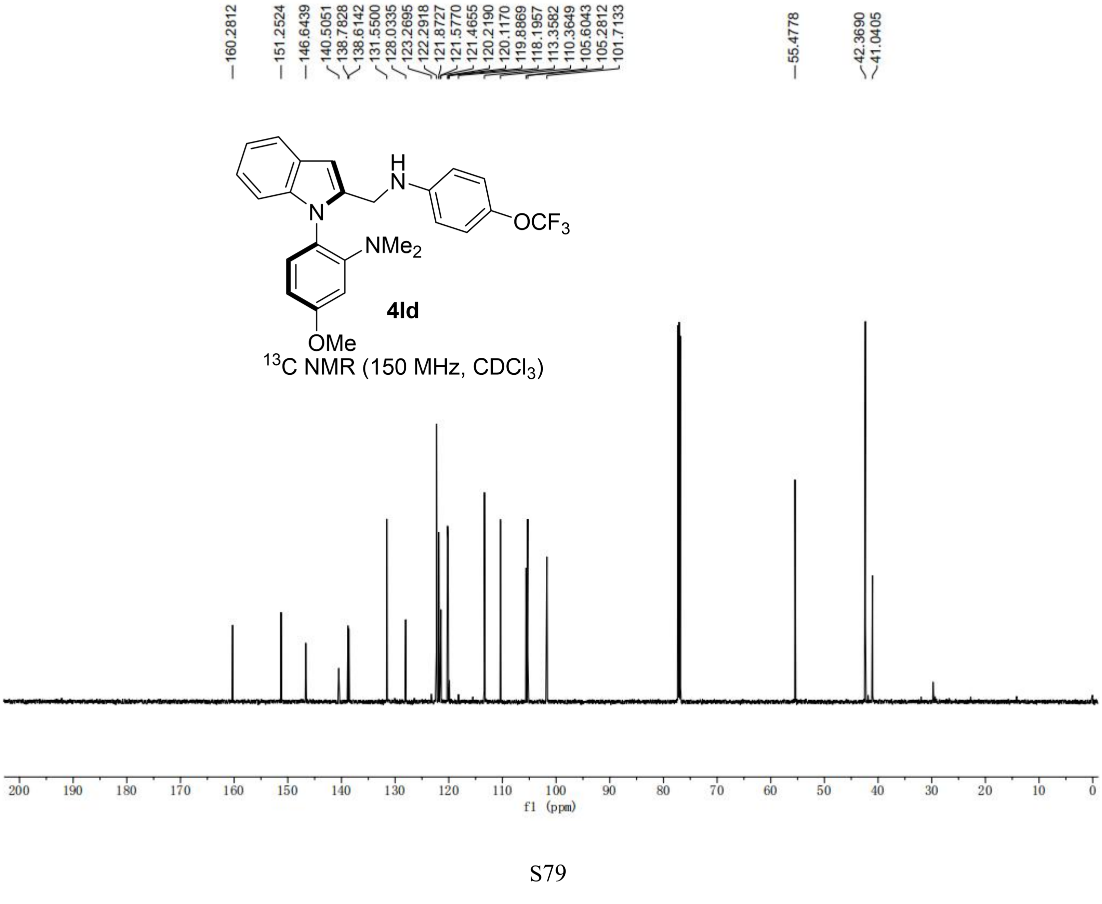
^{13}C NMR (100 MHz, CDCl$_3$)



4kd

^{19}F NMR (376 MHz, CDCl$_3$)


7.5771
7.5654
7.1431
7.1407
7.1312
7.1284
7.1189
7.1156
7.1020
7.0872
7.0721
6.9793
6.9651
6.6301
6.6255
6.5757
6.5712
6.5624
6.5569
6.5189
6.5041
4.2693
4.2405
4.2152
3.8591
2.4142
H
N
OCF3
N
NMe2
OMe
4ld
1H NMR (600 MHz, CDCl3)
0.948
3.817
1.905
1.000
1.851
1.931
2.001
3.000
5.980
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)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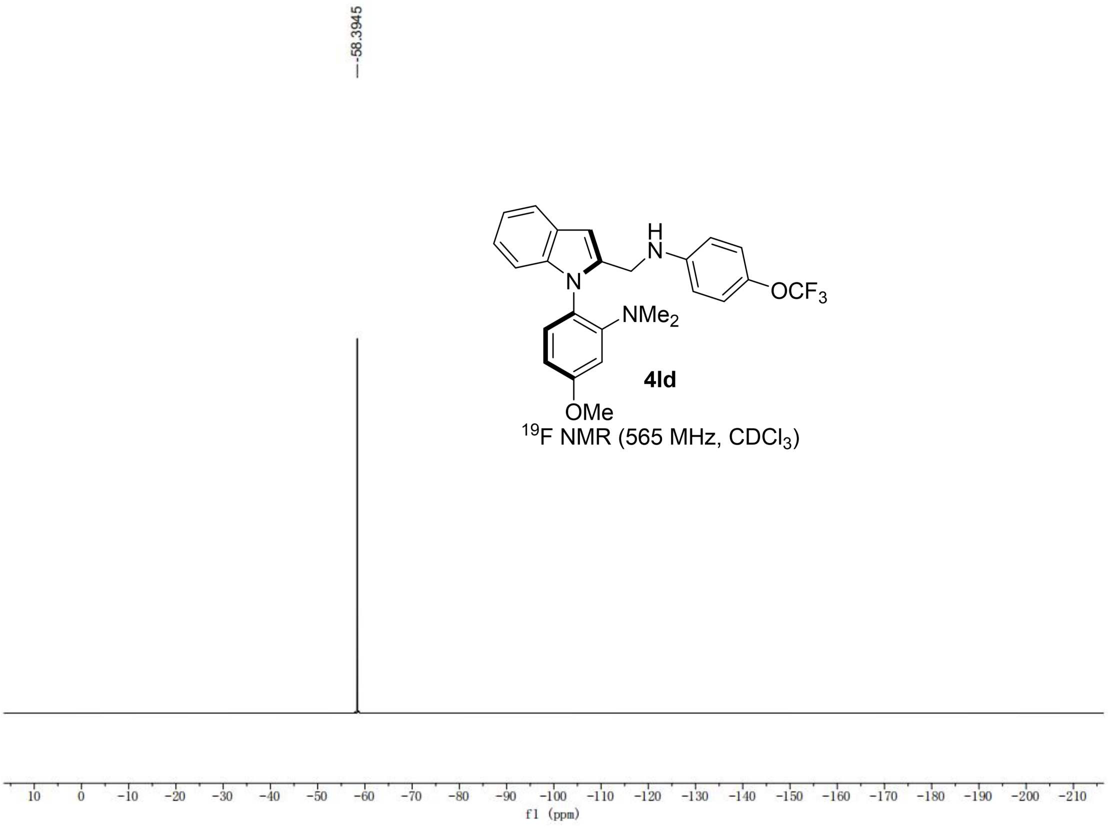
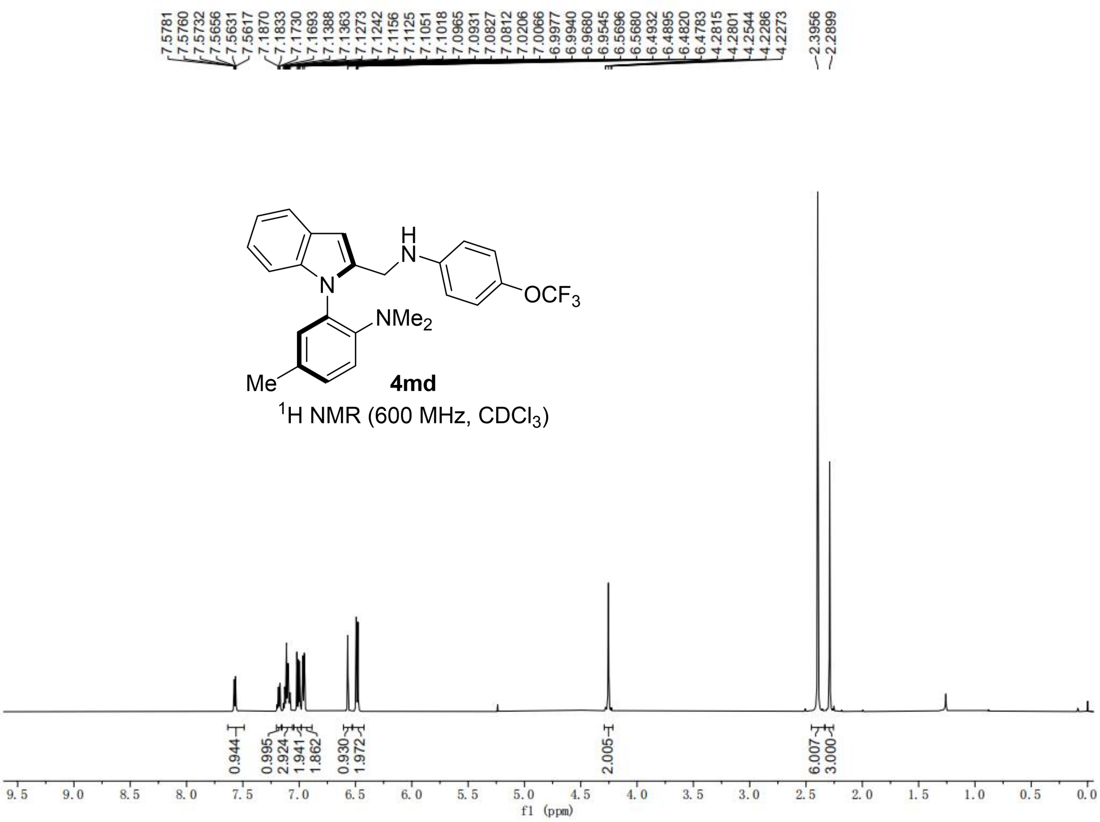
146.6439
140.5051
138.7828
138.6142
131.5500
128.0335
123.2695
122.2918
121.8727
121.5770
121.4655
120.2190
120.1170
119.8869
118.1957
113.3582
110.3649
105.6043
105.2812
101.7133
55.4778
42.3690
41.0405
H
N
OCF3
N
NMe2
OMe
4ld
13C NMR (150 MHz, CDCl3)
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)



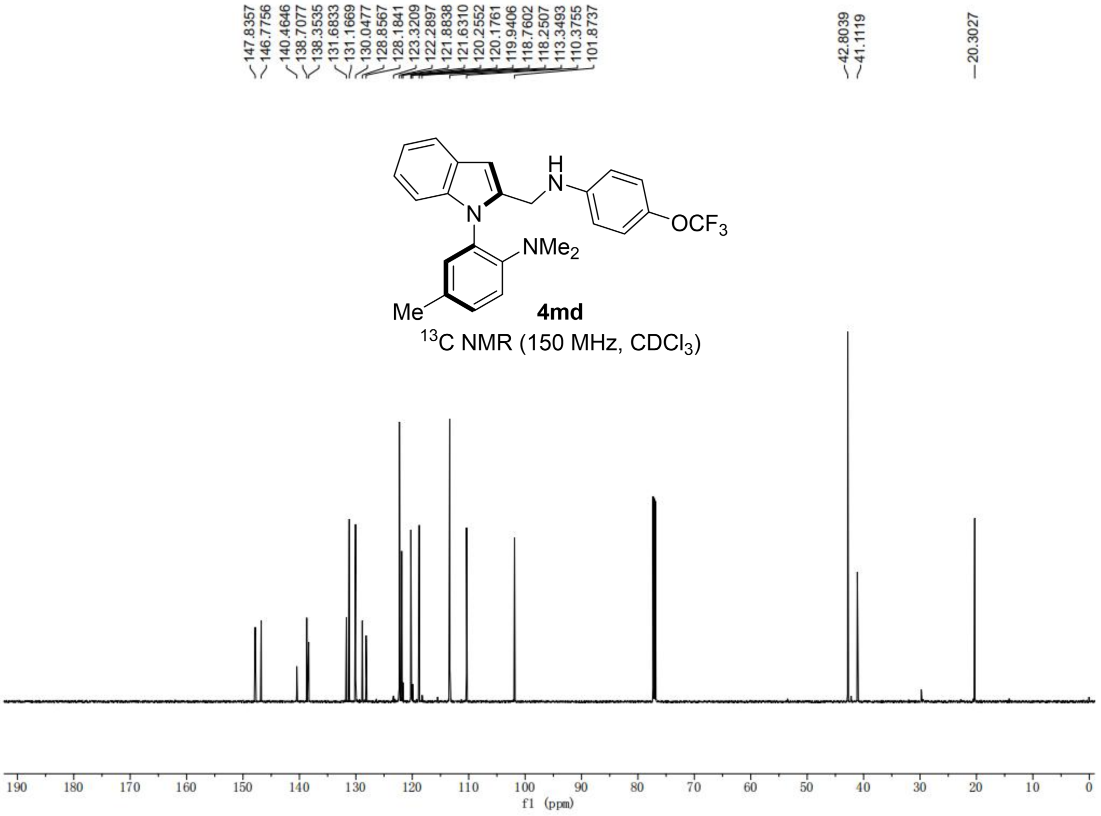
4ld

^{19}F NMR (565 MHz, CDCl$_3$)



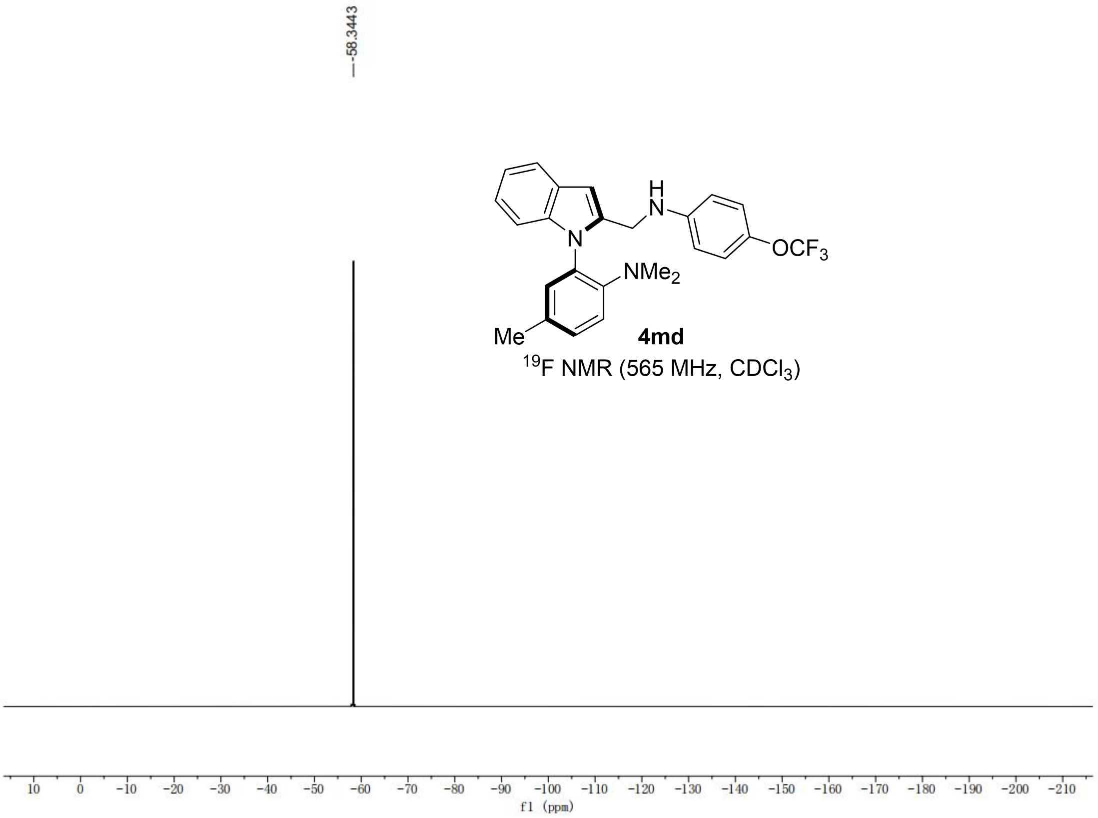
4md

^{1}H NMR (600 MHz, CDCl$_3$)



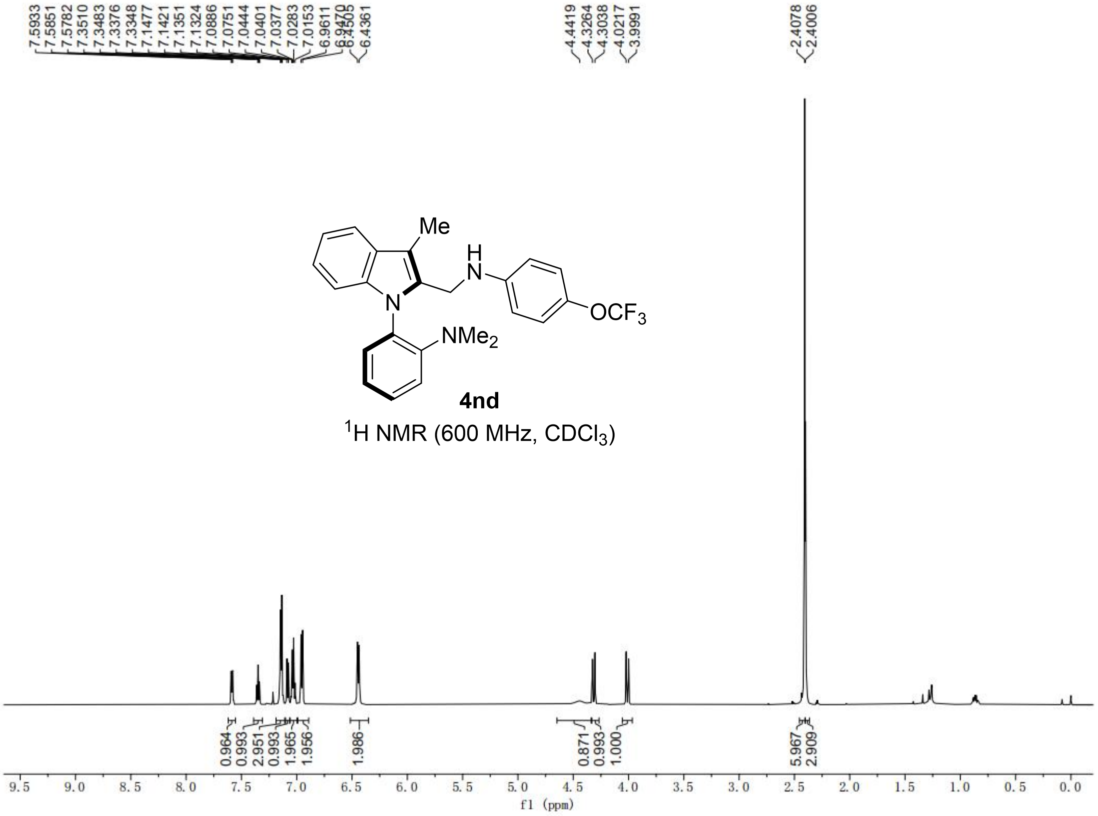
4md

^{13}C NMR (150 MHz, CDCl$_3$)



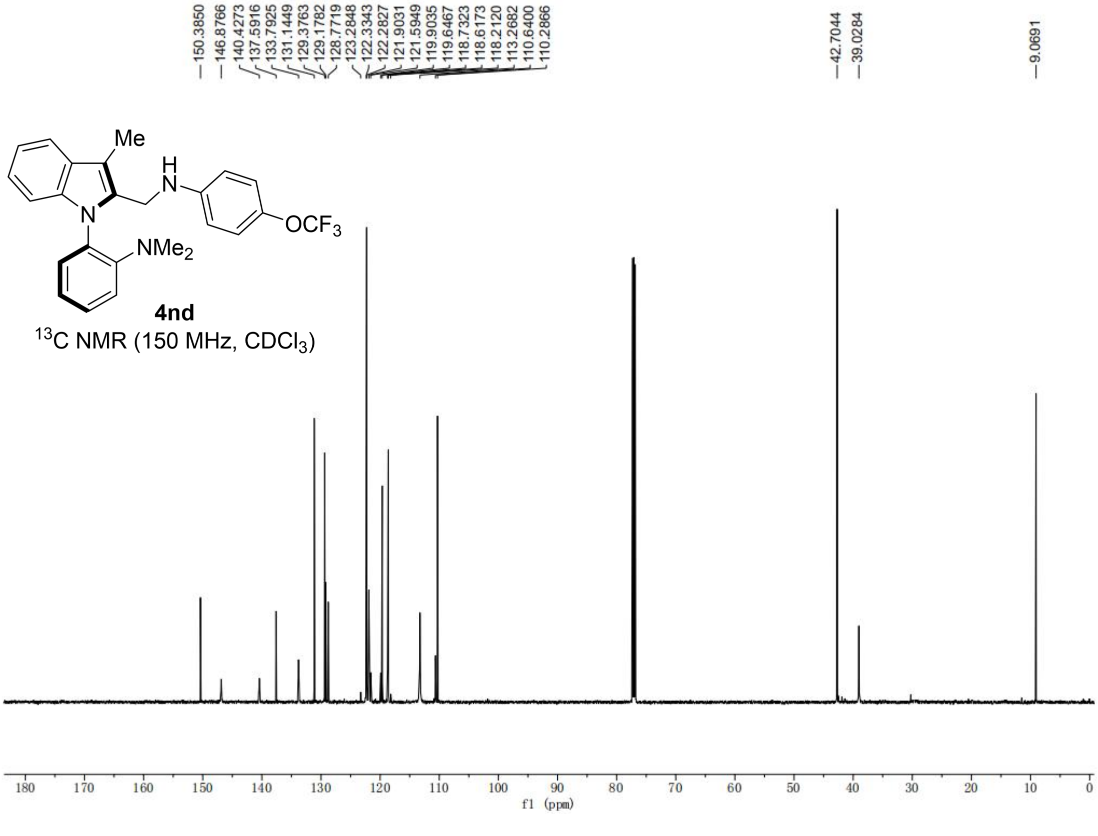
4md

^{19}F NMR (565 MHz, $CDCl_3$)



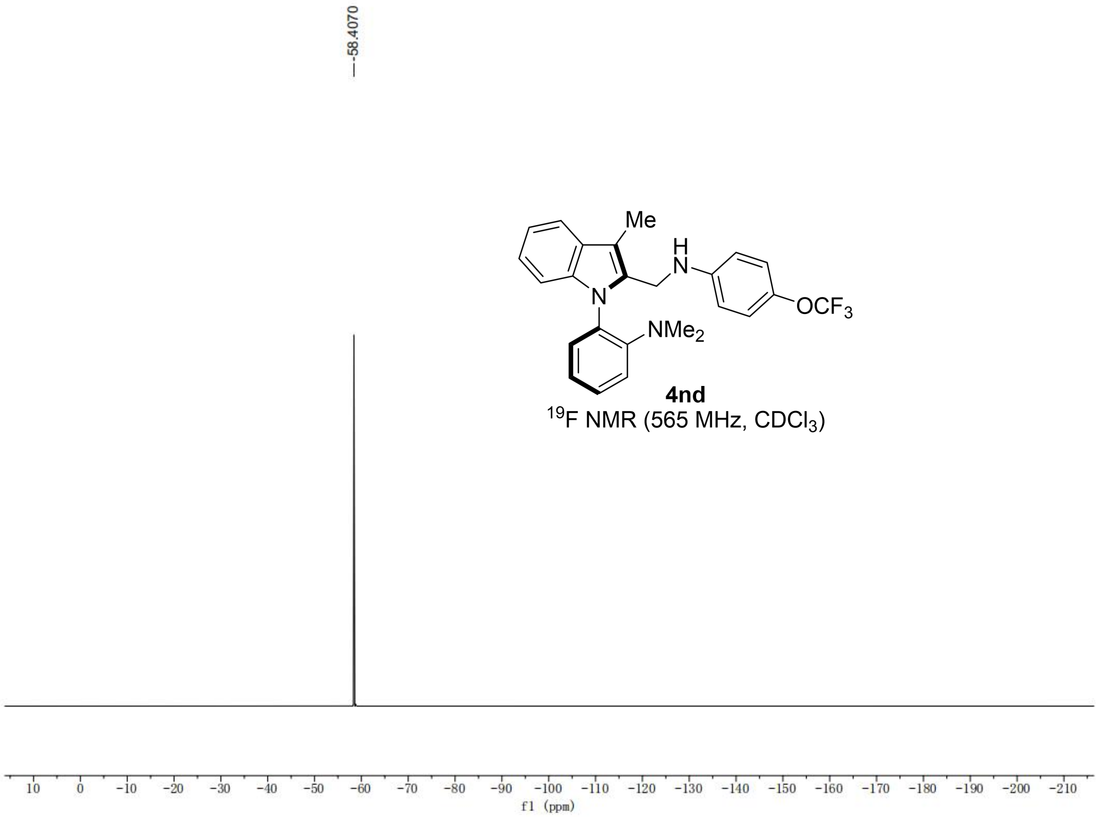
4nd

^{1}H NMR (600 MHz, $CDCl_3$)



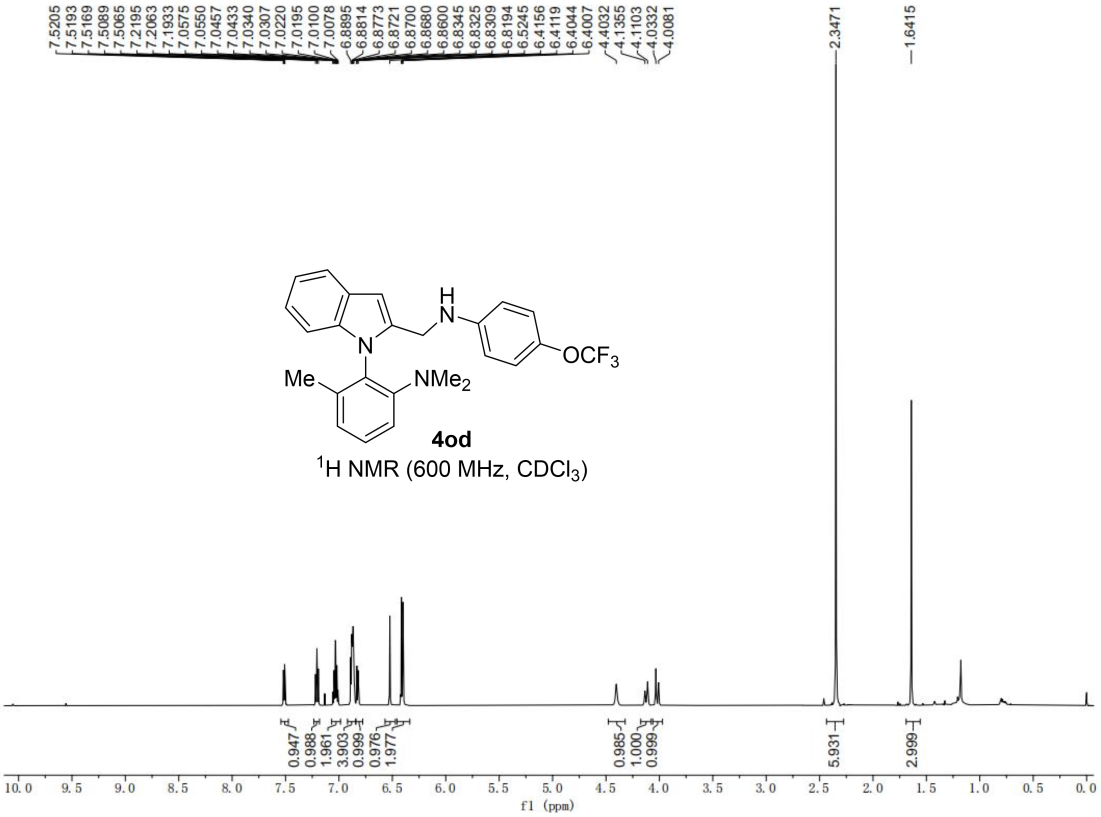
4nd

^{13}C NMR (150 MHz, $CDCl_3$)



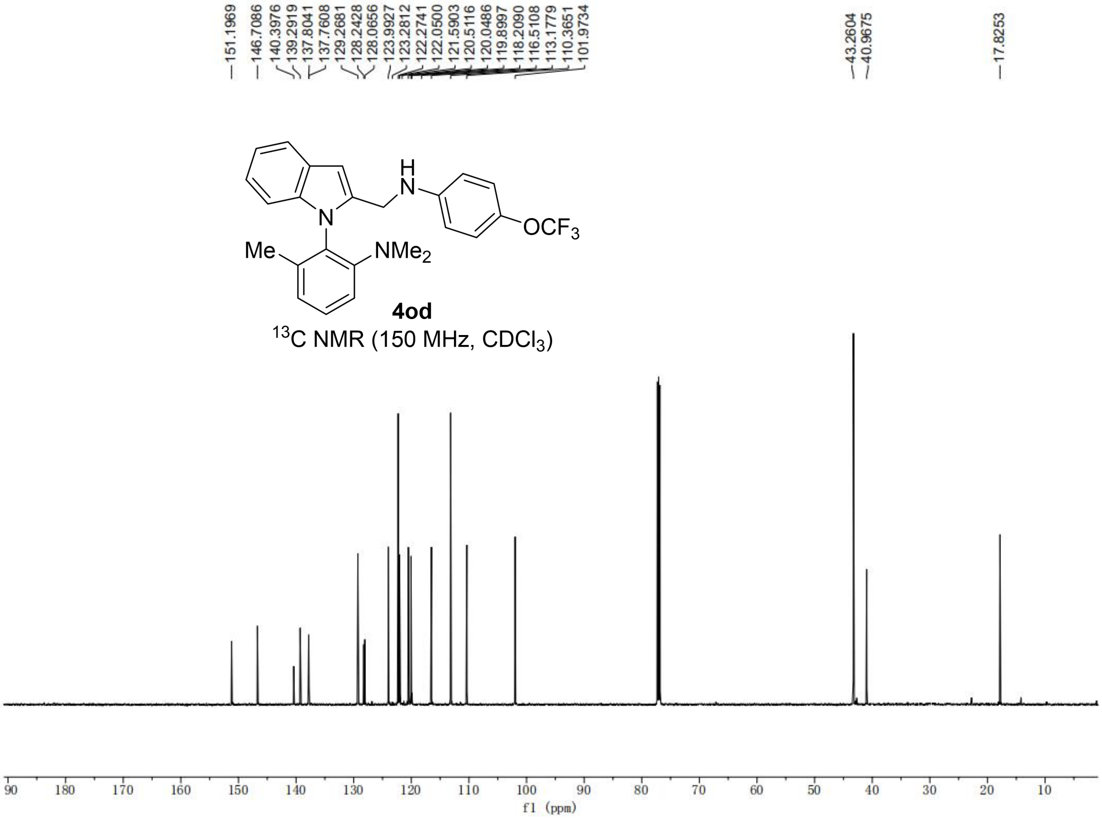
4nd

^{19}F NMR (565 MHz, $CDCl_3$)



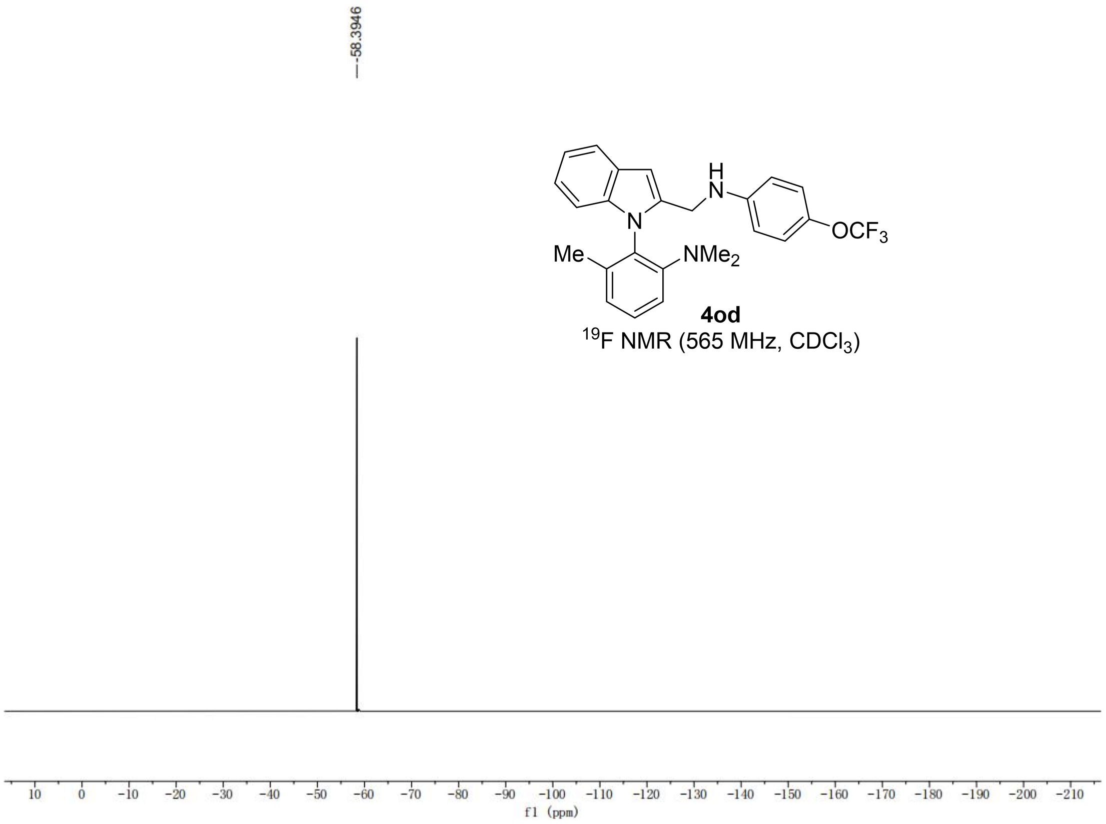
4od

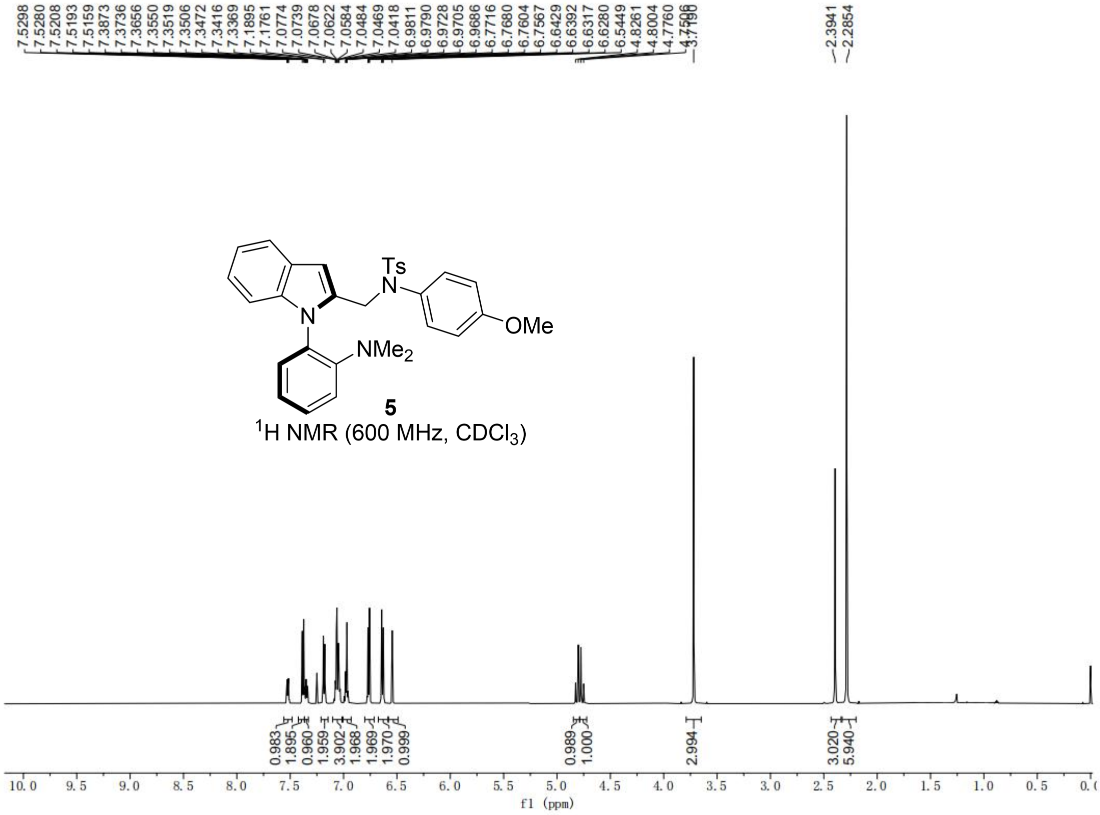
^{1}H NMR (600 MHz, CDCl$_3$)



4od

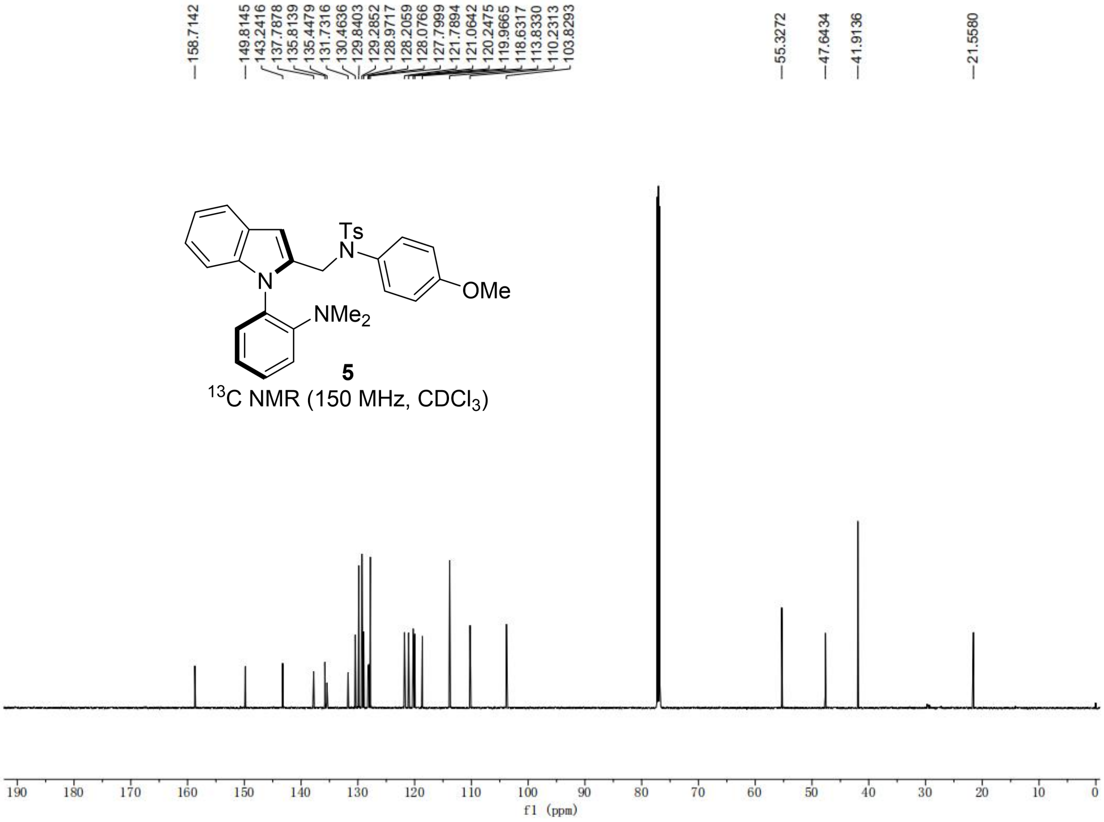
^{13}C NMR (150 MHz, CDCl$_3$)


—58.3946
NMe2
Me
OCF3
N
H
4od
19F NMR (565 MHz, CDCl3)
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)



5

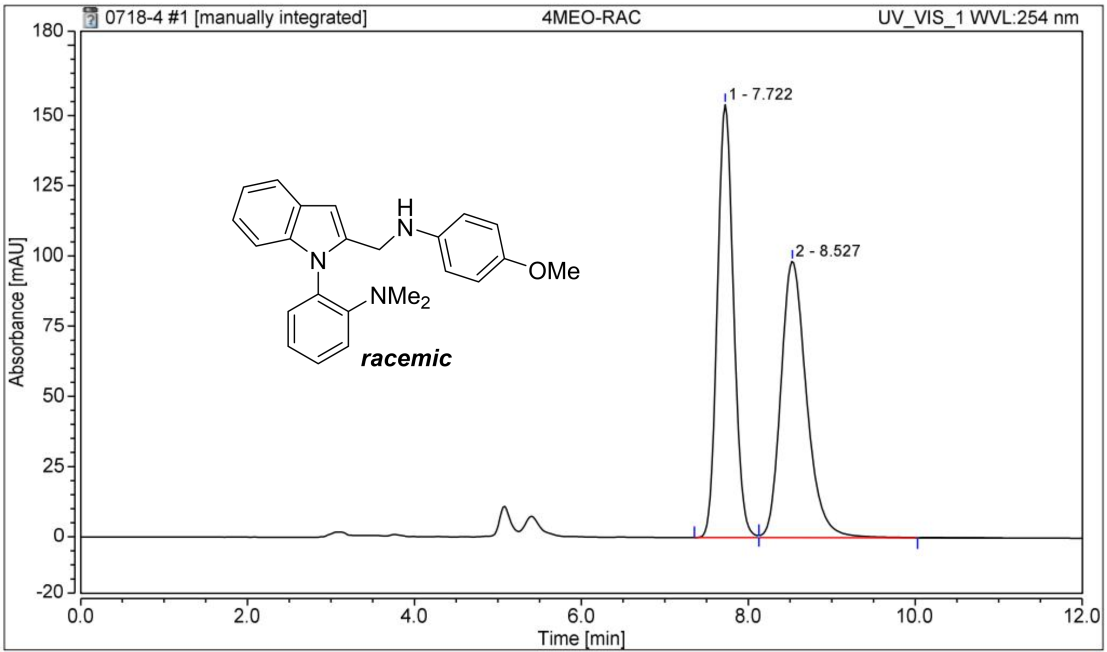
^{1}H NMR (600 MHz, CDCl$_3$)



5

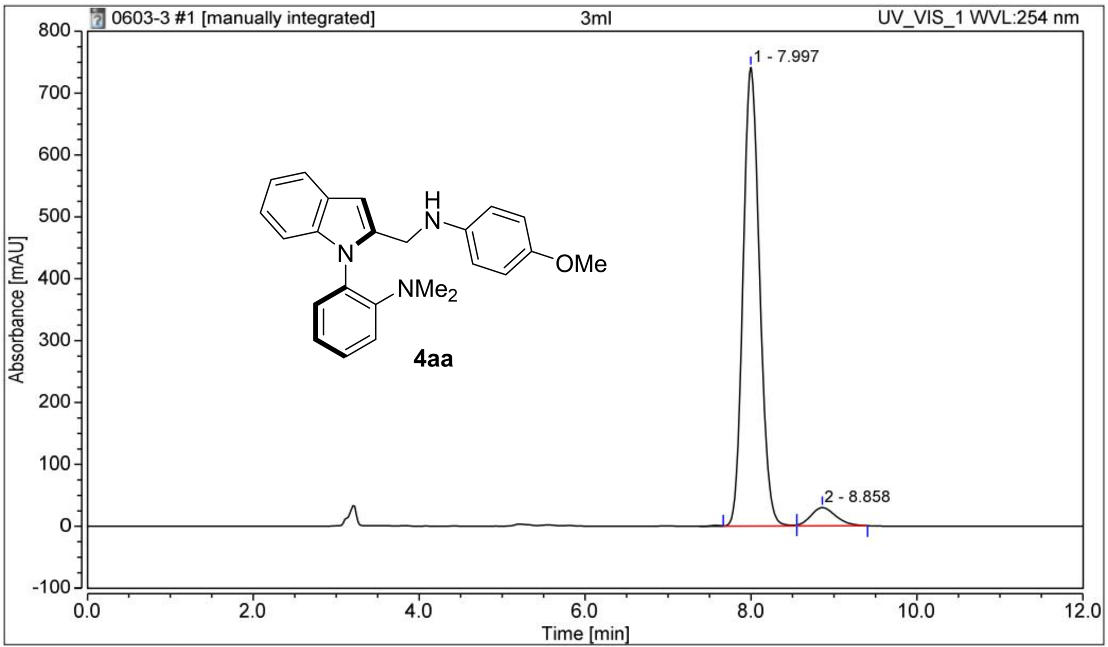
^{13}C NMR (150 MHz, CDCl$_3$)

HPLC Traces



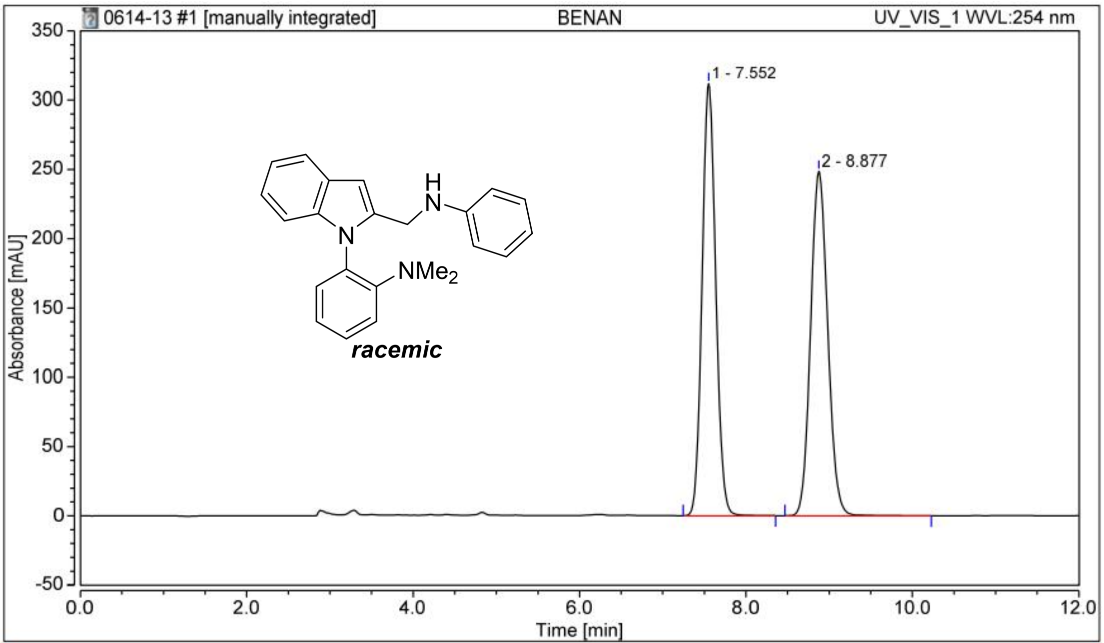
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.722	34.716	154.160	49.74	1.11
2		8.527	35.077	98.409	50.26	1.26
Total:					**100.00**	



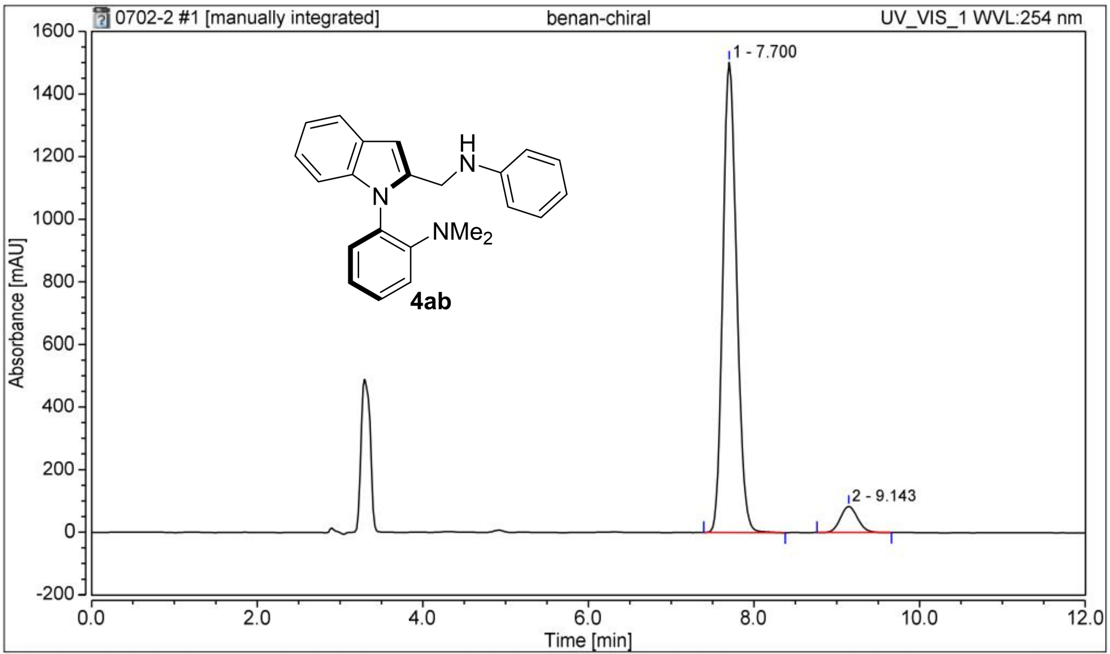
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.997	170.587	741.212	94.27	1.13
2		8.858	10.362	29.546	5.73	n.a.
Total:					**100.00**	



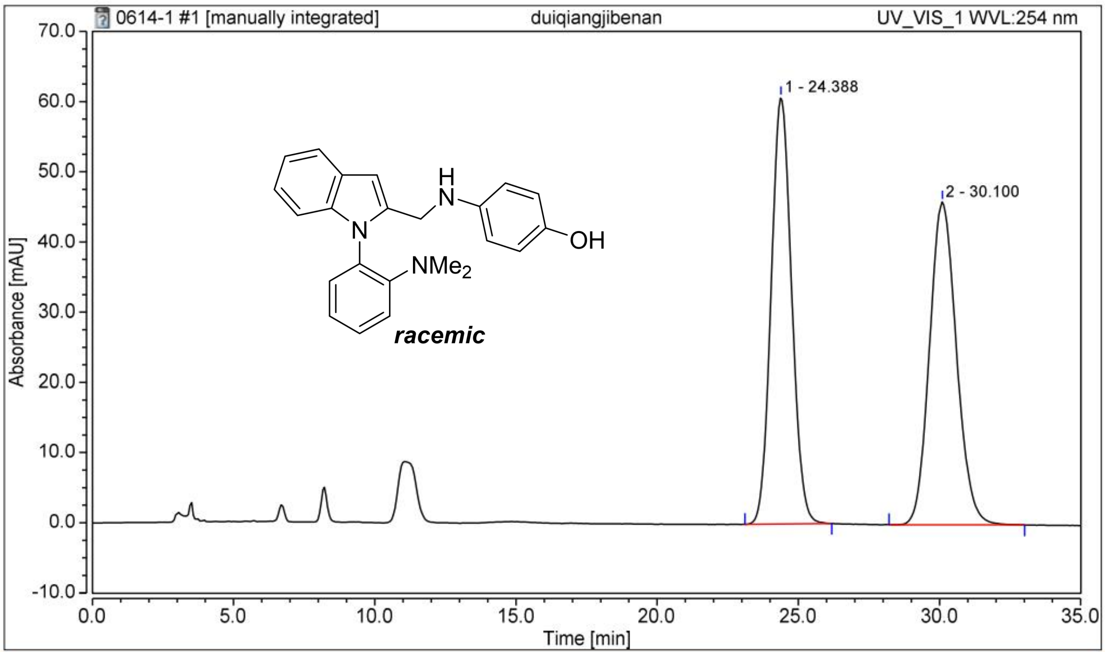
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.552	59.121	311.906	49.98	1.09
2		8.877	59.176	248.611	50.02	1.09
Total:					**100.00**	



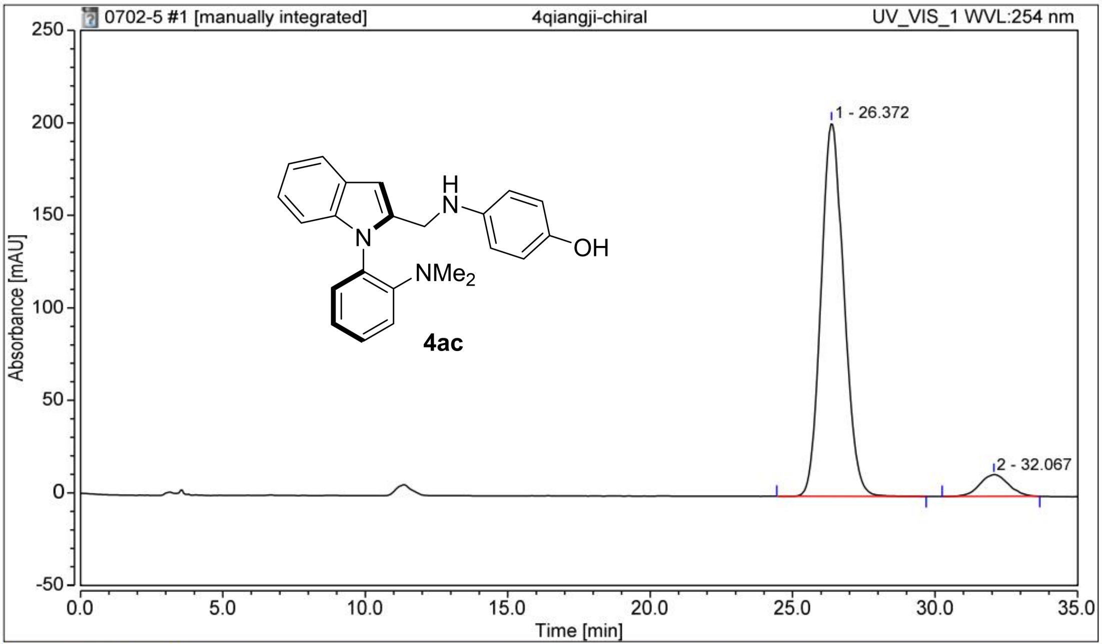
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.700	289.953	1502.643	93.50	1.16
2		9.143	20.158	83.221	6.50	1.09
Total:					**100.00**	



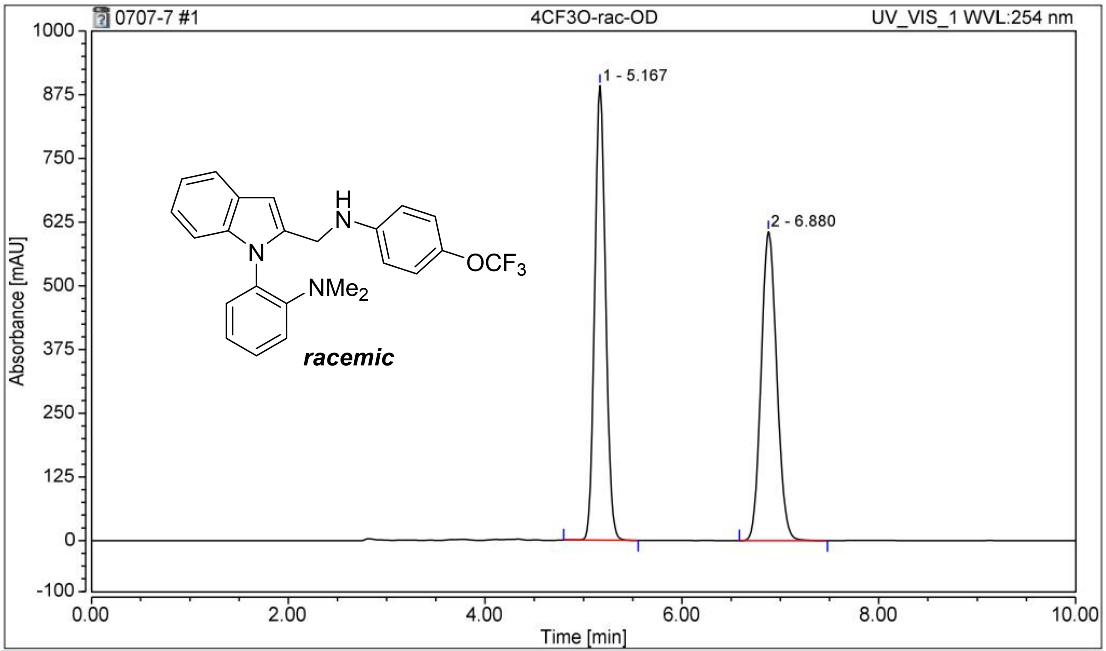
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		24.388	51.061	60.763	50.10	1.08
2		30.100	50.866	46.014	49.90	1.12
Total:					**100.00**	



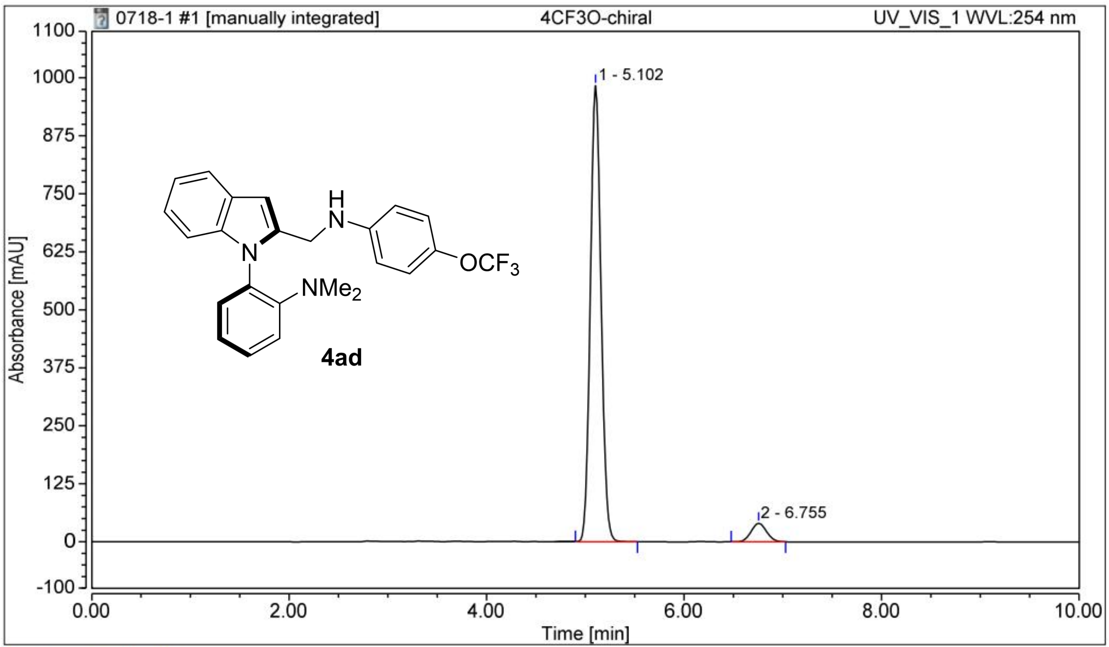
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		26.372	188.031	201.805	93.14	1.13
2		32.067	13.844	11.780	6.86	1.04
Total:					**100.00**	



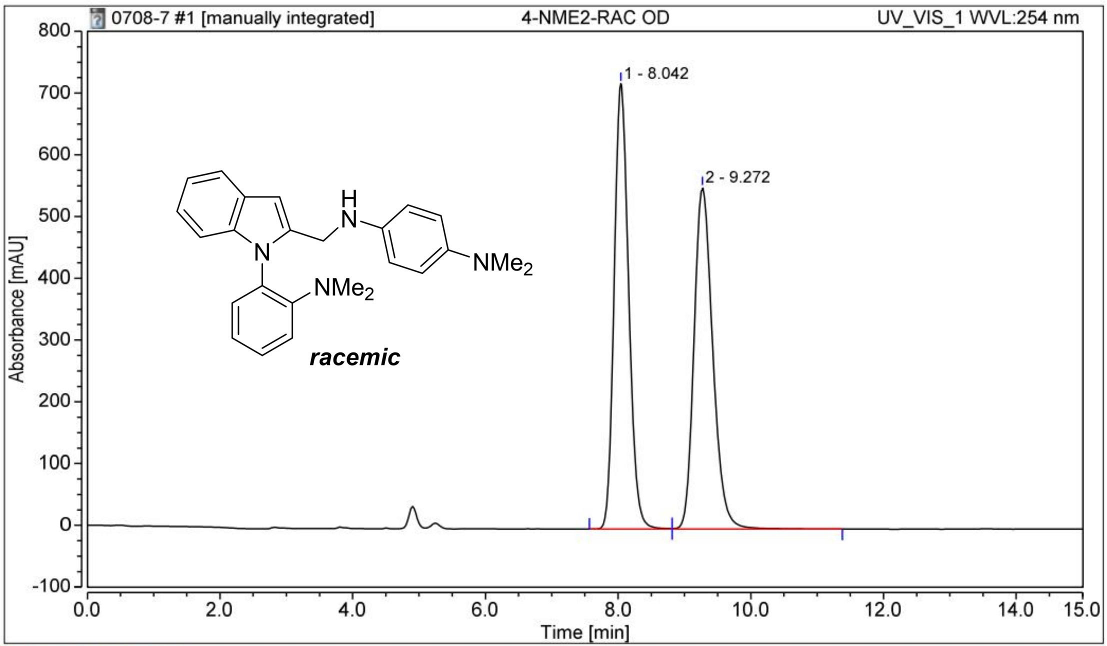
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.167	114.448	892.278	50.56	1.09
2		6.880	111.905	606.358	49.44	1.11
Total:					**100.00**	

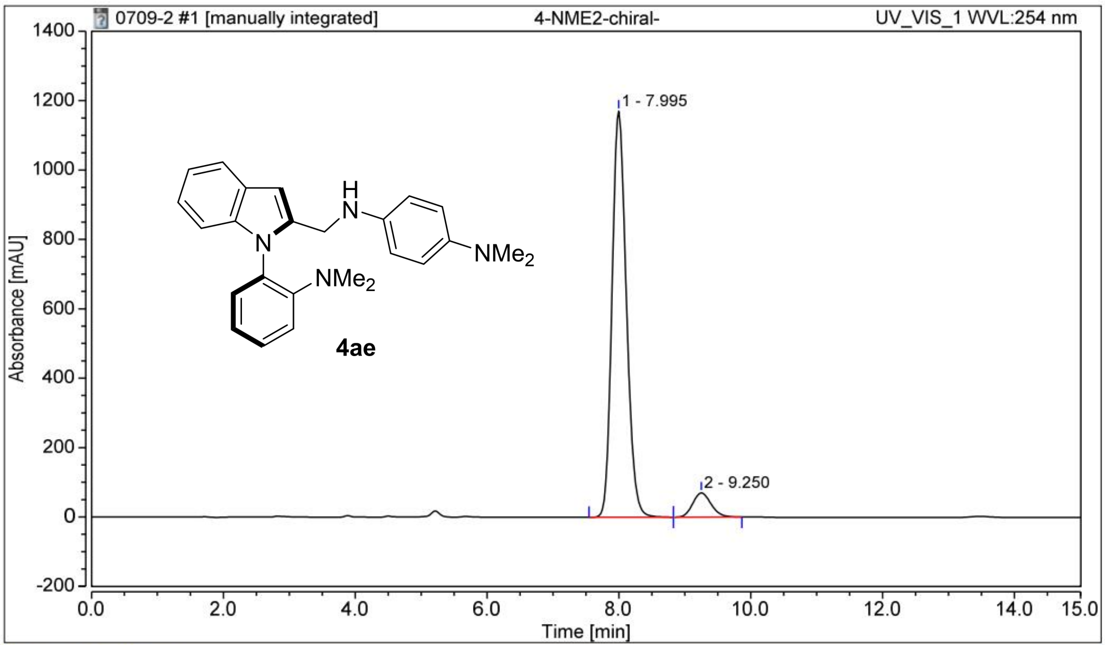


Integration Results

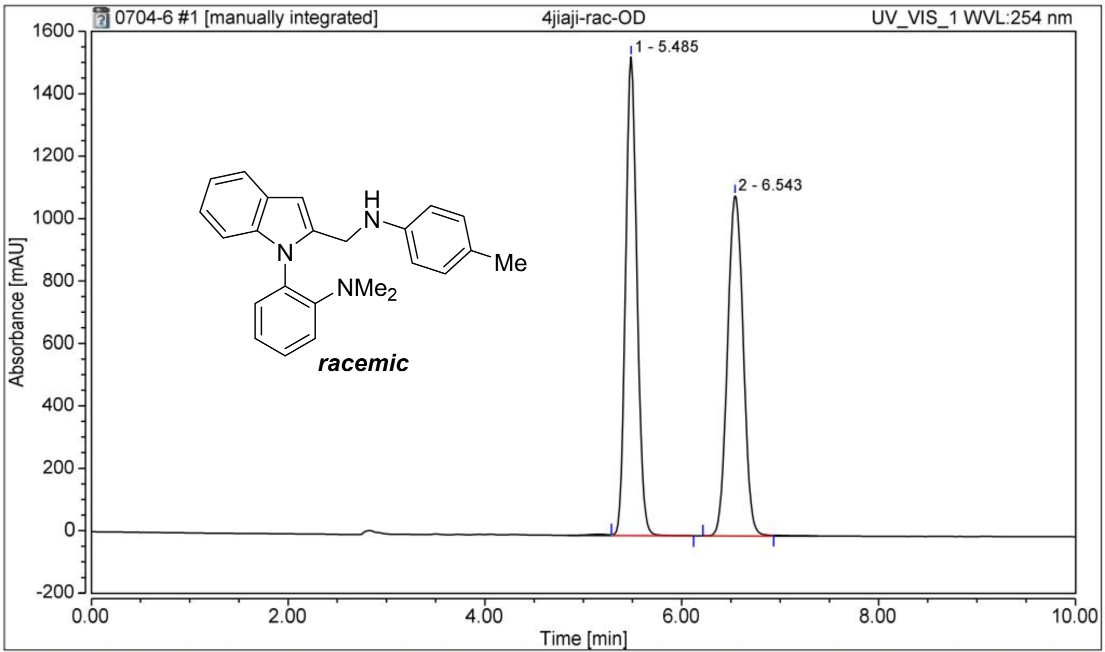
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.102	122.446	983.171	94.37	1.10
2		6.755	7.301	39.909	5.63	1.06
Total:					**100.00**	



Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.042	182.300	721.502	50.20	1.17
2		9.272	180.836	552.835	49.80	1.22
Total:					**100.00**	

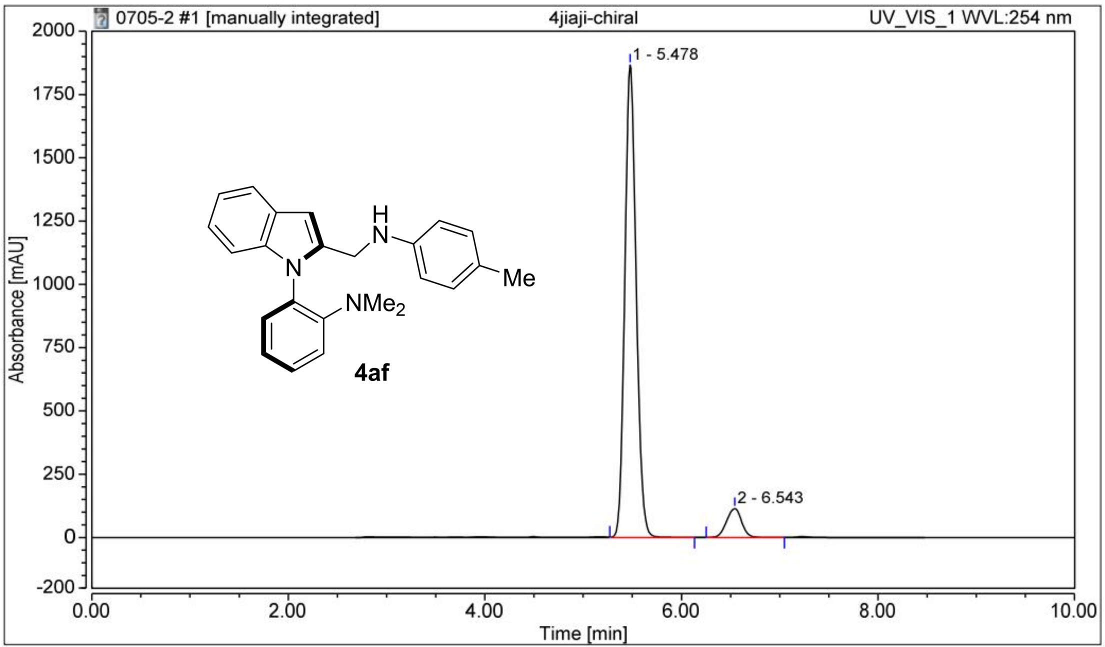


Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.995	294.973	1170.669	92.90	1.18
2		9.250	22.539	69.912	7.10	1.15
Total:					**100.00**	



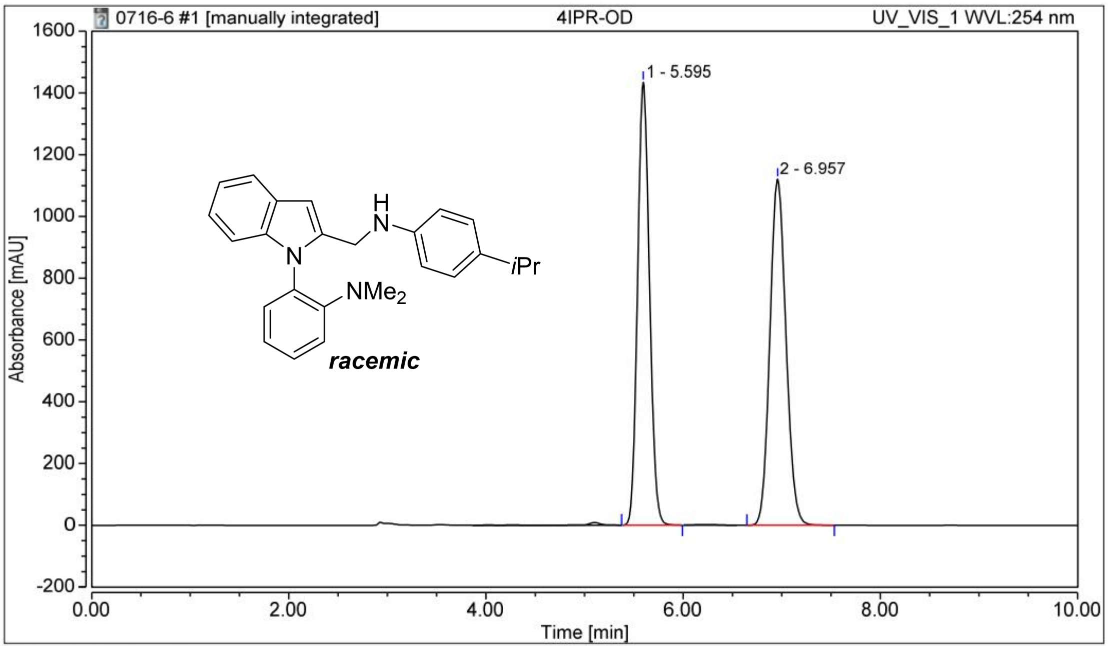
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.485	204.949	1533.391	50.41	1.11
2		6.543	201.652	1089.832	49.59	1.04
Total:					**100.00**	



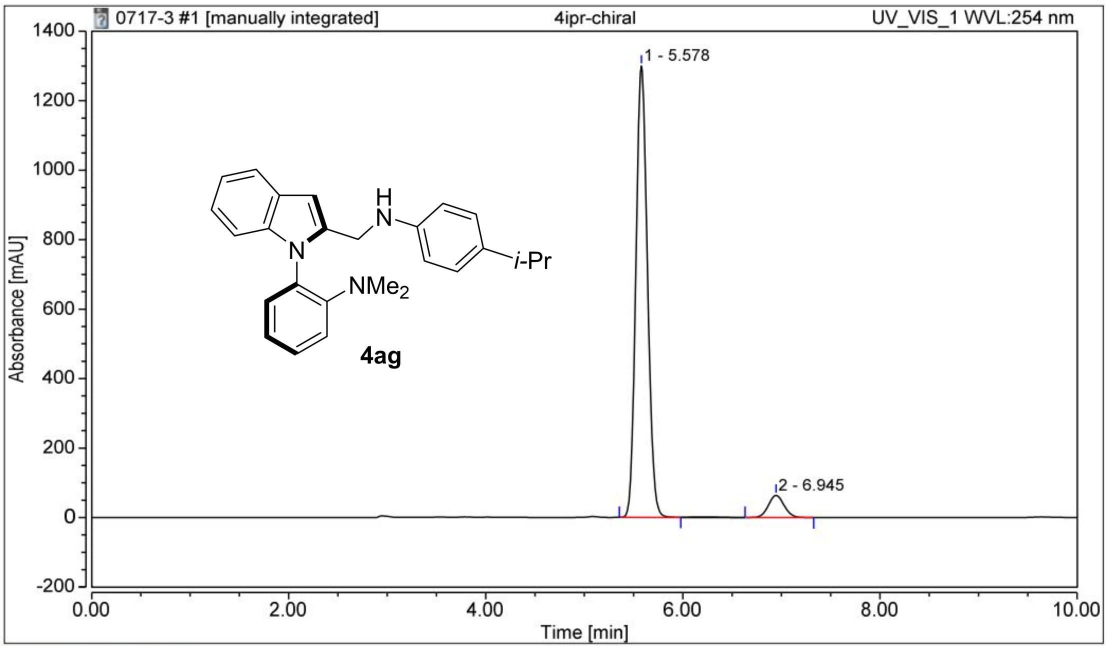
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.478	250.429	1866.875	92.37	1.13
2		6.543	20.672	114.471	7.63	0.96
Total:					**100.00**	



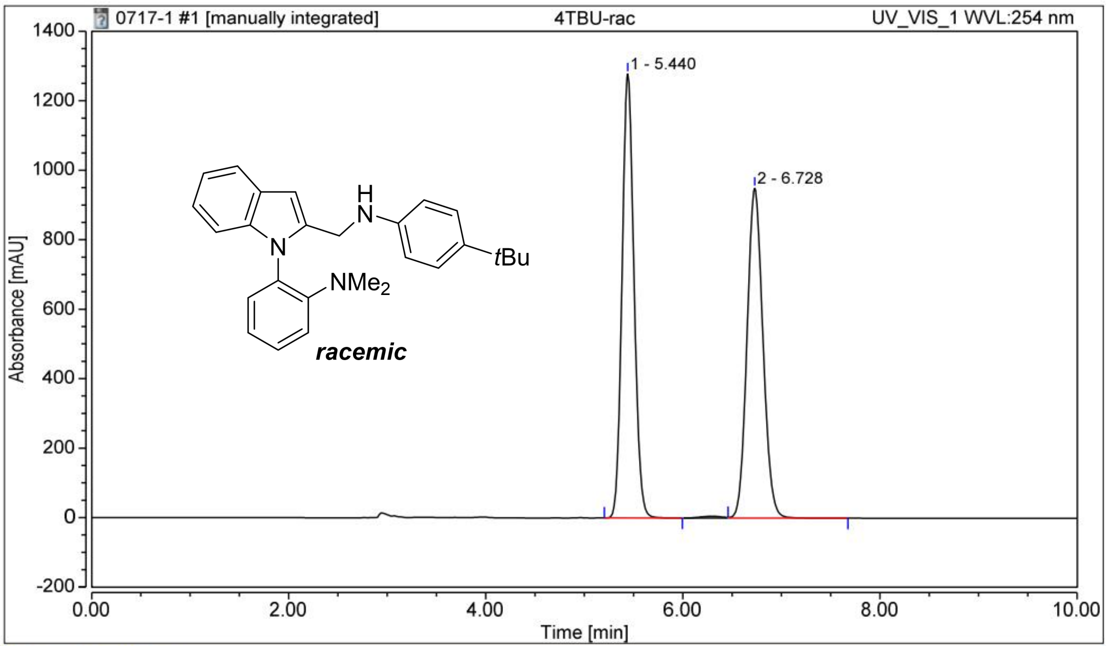
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.595	199.732	1434.482	48.51	1.10
2		6.957	212.037	1120.975	51.49	1.10
Total:					**100.00**	

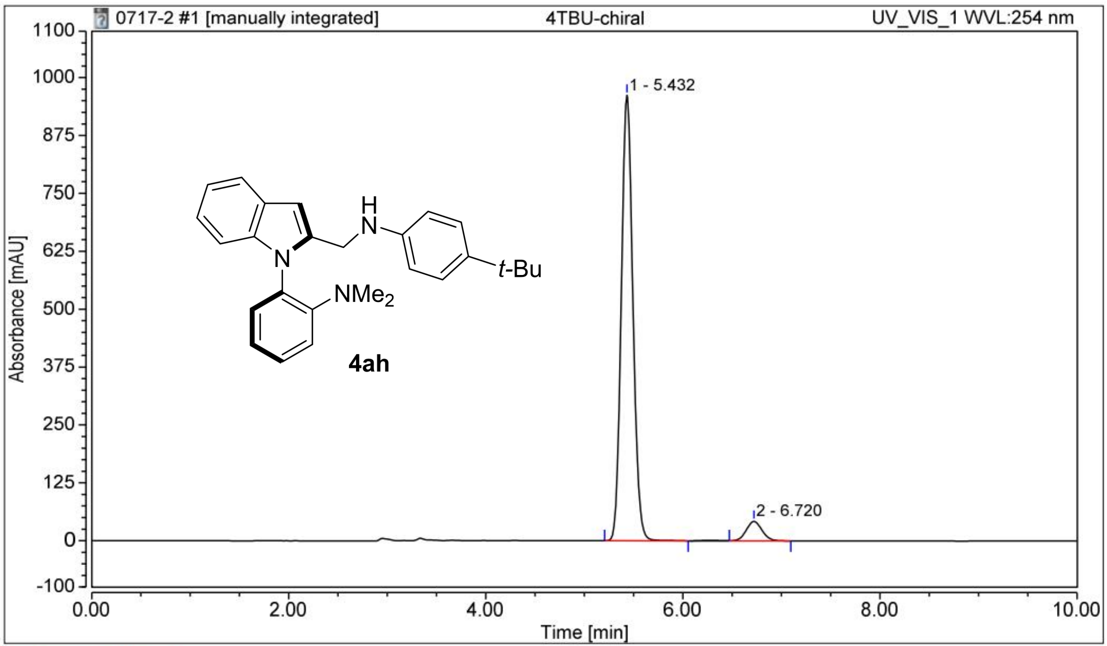


Integration Results

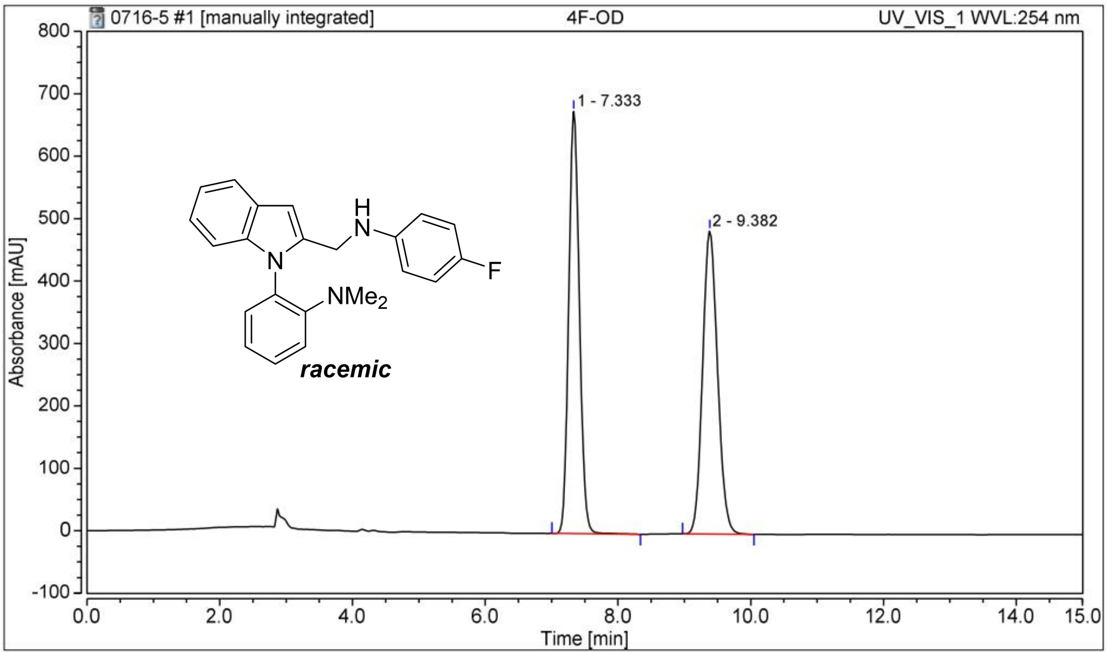
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.578	175.795	1299.502	93.68	1.11
2		6.945	11.870	64.009	6.32	1.07
Total:					**100.00**	



Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.440	179.214	1278.330	50.18	1.11
2		6.728	177.960	949.679	49.82	1.09
Total:					**100.00**	

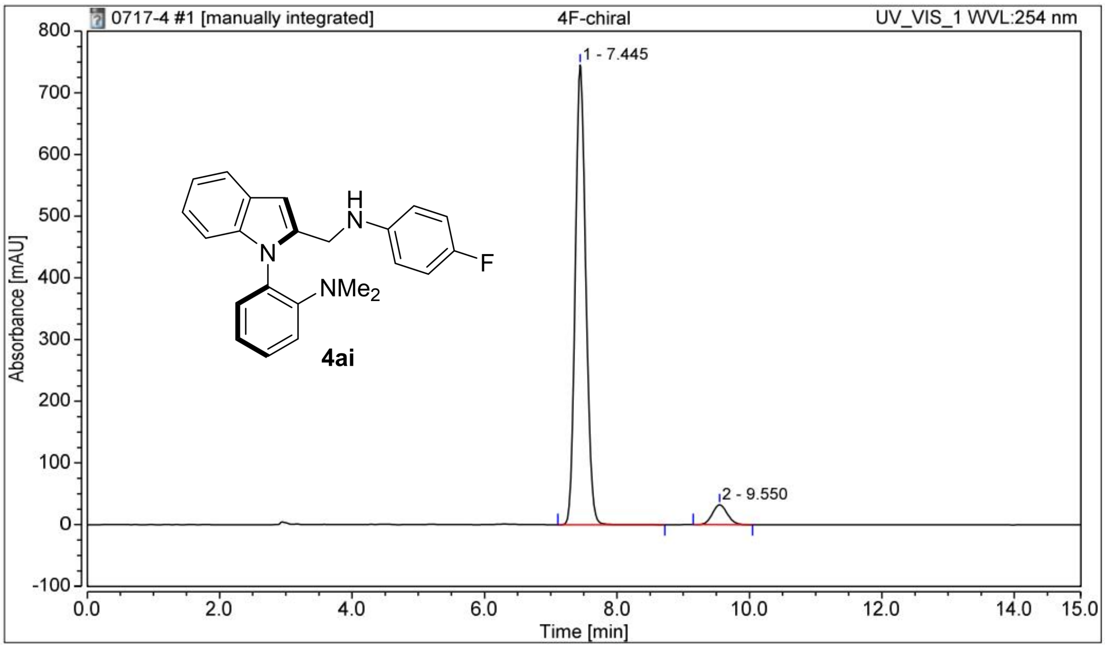


Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.432	131.089	961.738	94.38	1.10
2		6.720	7.800	42.065	5.62	1.07
Total:					**100.00**	



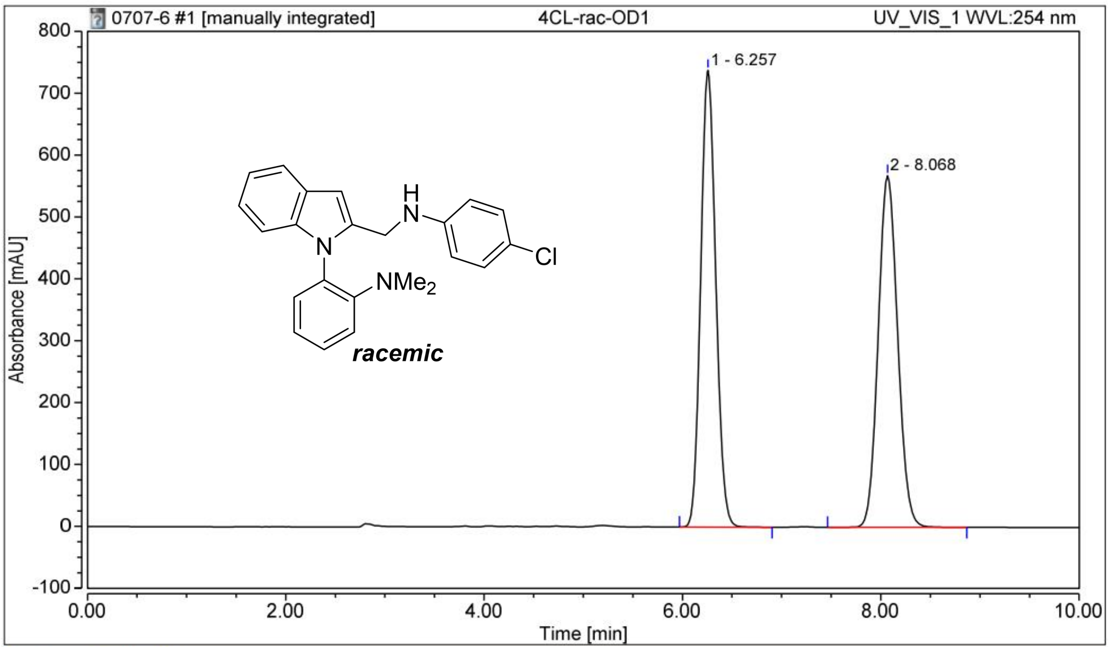
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.333	125.567	676.090	49.74	1.11
2		9.382	126.867	485.732	50.26	1.11
Total:					**100.00**	



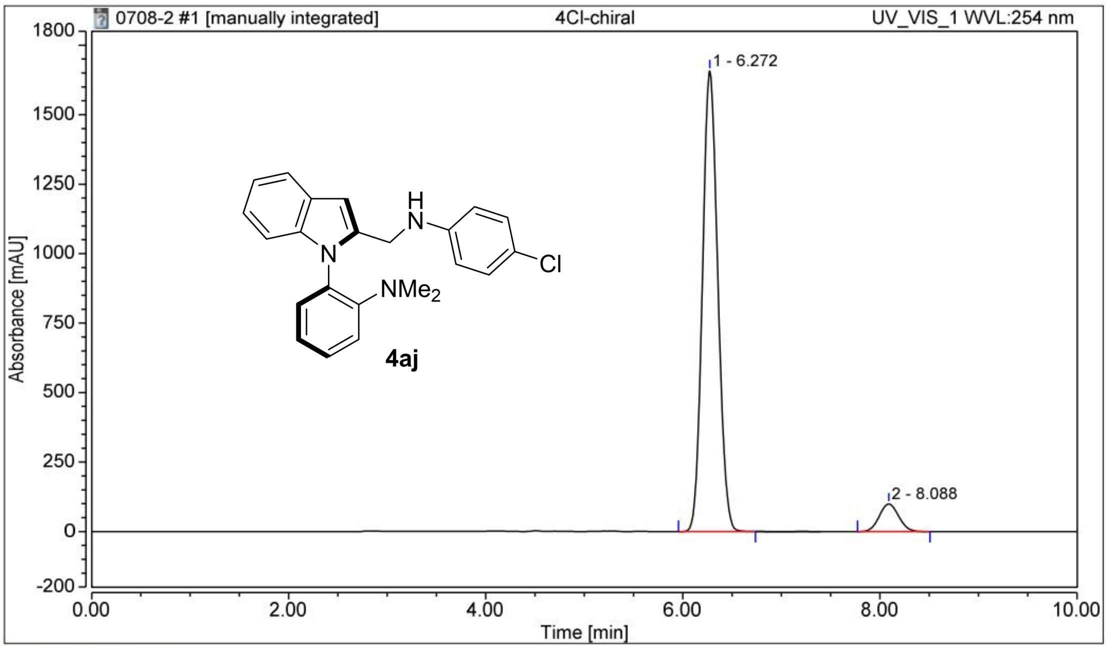
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.445	137.323	745.429	94.23	1.12
2		9.550	8.407	32.144	5.77	1.08
Total:					**100.00**	



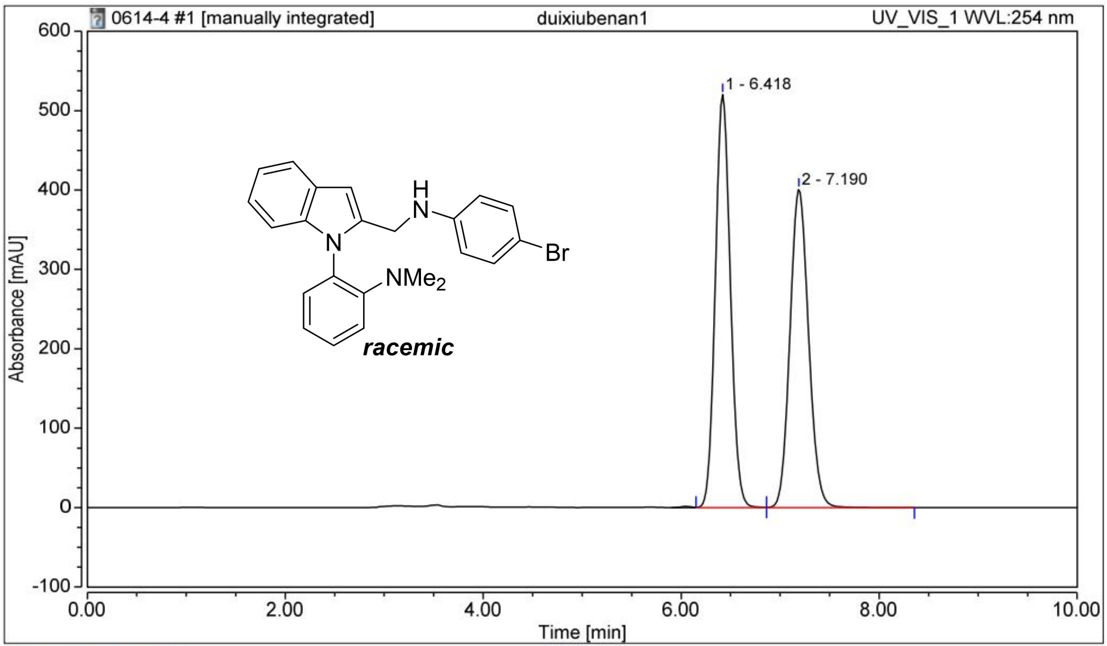
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.257	129.941	738.385	50.16	1.11
2		8.068	129.119	568.365	49.84	1.09
Total:					**100.00**	



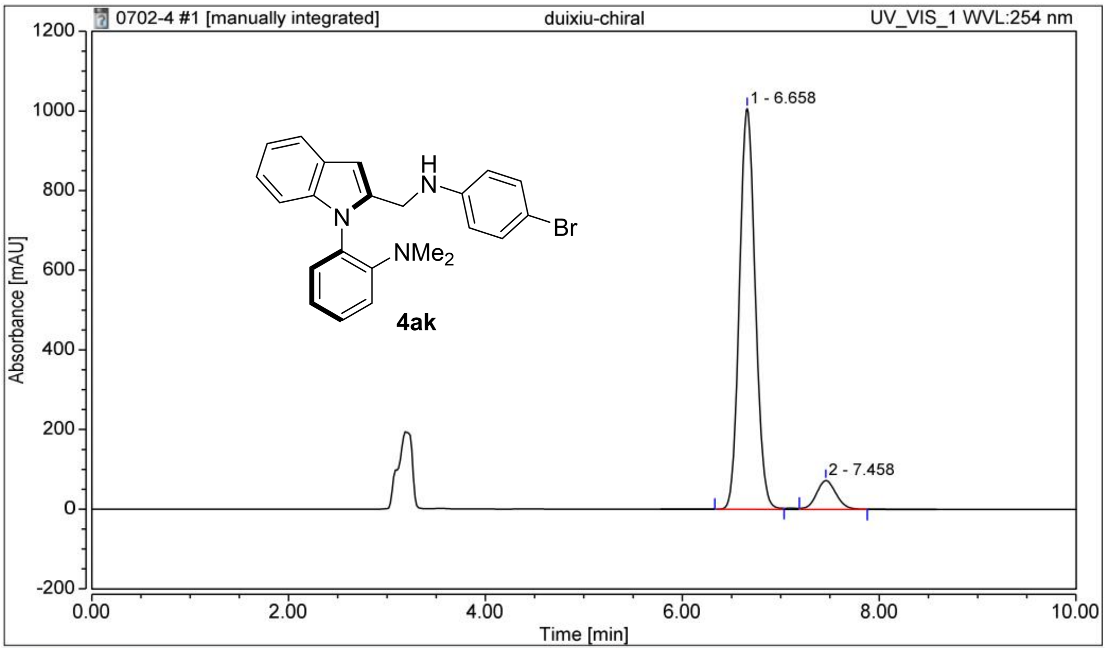
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.272	299.421	1658.053	92.96	1.10
2		8.088	22.690	99.285	7.04	1.07
Total:					**100.00**	



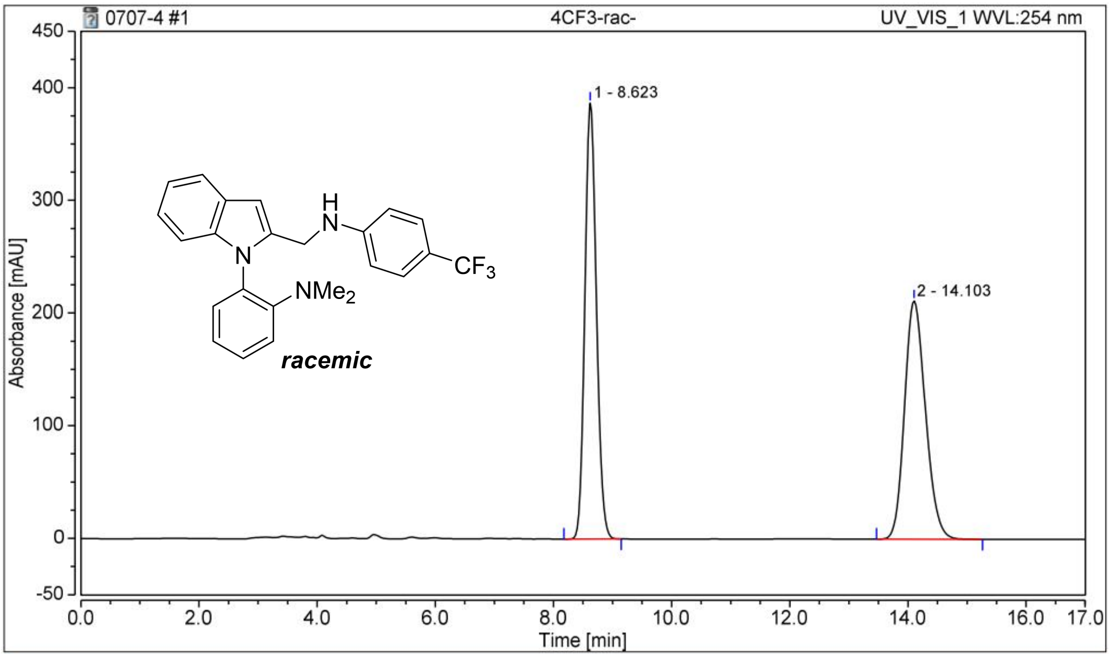
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.418	91.699	520.186	51.19	1.08
2		7.190	87.439	401.188	48.81	1.10
Total:					**100.00**	



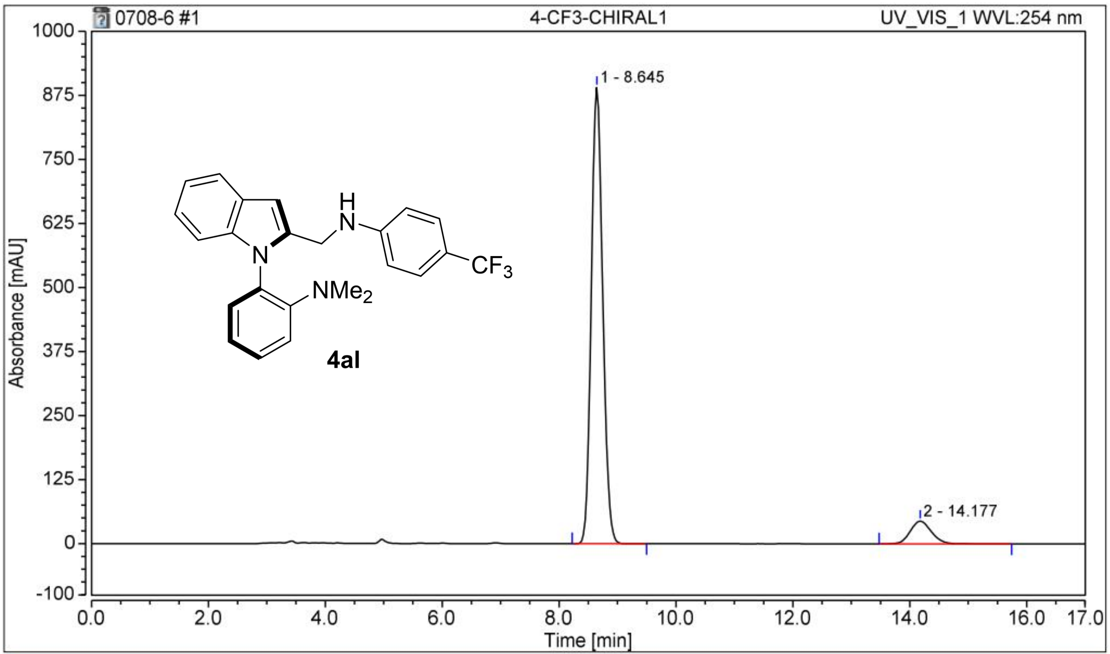
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.658	187.052	1006.439	91.80	1.09
2		7.458	16.701	72.206	8.20	1.08
Total:					**100.00**	



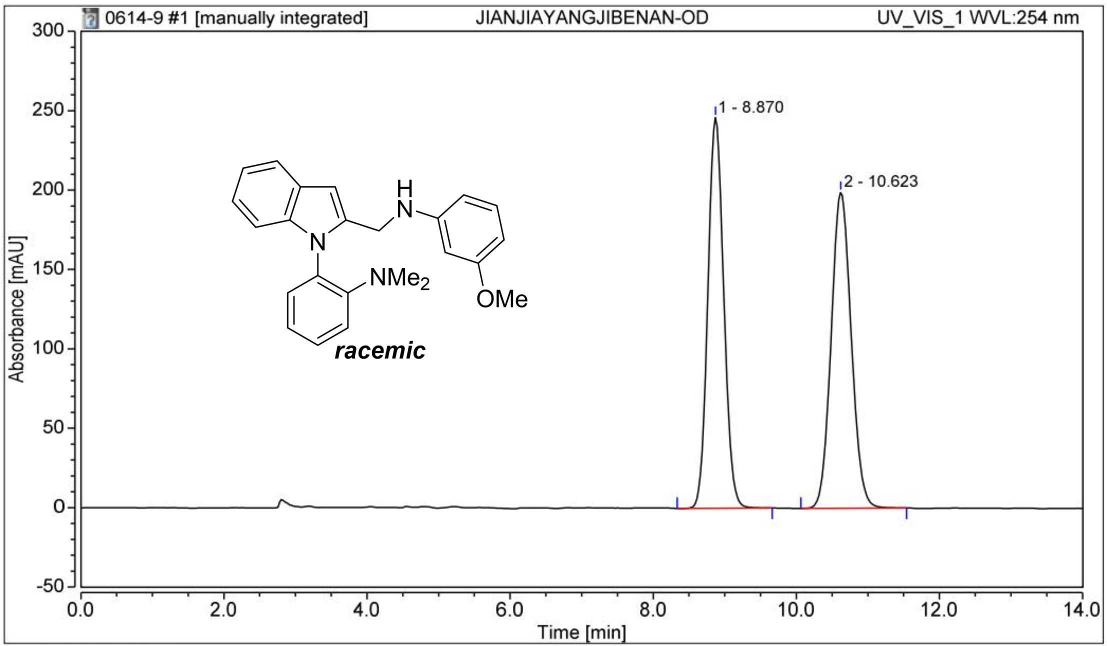
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.623	86.578	386.704	49.88	1.13
2		14.103	87.008	211.518	50.12	1.18
Total:					**100.00**	



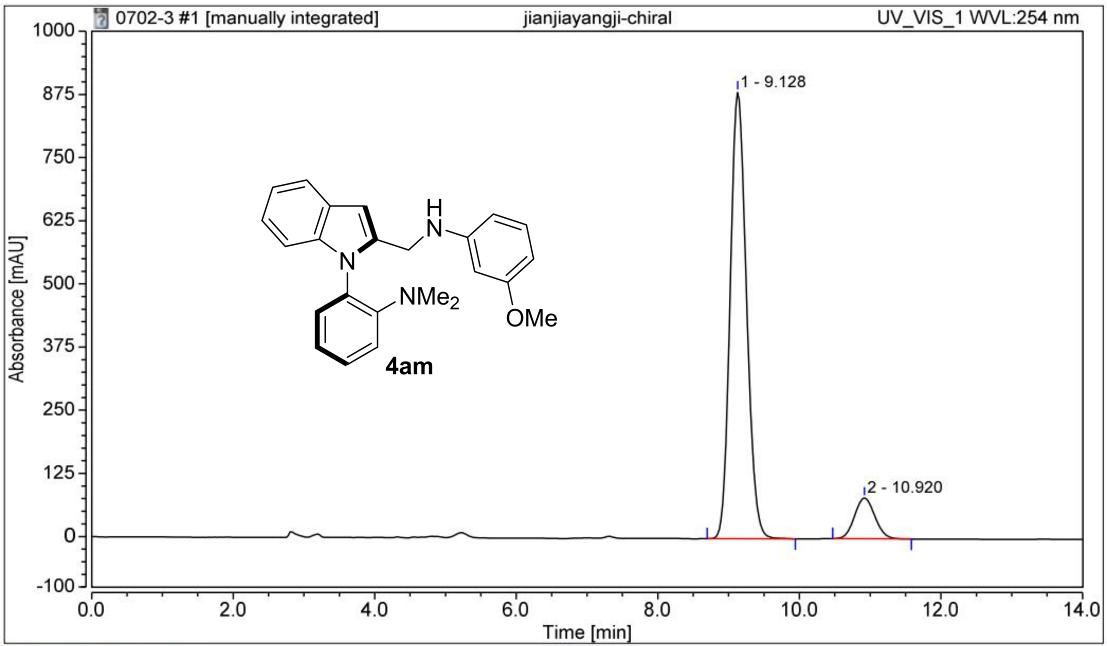
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.645	200.805	890.584	91.56	1.12
2		14.177	18.504	44.227	8.44	1.13
Total:					**100.00**	



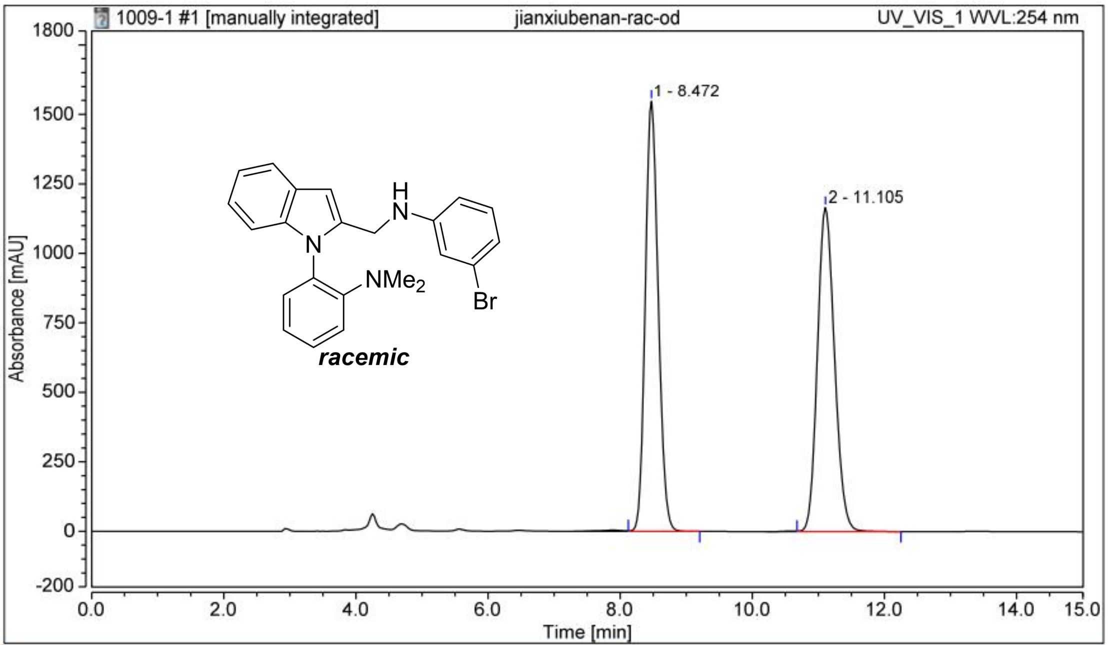
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.870	63.285	246.062	49.48	1.09
2		10.623	64.614	198.919	50.52	1.08
Total:					**100.00**	



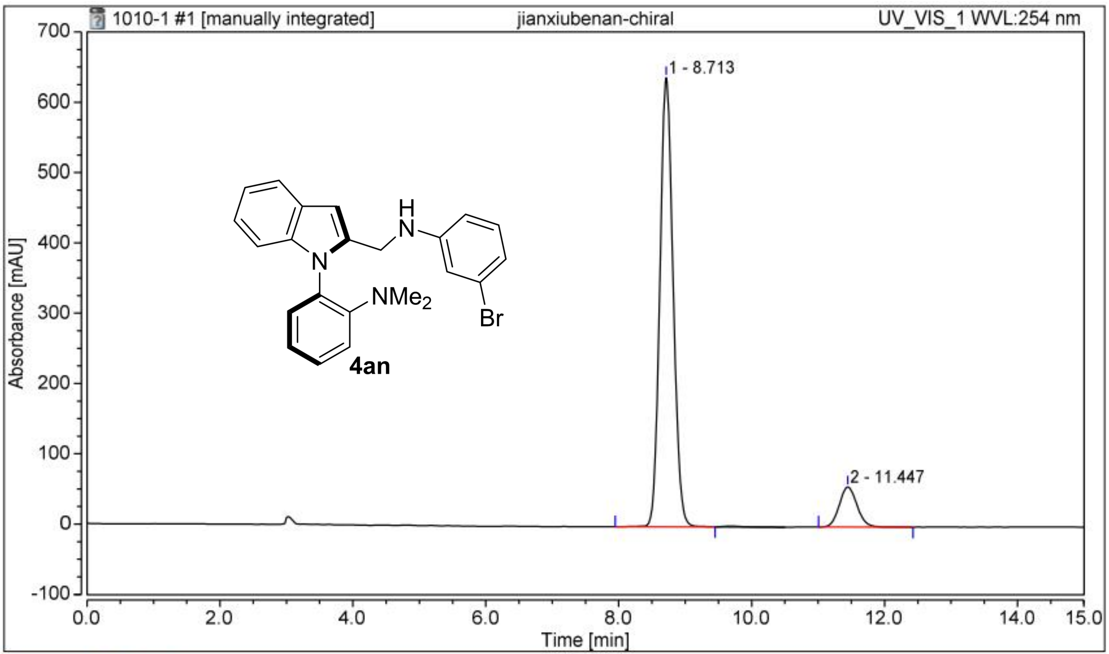
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		9.128	235.889	883.848	89.80	1.15
2		10.920	26.808	80.632	10.20	1.08
Total:					**100.00**	



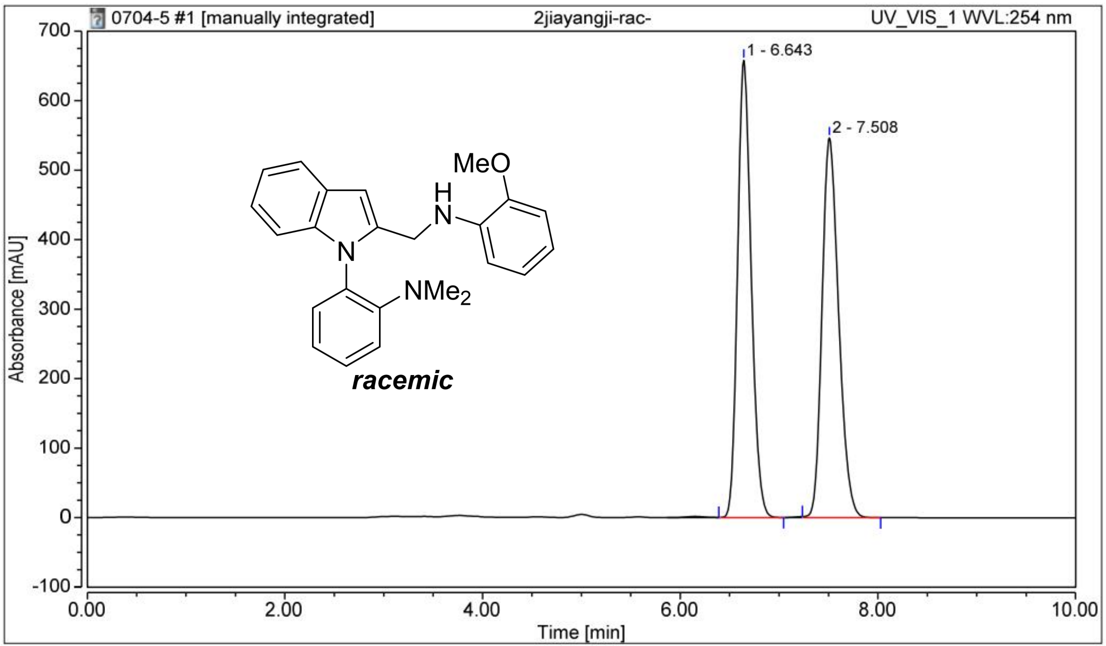
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.472	351.196	1548.470	50.09	1.14
2		11.105	349.880	1166.652	49.91	1.15
Total:					**100.00**	

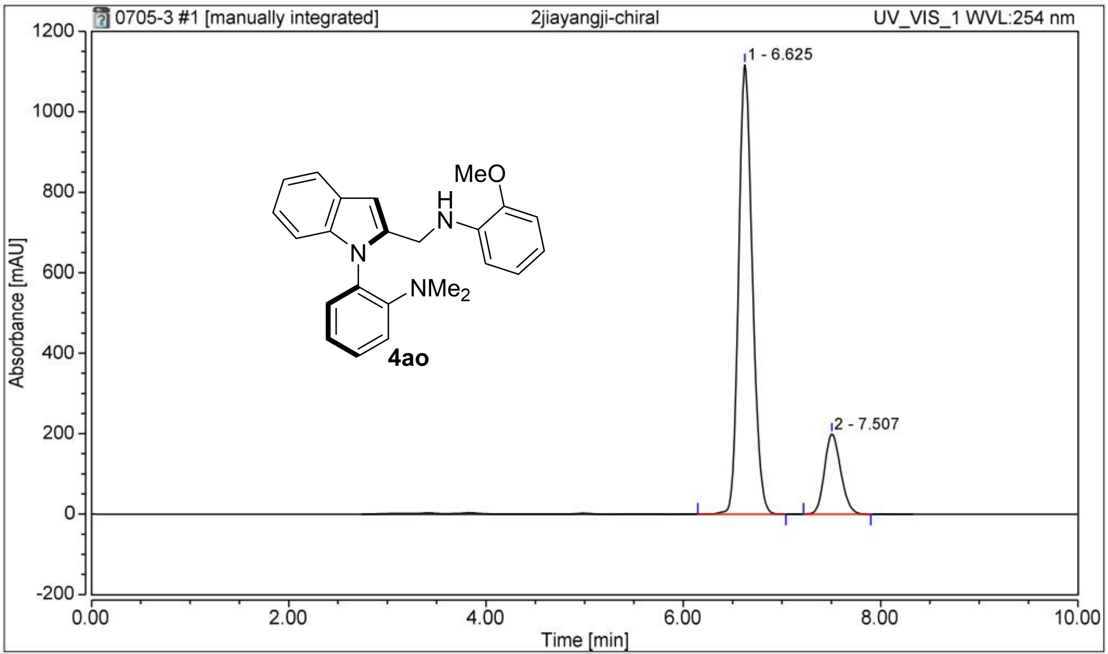


Integration Results

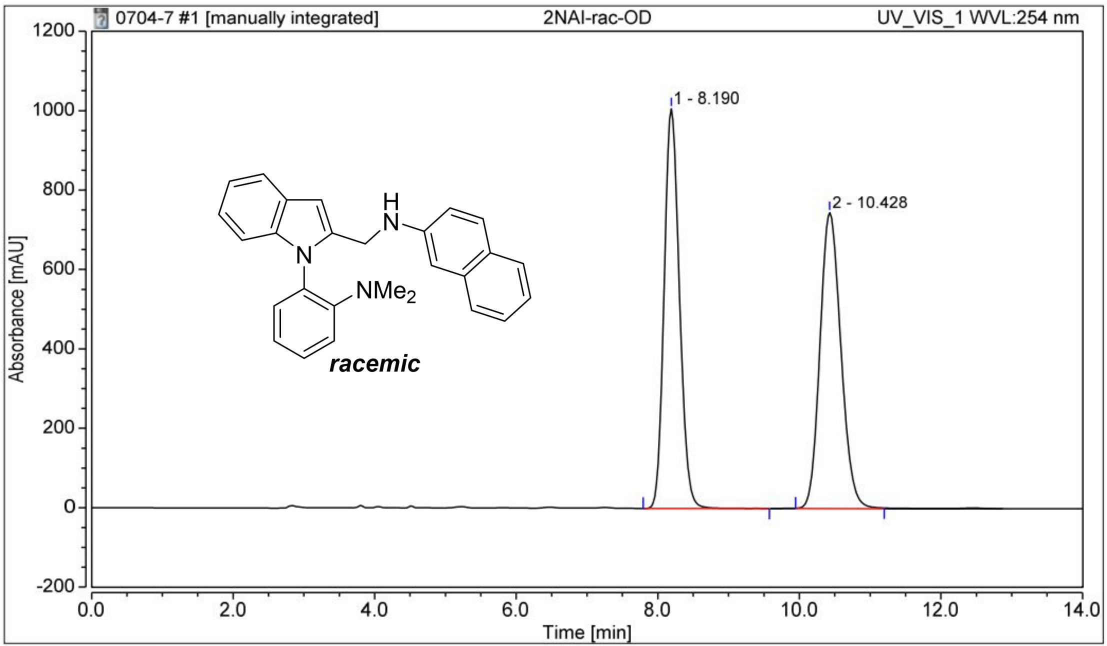
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.713	147.469	638.971	89.32	1.10
2		11.447	17.633	56.922	10.68	1.10
Total:					**100.00**	



Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.643	106.183	658.142	49.98	1.18
2		7.508	106.279	546.455	50.02	1.24
Total:					**100.00**	

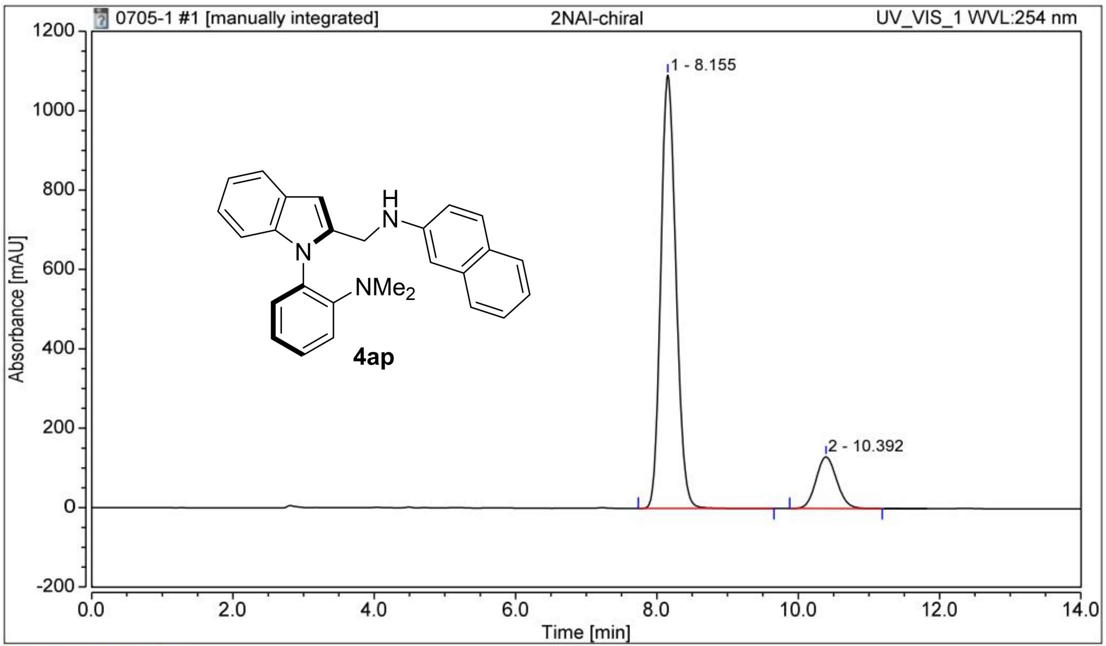


Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.625	180.729	1117.103	82.54	1.17
2		7.507	38.238	199.478	17.46	1.16
Total:					**100.00**	



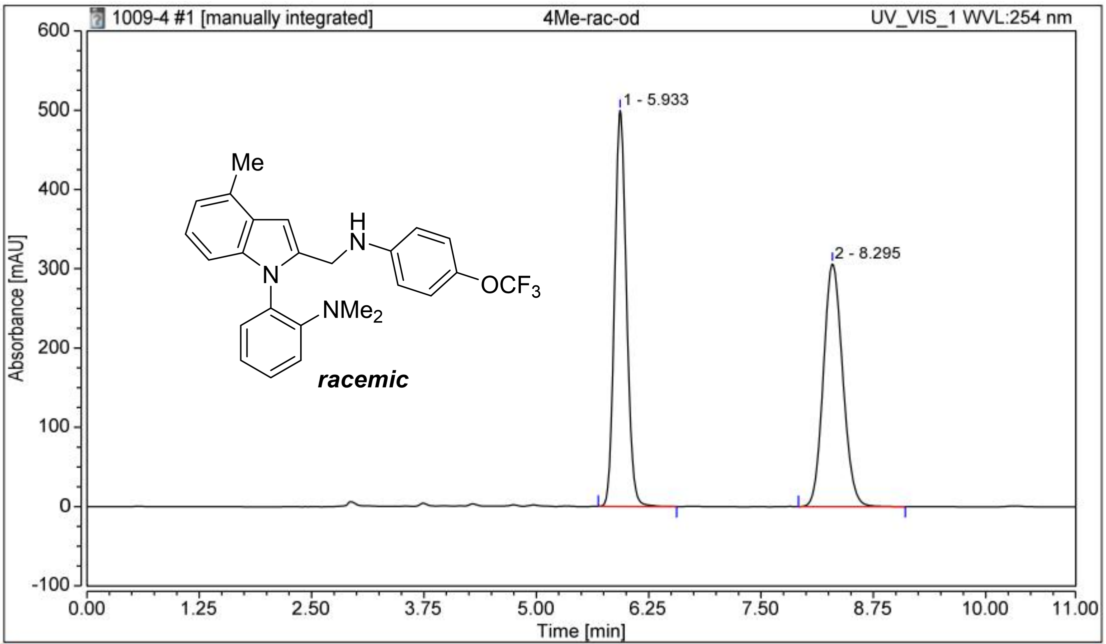
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.190	251.758	1007.118	49.61	1.15
2		10.428	255.680	745.598	50.39	1.15
Total:					**100.00**	



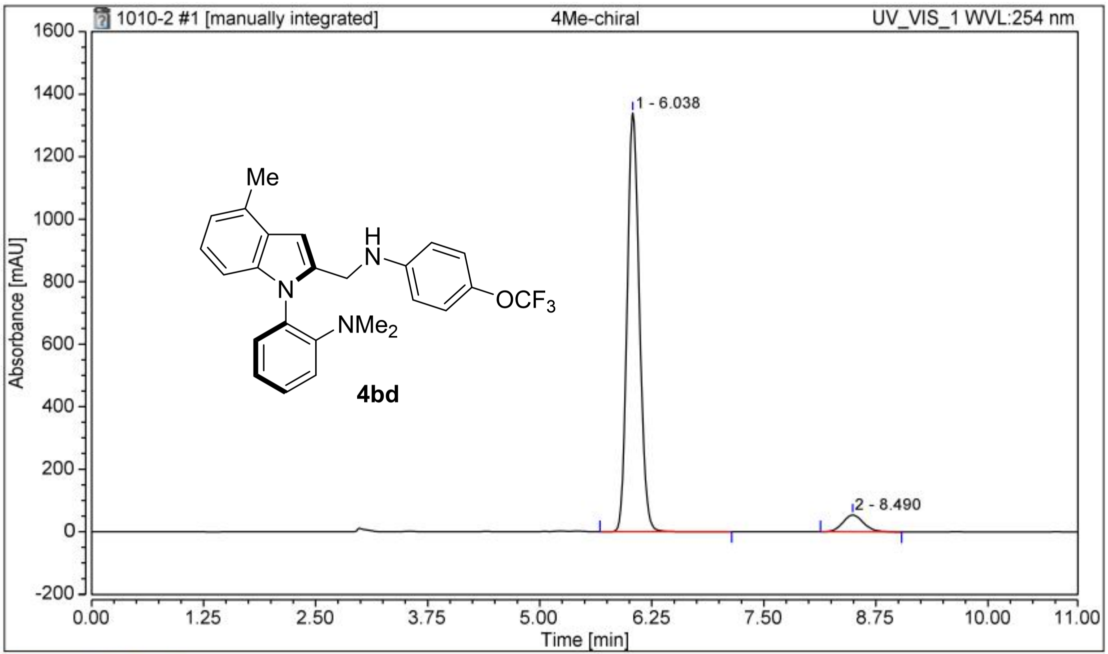
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.155	272.799	1091.382	85.98	1.14
2		10.392	44.489	130.367	14.02	1.10
Total:					**100.00**	



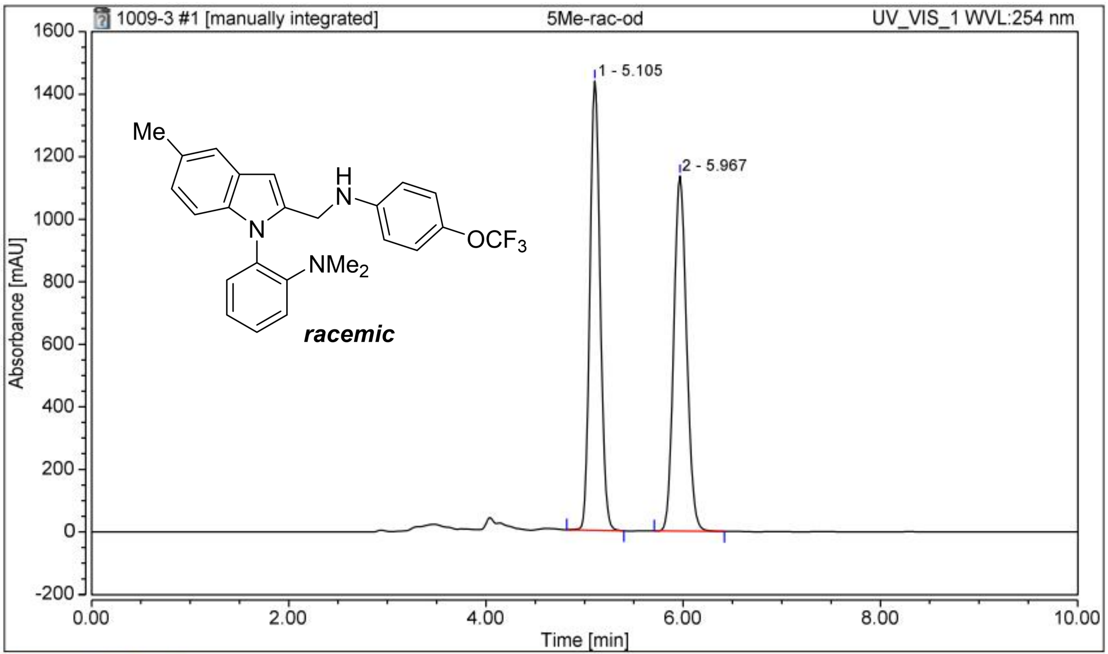
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.933	76.496	499.547	50.07	1.11
2		8.295	76.292	306.642	49.93	1.11
Total:					**100.00**	



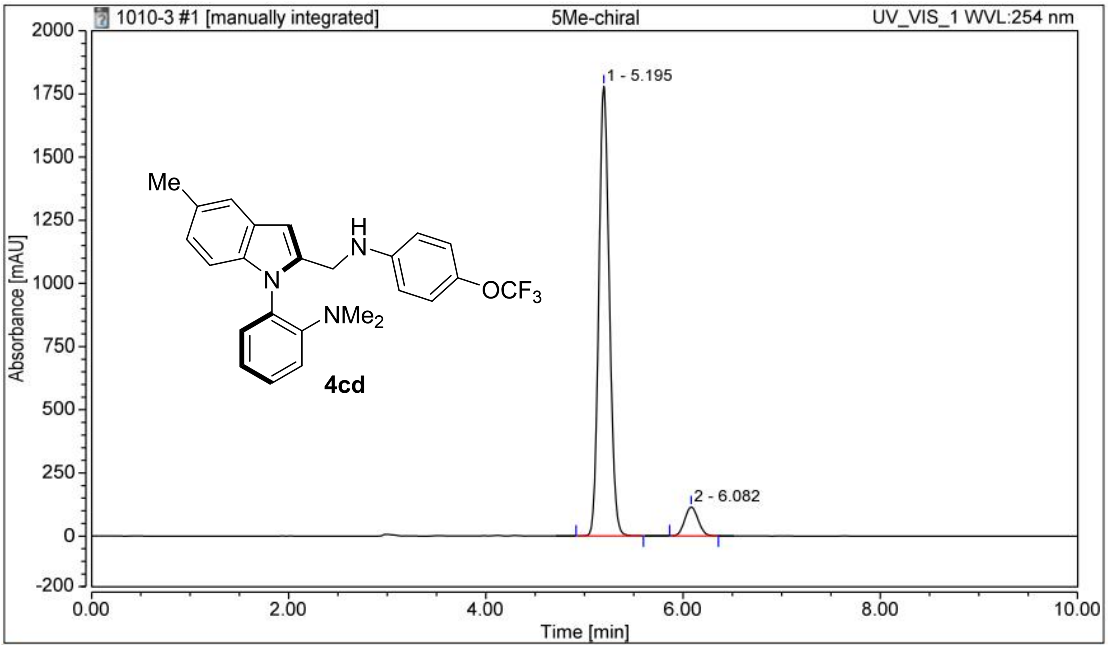
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.038	211.108	1340.015	93.66	1.14
2		8.490	14.293	53.387	6.34	1.11
Total:					**100.00**	



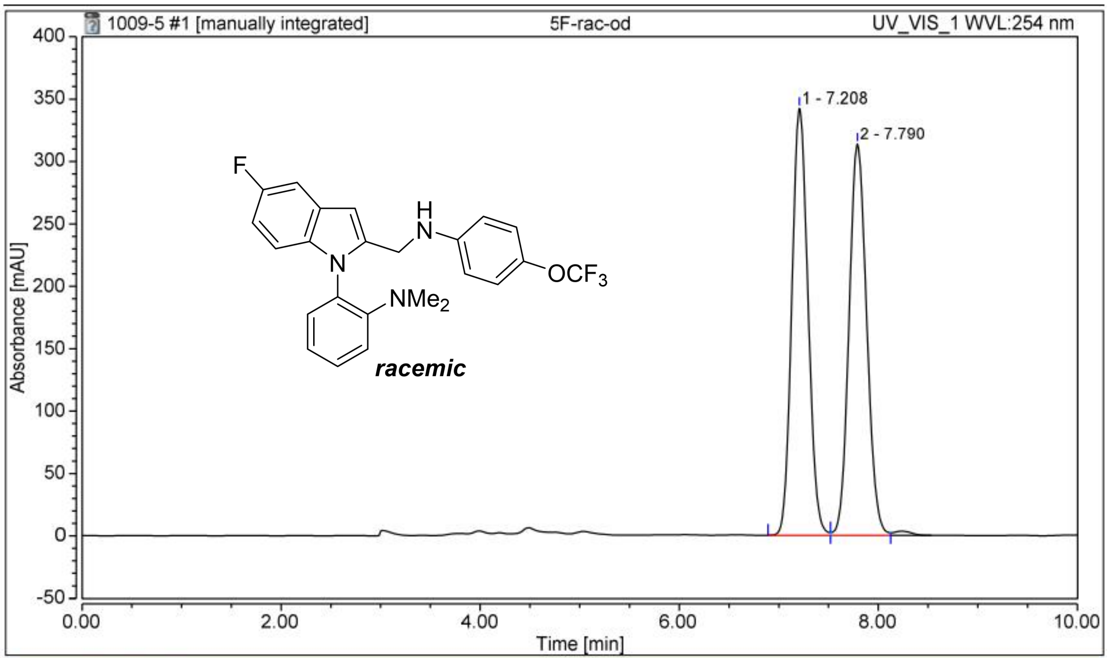
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.105	172.615	1437.081	50.02	1.09
2		5.967	172.483	1136.783	49.98	1.11
Total:					**100.00**	



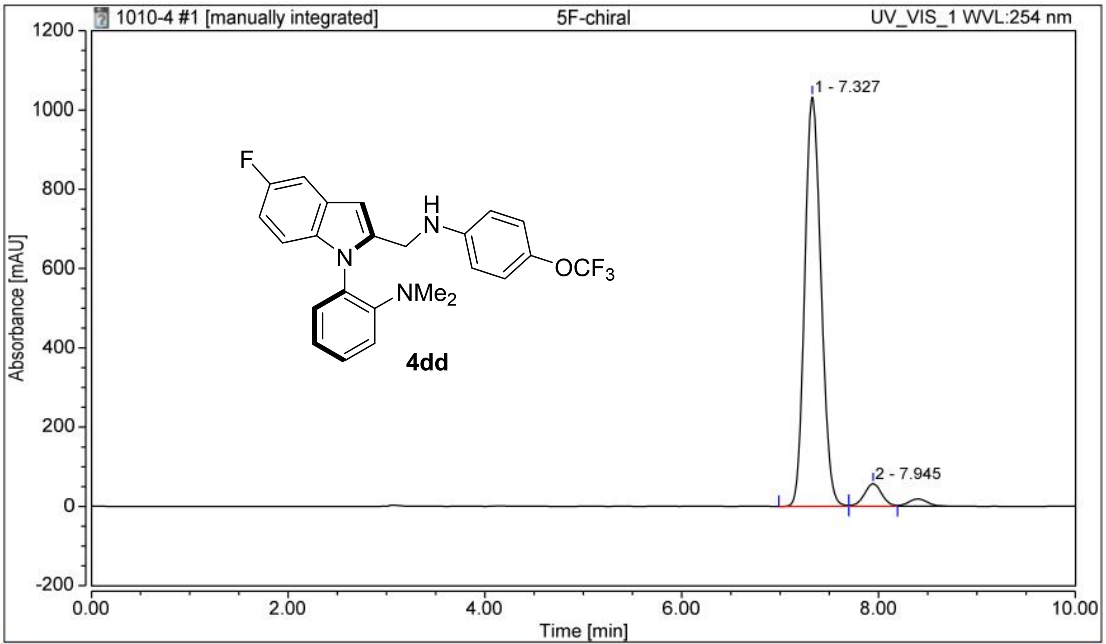
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.195	221.012	1780.904	92.38	1.12
2		6.082	18.219	115.266	7.62	1.08
Total:					**100.00**	



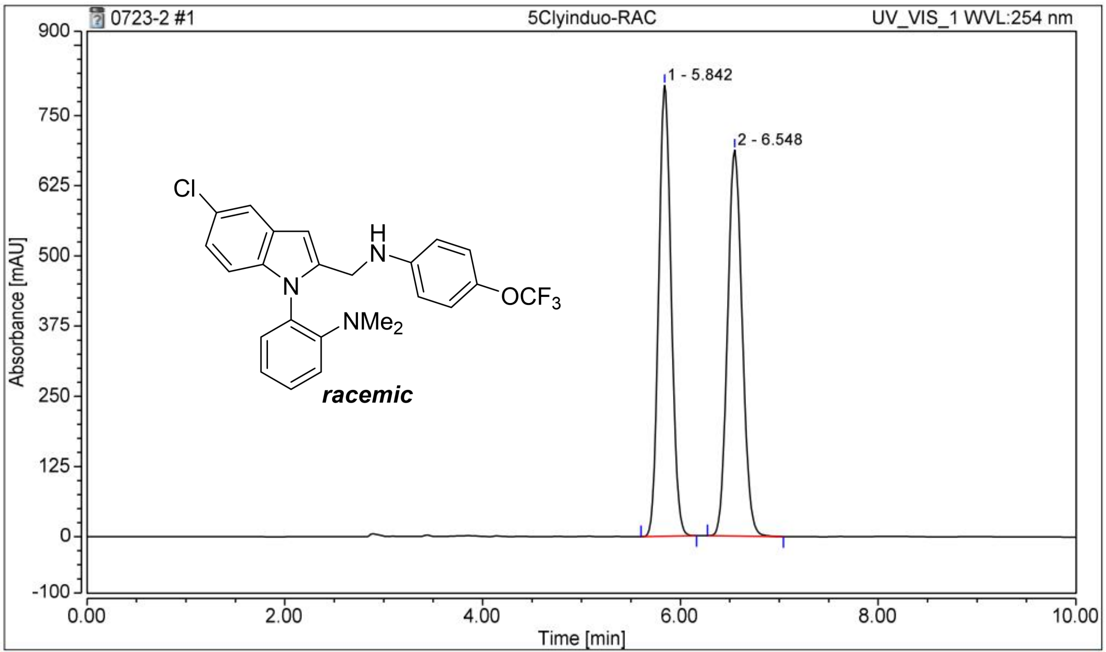
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.208	64.461	342.208	50.03	1.10
2		7.790	64.374	313.524	49.97	1.10
Total:					**100.00**	



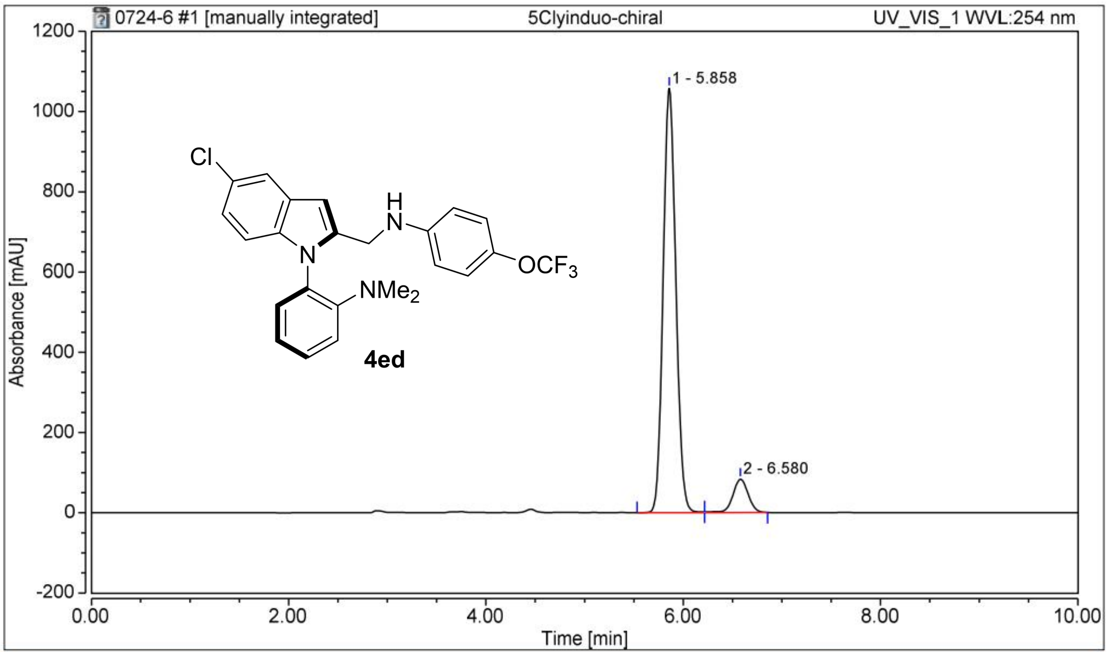
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		7.327	198.117	1032.902	94.44	1.13
2		7.945	11.667	56.678	5.56	0.99
Total:					**100.00**	



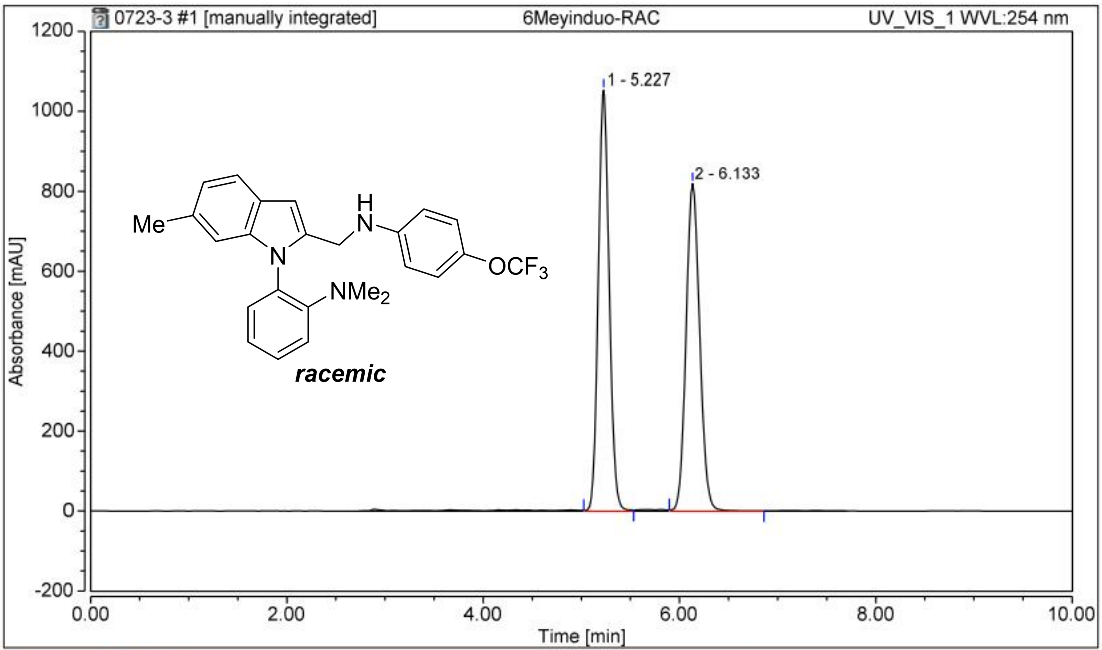
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.842	118.006	802.758	49.93	1.09
2		6.548	118.338	687.058	50.07	1.09
Total:					**100.00**	

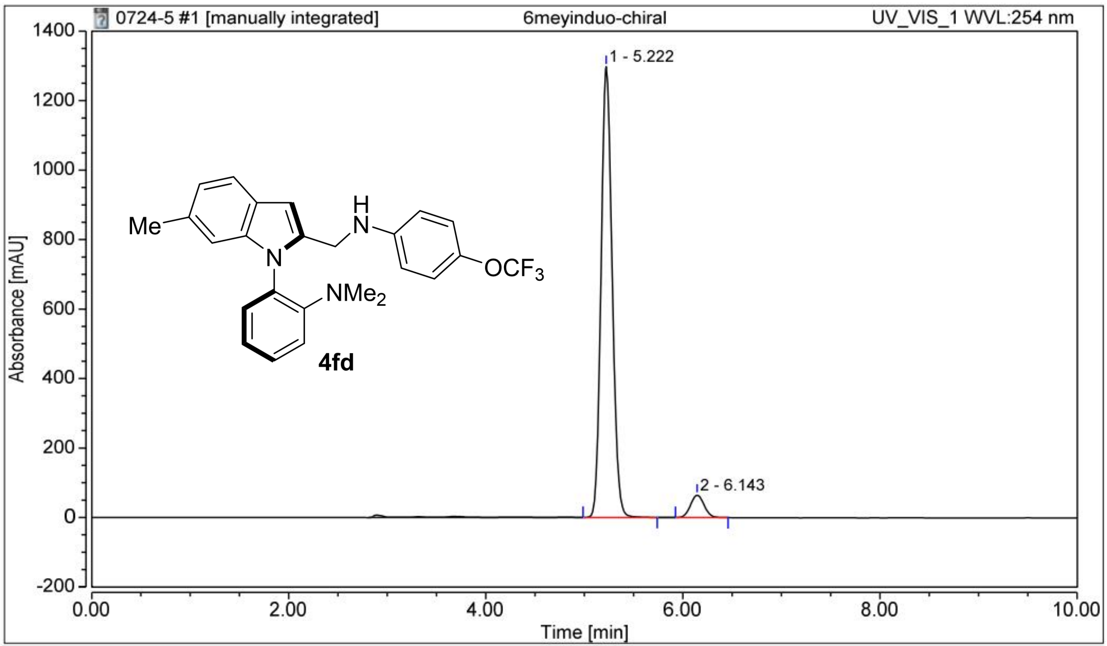


Integration Results

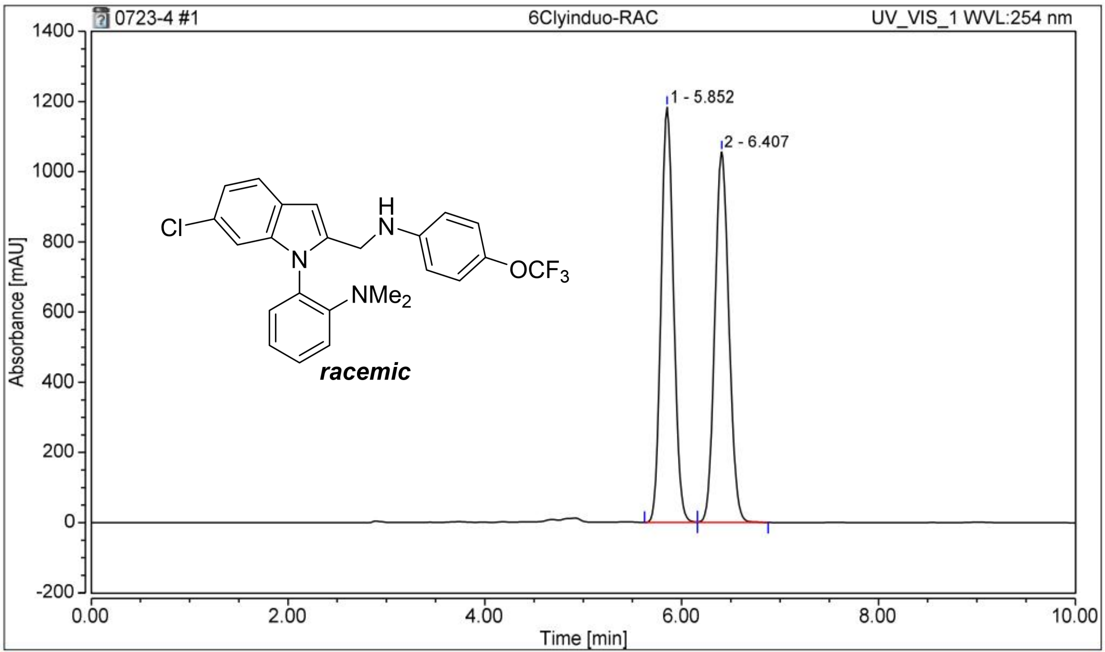
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.858	157.483	1057.291	91.33	1.10
2		6.580	14.951	83.125	8.67	1.02
Total:					**100.00**	



Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.227	135.506	1053.406	50.71	1.10
2		6.133	131.685	819.253	49.29	1.10
Total:					**100.00**	

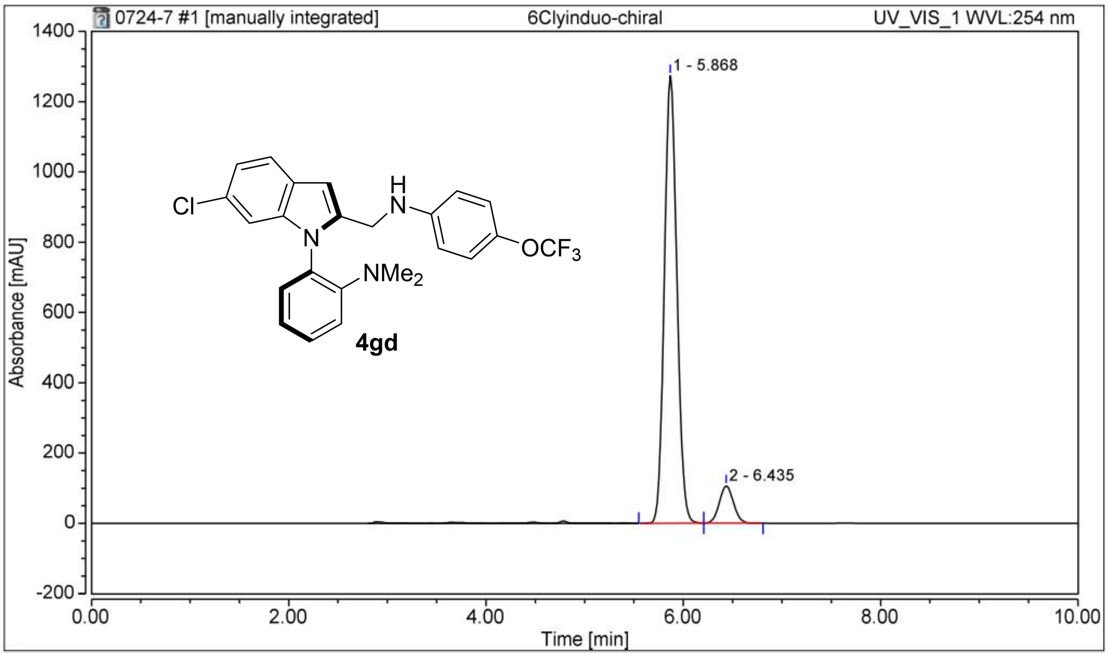


Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.222	169.283	1297.993	94.25	1.12
2		6.143	10.326	64.515	5.75	1.07
Total:					**100.00**	



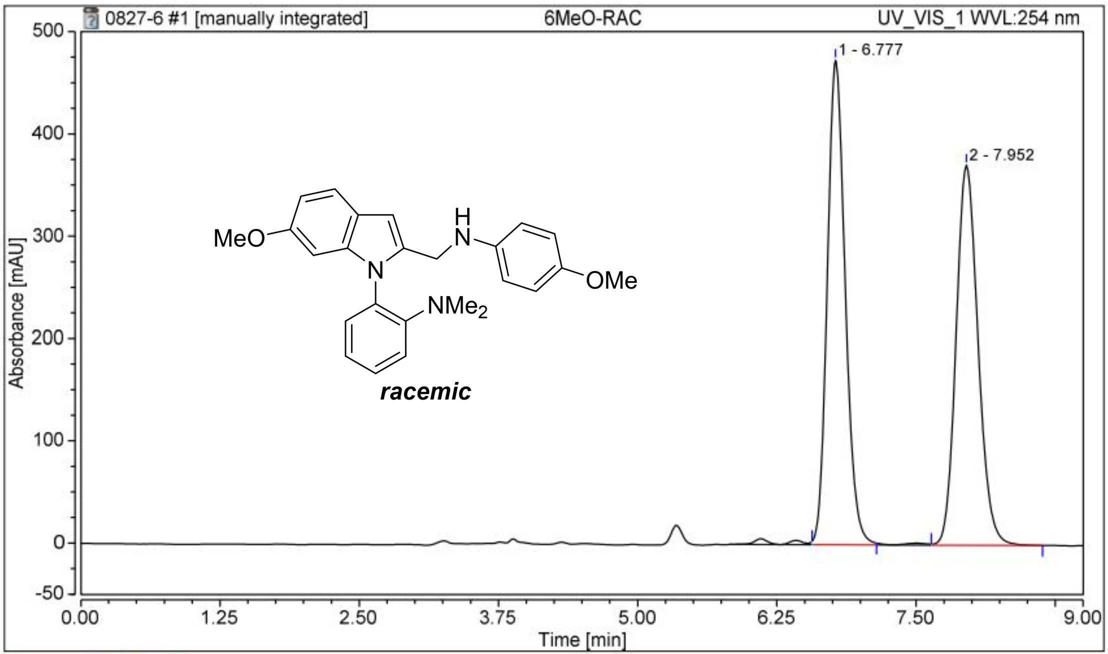
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.852	174.194	1182.726	49.89	1.09
2		6.407	174.944	1055.605	50.11	1.08
Total:					**100.00**	

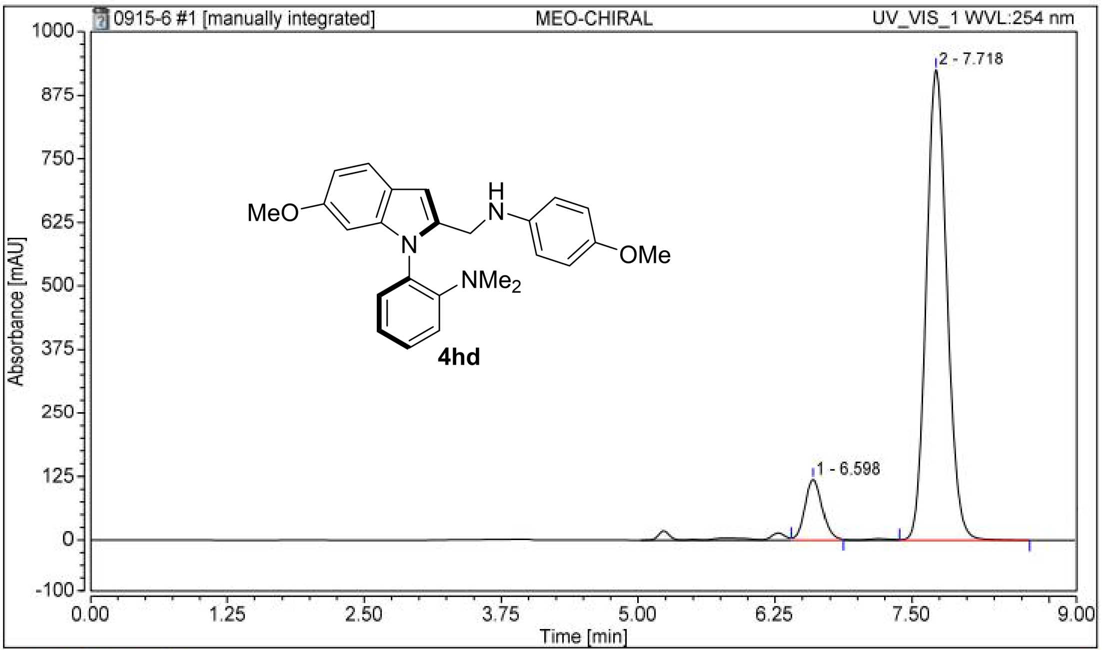


Integration Results

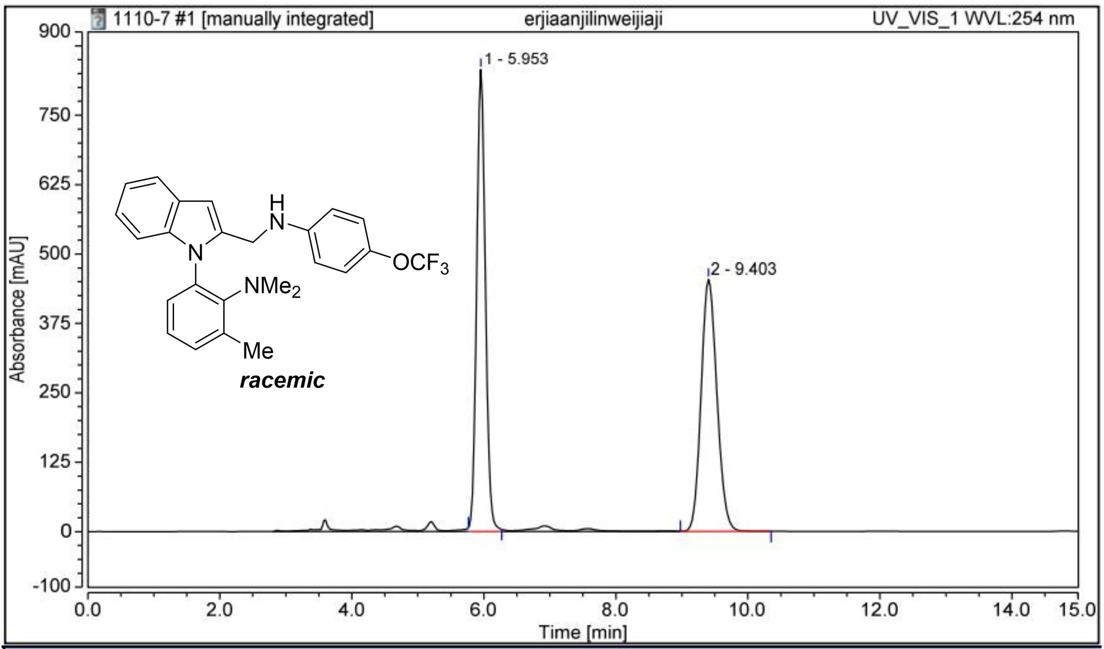
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.868	187.269	1273.535	91.36	1.10
2		6.435	17.717	106.068	8.64	1.06
Total:					**100.00**	



Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.777	88.204	473.757	50.96	1.17
2		7.952	84.879	371.578	49.04	1.14
Total:					100.00	

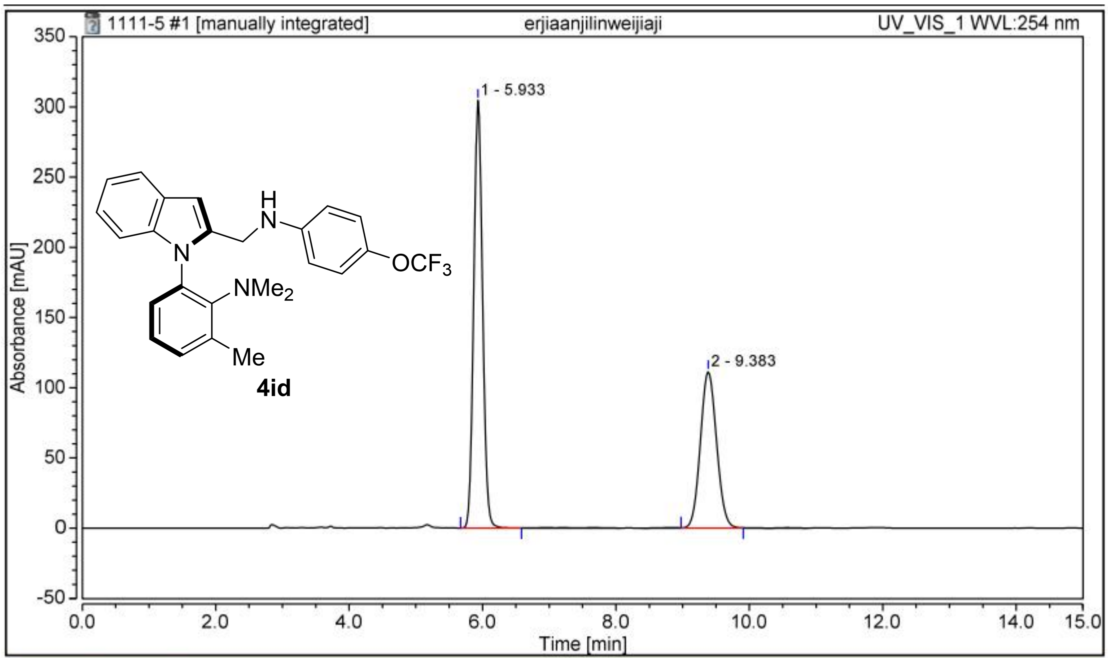


Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.598	21.985	120.053	9.75	1.12
2		7.718	203.401	926.106	90.25	1.12
Total:					100.00	



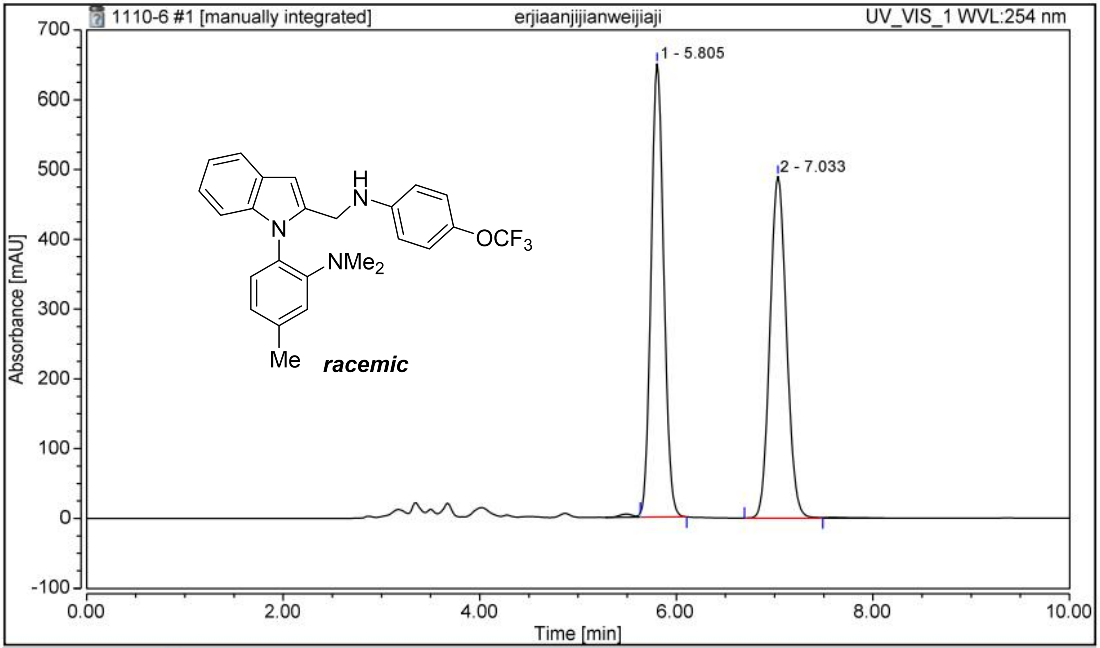
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.953	127.755	832.140	50.30	1.10
2		9.403	126.247	453.961	49.70	1.12
Total:					**100.00**	

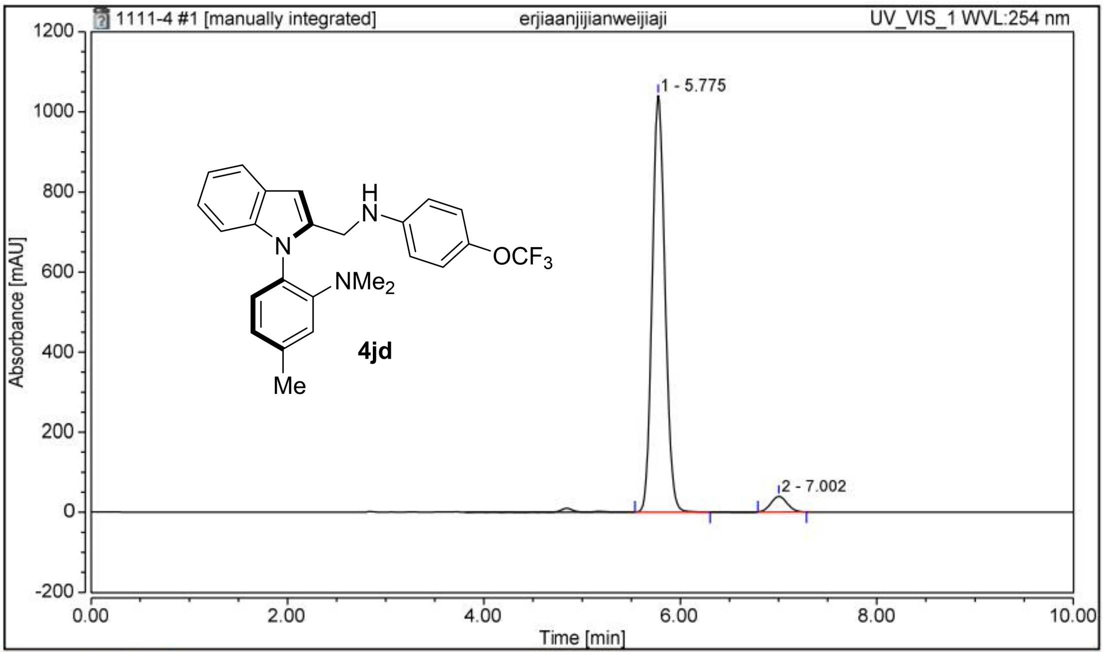


Integration Results

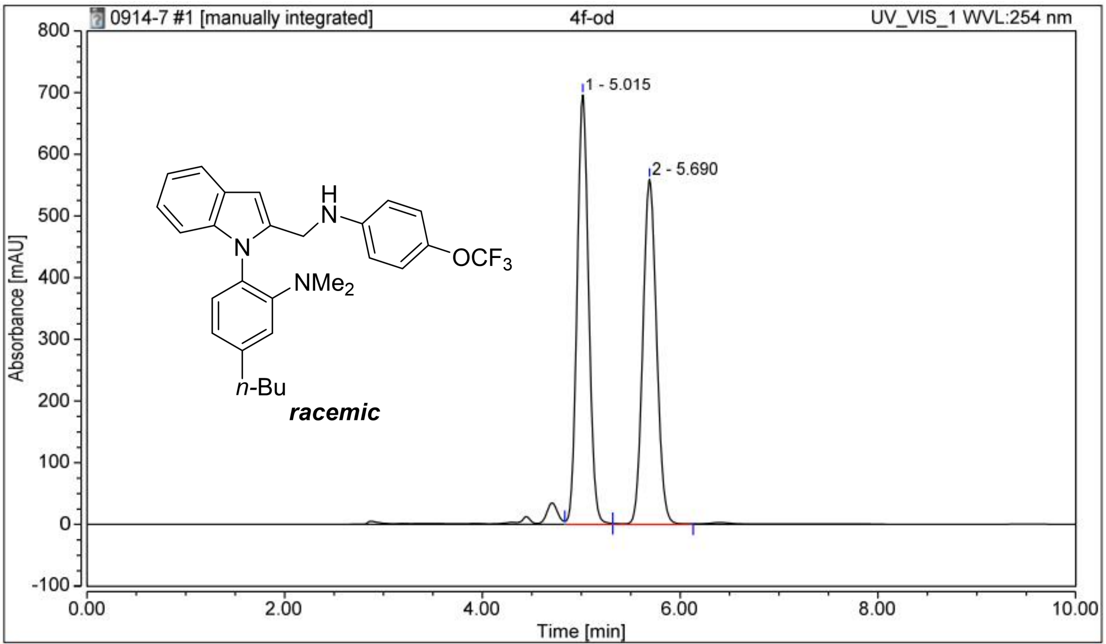
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.933	46.803	304.595	59.90	1.07
2		9.383	31.327	111.464	40.10	1.08
Total:					**100.00**	



Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.805	97.386	649.735	50.45	1.09
2		7.033	95.655	489.637	49.55	1.09
Total:					100.00	

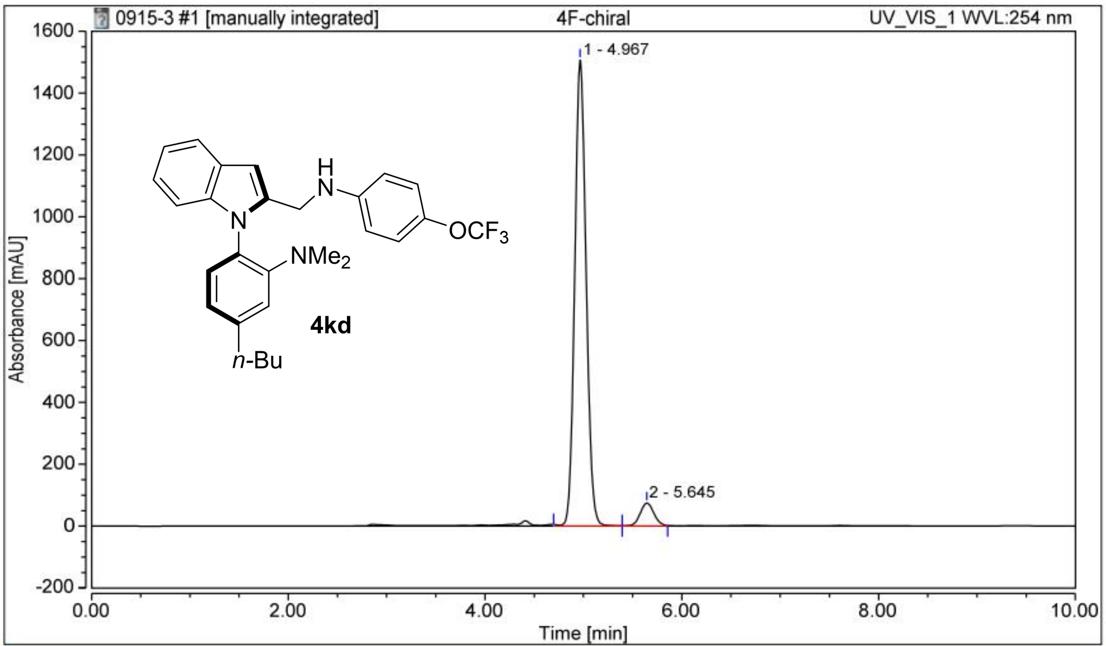


Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.775	157.456	1040.413	95.41	1.11
2		7.002	7.578	39.067	4.59	1.06
Total:					100.00	



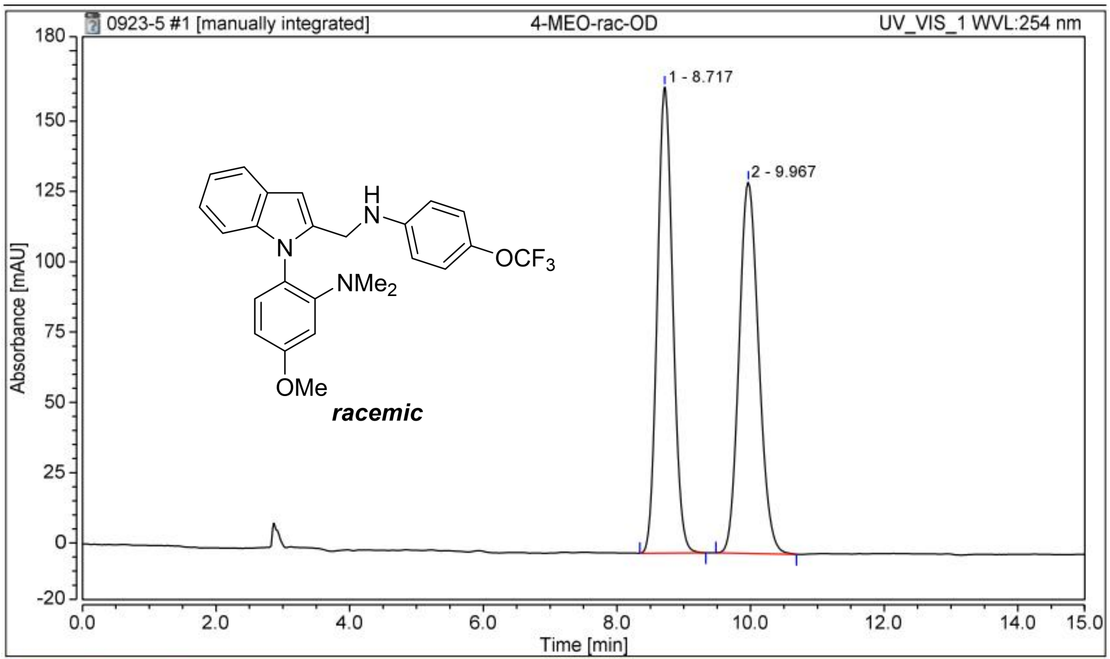
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		5.015	94.112	696.270	51.15	1.08
2		5.690	89.882	559.356	48.85	1.09
Total:					**100.00**	



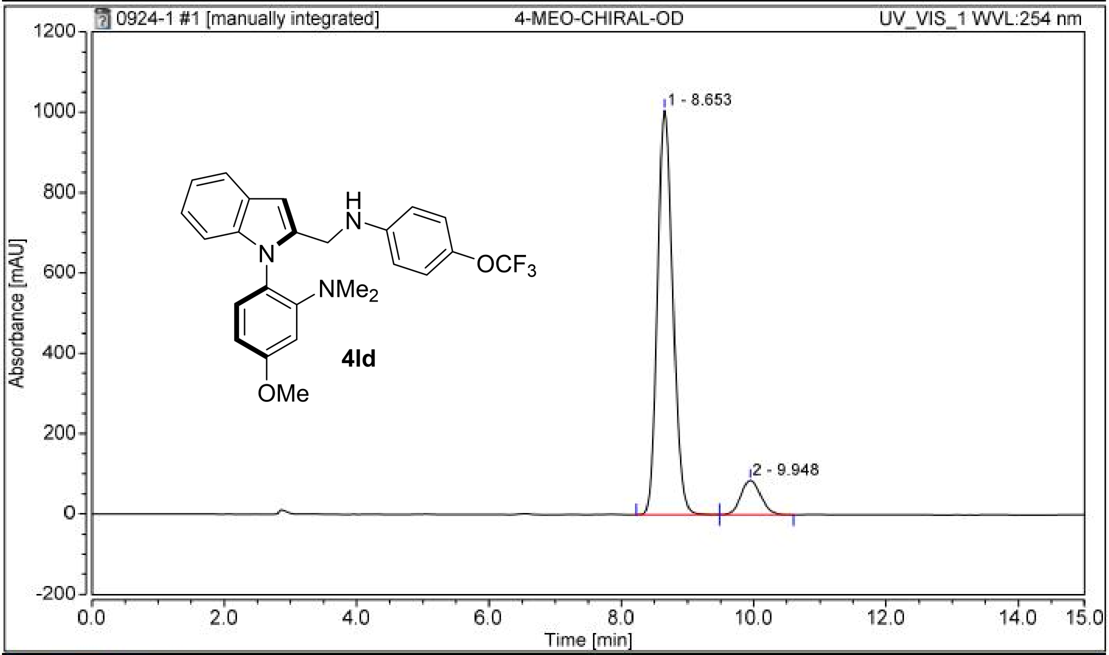
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		4.967	201.976	1506.944	94.26	1.10
2		5.645	12.306	74.875	5.74	1.07
Total:					**100.00**	



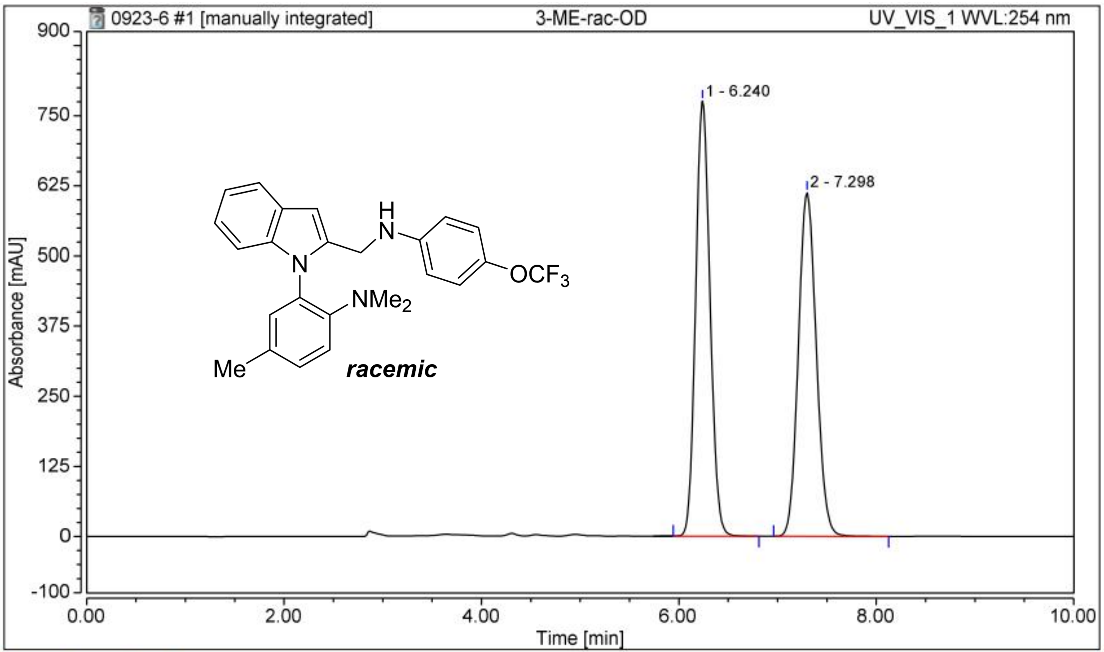
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.717	44.407	165.688	49.67	1.09
2		9.967	44.992	131.964	50.33	1.14
Total:					**100.00**	

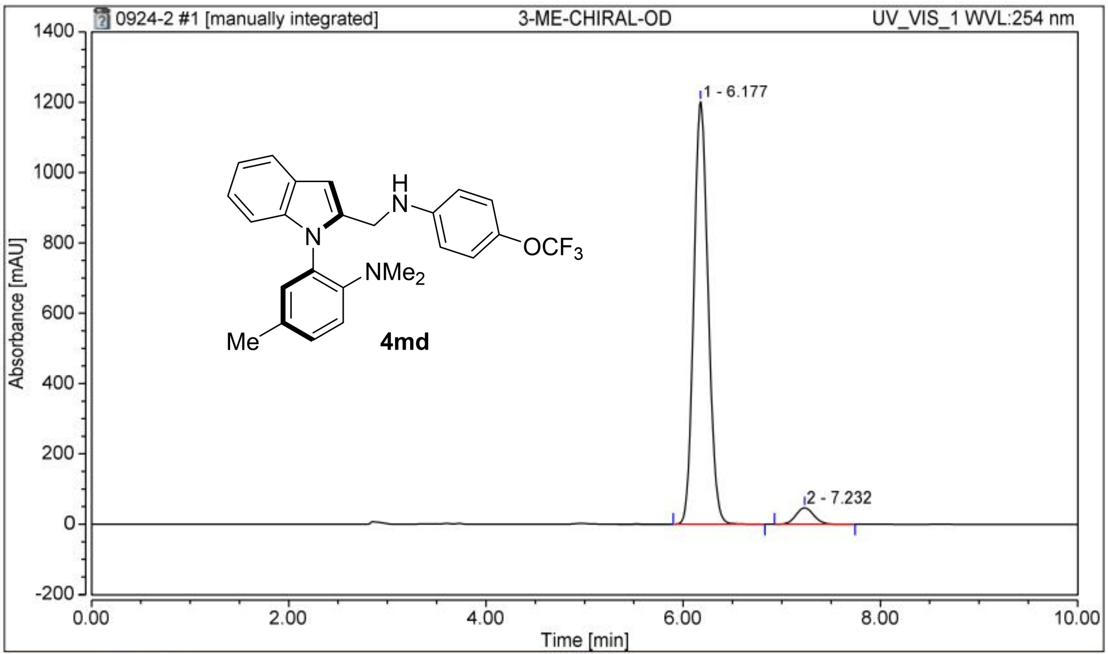


Integration Results

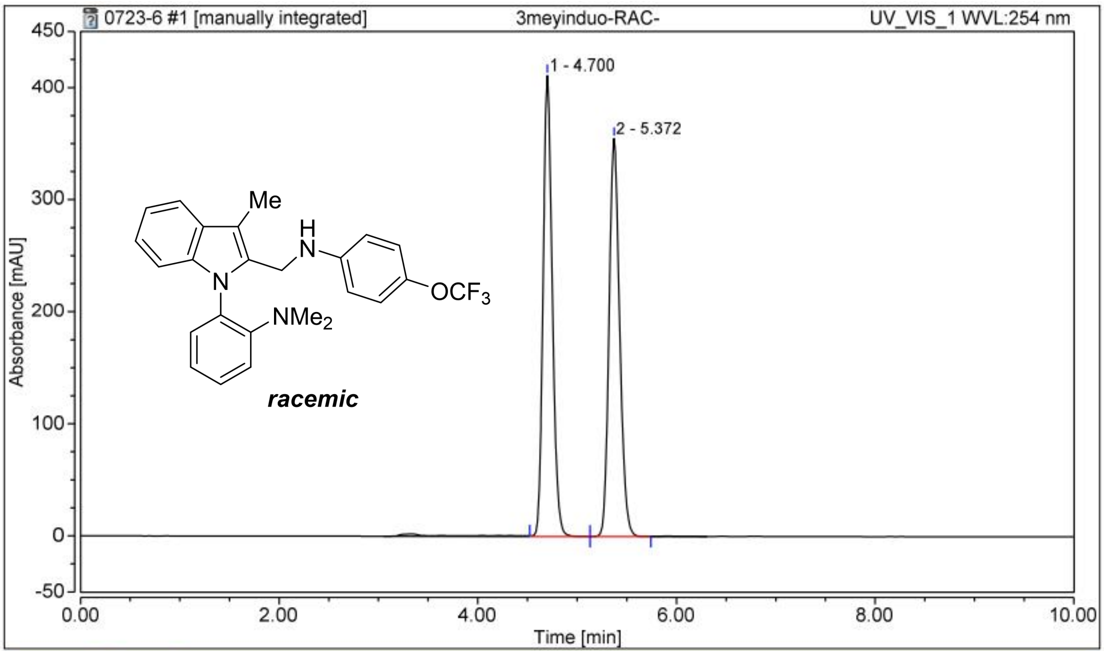
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		8.653	271.489	1007.841	89.99	1.16
2		9.948	30.198	85.964	10.01	1.11
Total:					**100.00**	



Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.240	130.797	775.778	50.39	1.10
2		7.298	128.755	613.085	49.61	1.09
Total:					**100.00**	

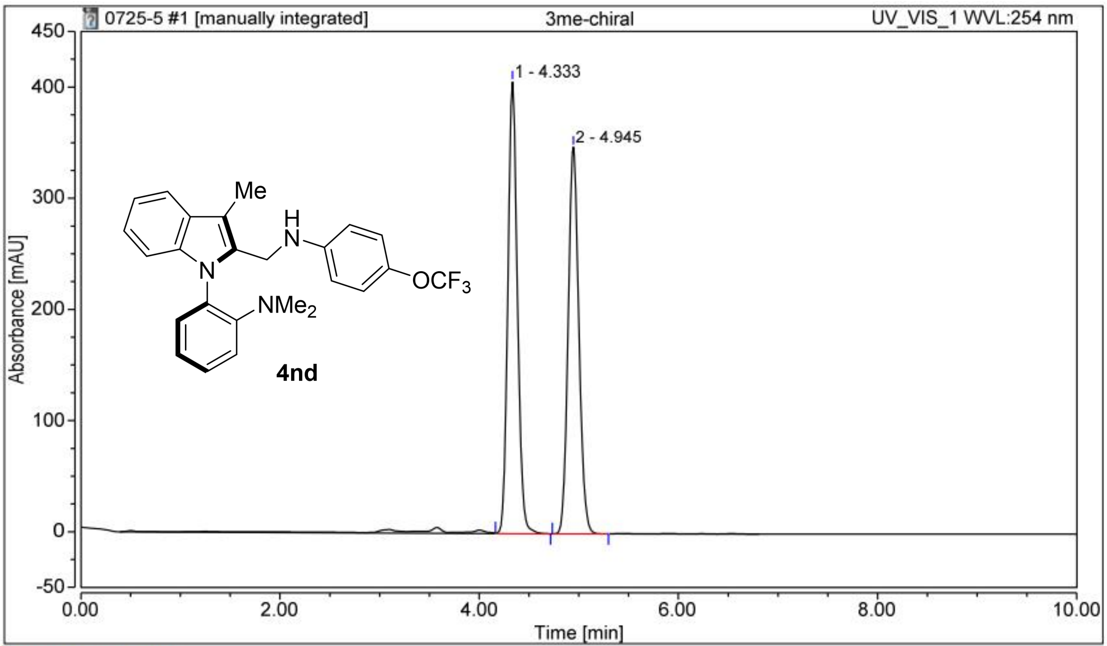


Integration Results						
No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		6.177	202.133	1201.455	95.36	1.11
2		7.232	9.836	46.861	4.64	1.07
Total:					**100.00**	



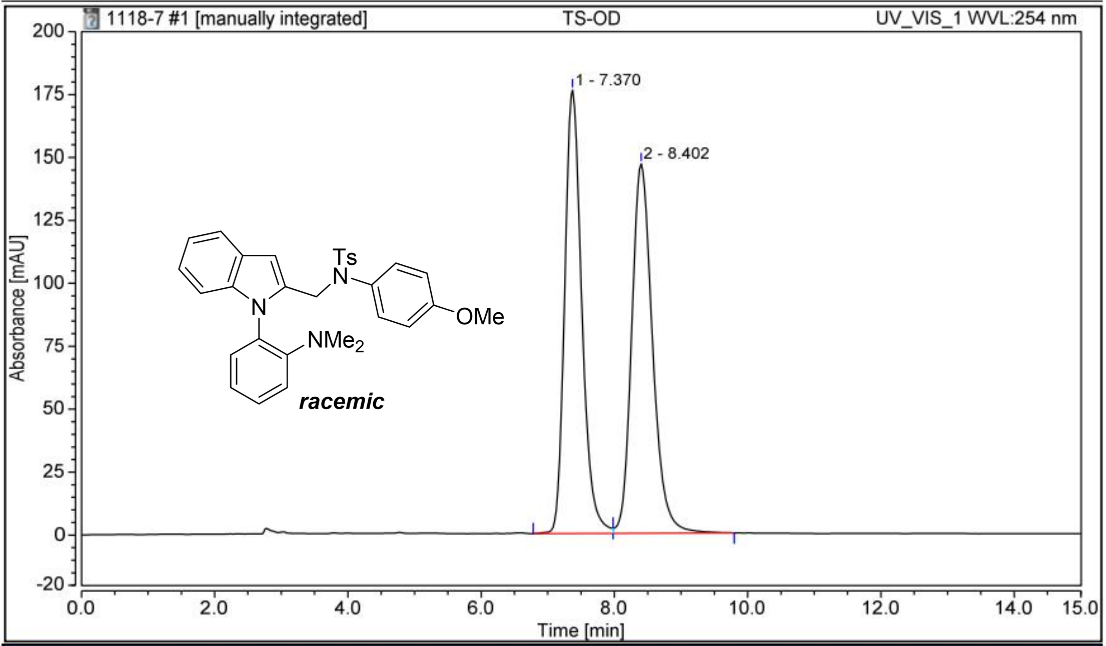
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		4.700	43.973	411.347	50.12	1.18
2		5.372	43.770	355.179	49.88	1.16
Total:					**100.00**	



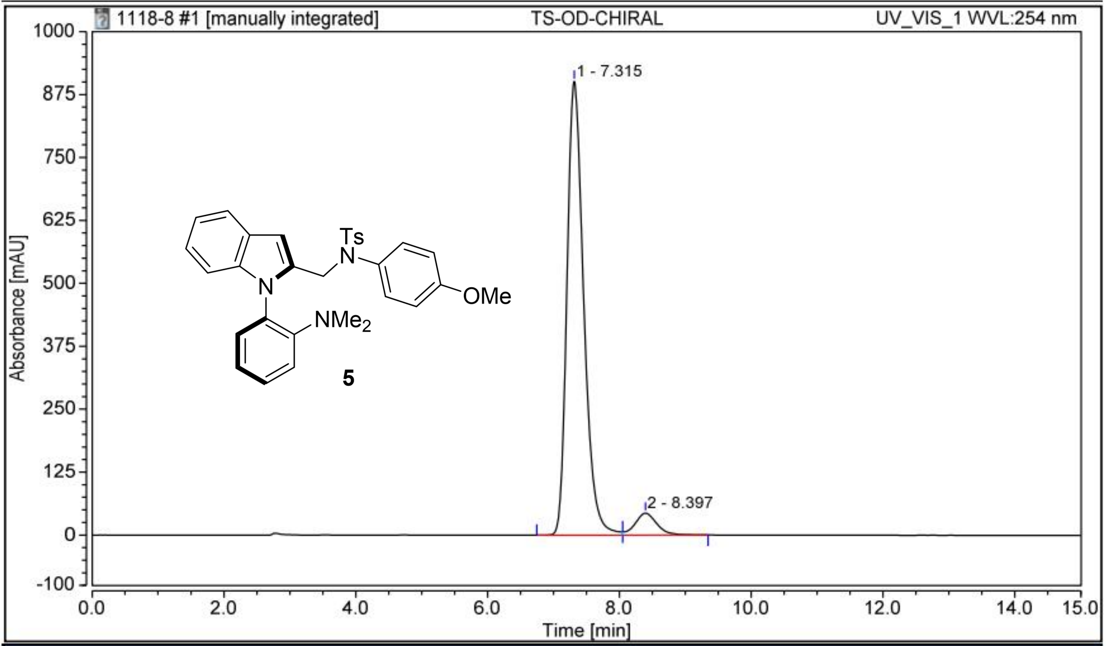
Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Asymmetry (EP)
1		4.333	44.878	406.329	50.91	1.11
2		4.945	43.271	347.797	49.09	1.10
Total:					**100.00**	



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %
1		7.370	52.365	176.215	49.58	54.56
2		8.402	53.260	146.751	50.42	45.44
Total:					**100.00**	



Integration Results

No.	Peak Name	Retention Time min	Area mAU*min	Height mAU	Relative Area %	Relative Height %
1		7.315	265.359	901.583	94.11	95.40
2		8.397	16.595	43.451	5.89	4.60
Total:					**100.00**	